STIC Search Report
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STIC Database Tracking Number: 118897

TO: Tamthom Troung Location: rem/5b19/5c18 Art Unit: 1624 Monday, April 12, 2004 Case Serial Number: 10/088854	From: Alex Waclawiw Location: Biotech-Chem Library Rem 1A71 Phone: 272-2534 Alexandra.waclawiw@uspto.gov

Search Notes

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Tech Center:

(•) TC 1600 ( ) TC 1700 ( ) TC 2100 ( ) TC 2600 ( ) TC 2800
( ) TC 3600 ( ) TC 3700 ( ) Design2900 ( ) Other

Enter your Contact Information below:

Name: TRUONG, TAMTHOM (a.k.a. TAM)

Employee Number: 74142 Phone: x 20676

Art Unit or Office: 1624 Building & Room Number: REM 5B19

Enter the case serial number (Required): 10/088,854

If not related to a patent application, please enter NA here.

Class / Subclass(es) 544/ 284, 287, 293; 514/ 266.2, 266.3, 2(

Earliest Priority Filing Date: MARCH, 2002

Format preferred for results:

[x] *Paper* [ ] *Diskette* [ ] *E-mail*

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Provide detailed information on your search topic:

- In your own words, describe in detail the concepts or subjects you want us to search.
- Include synonyms, keywords, and acronyms. Define terms that have special meanings.
- *For Chemical Structure Searches Only*
  Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers
- *For Sequence Searches Only*
  Include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

L 30 33

- *For Foreign Patent Family Searches Only*
  Include the country name and patent number.
- Provide examples or give us relevant citations, authors, etc., if known.
- FAX or send the **abstract, pertinent claims** (not all of the claims), **drawings, or chemical structures** to your EIC or branch library.

Enter your Search Topic Information below:

SEE ATTACHED CLAIMS 1, 11 AND 12.

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(For fastest service, let us know the best times to contact you, in case the searcher needs further clarification on your search.)

Press ALT + F, then P to print this screen for your own information.

SEND RESET

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                ACT TROUNG/A
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L5              STR
L6            624 SEA FILE=REGISTRY SUB=L4 SSS FUL L5
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L9            135 S L6 NOT L8

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L12             23 S L9
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:     9 APR 2004  HIGHEST RN 673855-15-7
DICTIONARY FILE UPDATES:    9 APR 2004  HIGHEST RN 673855-15-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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– Claim 1 too broad. narrowed with Claim 11 +12

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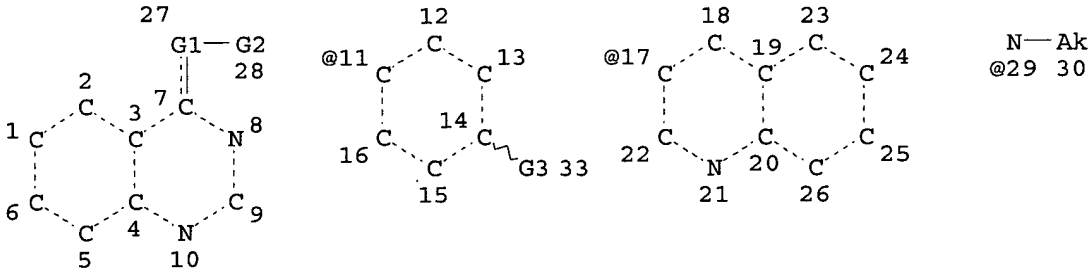


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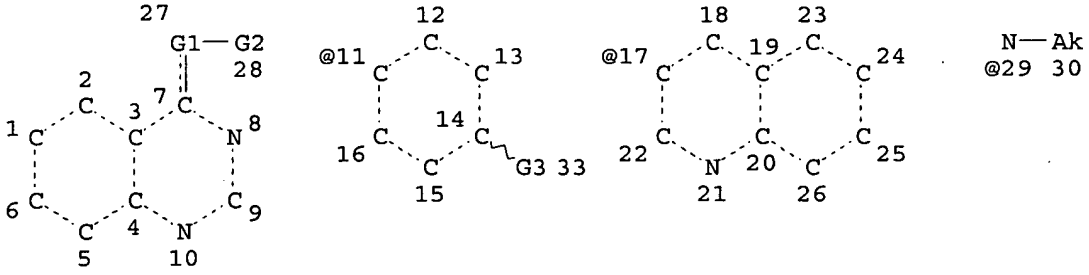

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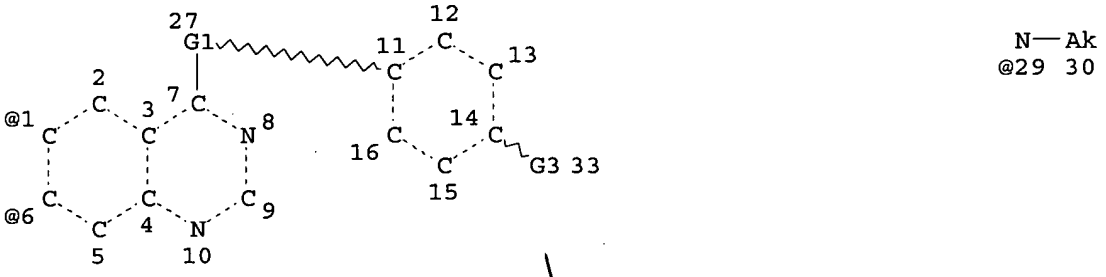

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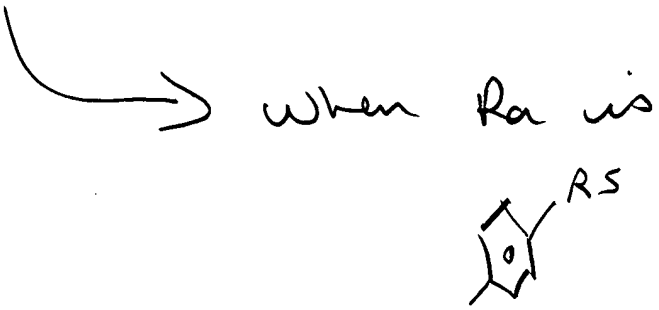

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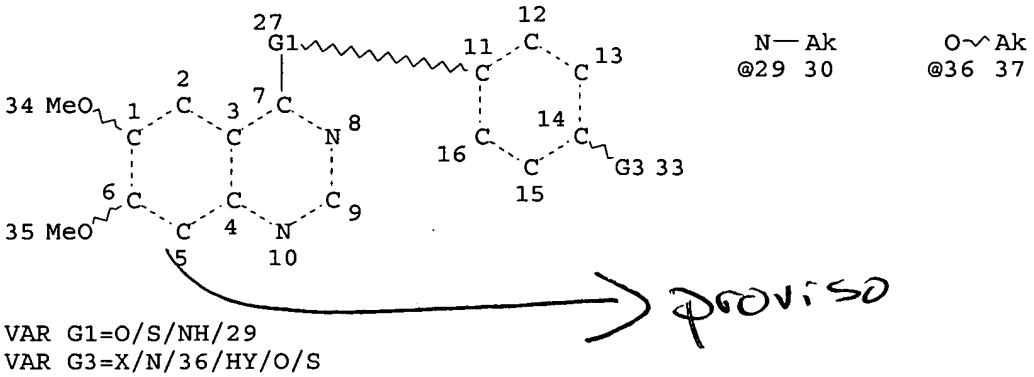

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RSPEC I
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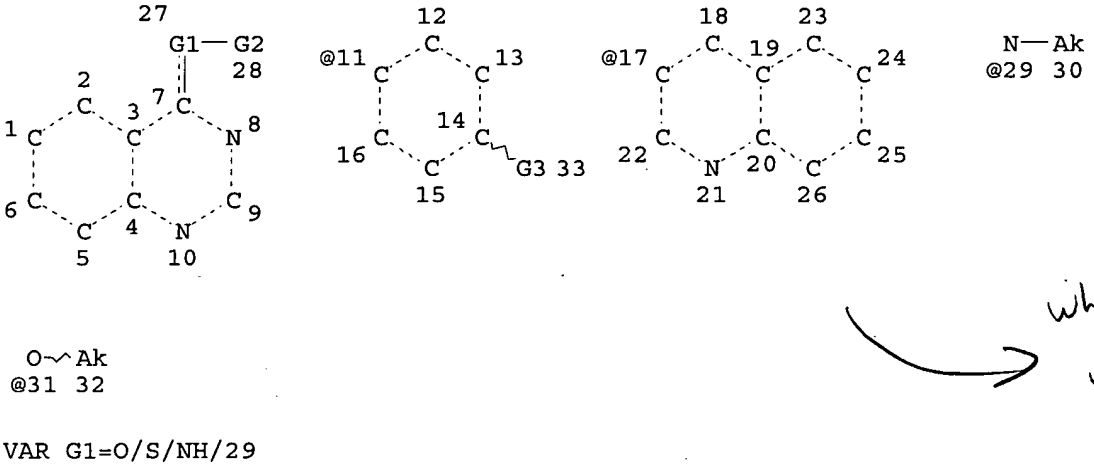

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 33

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FILE COVERS 1907 - 12 Apr 2004  VOL 140 ISS 16
FILE LAST UPDATED: 11 Apr 2004  (20040411/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

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L11           10 SEA FILE=CAPLUS ABB=ON  PLU=ON  L10


=> d que nos l12
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L5              STR
L6           624 SEA FILE=REGISTRY SUB=L4 SSS FUL L5
L7              STR
L8           489 SEA FILE=REGISTRY SUB=L2 SSS FUL L7
L9           135 SEA FILE=REGISTRY ABB=ON  PLU=ON  L6 NOT L8
L12           23 SEA FILE=CAPLUS ABB=ON  PLU=ON  L9


=> d .ca hitstr l11 1-10

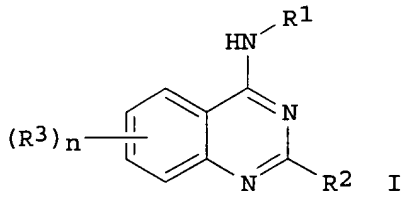
L11  ANSWER 1 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        2004:120821  CAPLUS
DOCUMENT NUMBER:         140:163886
TITLE:                   Preparation of 4-anilino substituted quinazolines as
                         inhibitors of epidermal growth factor receptor kinases
INVENTOR(S):             Gazit, Aviv; Levitzki, Alexander
PATENT ASSIGNEE(S):      Yissum Research Development Company of the Hebrew
```

University of Jerusalem, Israel
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013091	A2	20040212	WO 2003-IL632	20030731

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-399736P P 20020801
OTHER SOURCE(S): MARPAT 140:163886
GI



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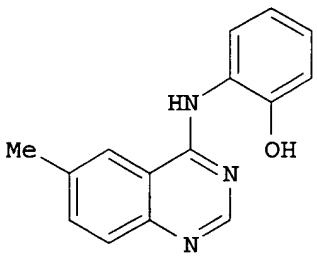

II

AB Title compds. I [R1 = (un)substituted Ph, naphthyl, etc.; R2 = H, halo, phenylamino, etc.; R3 = H, alkoxy, NO2, etc.; n = 1-3] are prepared For instance, 4-chloro-6-methylquinazoline is reacted with 2-aminophenol (EtOH, reflux, 1 h) to give II. I are potent inhibitors of protein tyrosine (PTK) kinase activity, particularly epidermal growth factor receptor (EGFR) kinase activity. I are useful in treating a variety of PTK related disorders such as cell proliferative disorders, fibrotic disorders, metabolic disorders and cancer.

IC ICM C07D
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
IT 77725-90-7P, 4-[[4-[Benzyloxy]phenyl]amino]quinazoline 146871-74-1P, 4-(3-Cyanophenylamino)quinazoline hydrochloride 153437-03-7P, 4-[[3-Chloro-4-fluorophenyl]amino]-6,7-dimethoxyquinazoline hydrochloride 153437-09-3P, 4-[[4-Fluoro-3-nitrophenyl]amino]-6,7-dimethoxyquinazoline hydrochloride 153437-54-8P, 4-[3-Aminophenylamino]-6,7-dimethoxyquinazoline hydrochloride 169205-77-0P, 4-[3-Bromophenylamino]-6-nitroquinazoline 169205-78-1P, 4-[3-Bromophenylamino]-6-aminoquinazoline 179246-74-3P, 4-[[4-[Benzyloxy]phenyl]amino]quinazoline hydrochloride 179246-75-4P, 4-[[4-[Benzyloxy]phenyl]amino]-6,7-dimethoxyquinazoline hydrochloride 179248-59-0P, 4-[[4-

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-anilino substituted quinazolines as inhibitors of epidermal growth factor receptor kinases)

IT **655248-38-7P**, 4-[[3-Quinolyl]amino]-6-methylquinazoline hydrochloride **655248-39-8P**, 4-[[3-Quinolyl]amino]-6-methylquinazoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-anilino substituted quinazolines as inhibitors of epidermal growth factor receptor kinases)

RN 655248-38-7 CAPLUS

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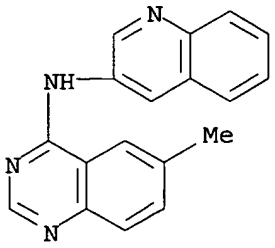

● HCl

RN 655248-39-8 CAPLUS
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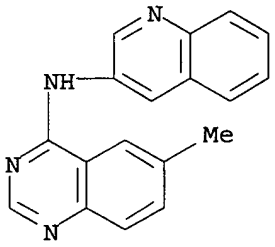

L11 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:60252 CAPLUS
DOCUMENT NUMBER: 140:128427
TITLE: Preparation of quinazolines as ephrin and EGFR receptor kinase modulators for treating cancer and other disorders
INVENTOR(S): Rice, Kenneth D.; Anand, Neel Kumar; Bussenius, Joerg; Costanza, Simona; Kennedy, Abigail R.; Kim, Angie I.; Peto, Csaba J.; Tsang, Tsze H.; Blazey, Charles M.
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 266 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006846	A2	20040122	WO 2003-US21923	20030714

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,

CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-396269P P 20020715
US 2003-447212P P 20030213

OTHER SOURCE(S): MARPAT 140:128427

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides quinazolines (shown as I; variables defined below; e.g. II and III) for modulating receptor tyrosine kinase activity, particularly ephrin and EGFR, and methods of treating diseases mediated by receptor kinase activity using the compds. and pharmaceutical compns. thereof. Diseases mediated by receptor kinase activity include, but are not limited to, diseases characterized in part by abnormal levels of cell proliferation (i.e. tumor growth), programmed cell death (apoptosis), cell migration and invasion and angiogenesis associated with tumor growth. Compds. of the invention include 'spectrum selective' kinase modulators, compds. that inhibit, regulate and/or modulate signal transduction across subfamilies of receptor-type tyrosine kinases, including ephrin and EGFR. Inhibitory activities for >200 examples of I are tabulated for some or all of EphB4, EphA2, KDR, Flt-1, EGFR and ErbB2 kinases. Although the methods of preparation are not claimed, 37 example prepns. are included. For example, 1,4:3,6-dianhydro-2-O-[4-[(3-chloro-2-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol hydrochloride was prepared in 2 steps (94, 51 % yields, resp.) starting with mesylation of 1,4:3,6-dianhydro-2-O-methyl-D-glucitol followed by ether formation of the intermediate 1,4:3,6-dianhydro-2-O-methyl-5-O-(methylsulfonyl)-D-glucitol with 4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-ol; the quinazolinol was prepared in 64 % yield from 4-chloro-6-(methyloxy)-7-[(phenylmethyl)oxy]quinazoline hydrochloride and 3,4-dichloroaniline. For I: R1 is C1-C3 (un)substituted alkyl; R2 = H, halogen, trihalomethyl, CN, NH2, NO2, OR3, N(R3)R4, S(O)0-2R4, SO2N(R3)R4, CO2R3, C(O)N(R3)R4, N(R3)SO2R4, N(R3)C(O)R3, N(R3)CO2R4, C(O)R3, (un)substituted lower alkyl, (un)substituted lower alkenyl, and (un)substituted lower alkynyl; R3 is H or R4; R4 = (un)substituted lower alkyl, (un)substituted aryl, (un)substituted lower arylalkyl, (un)substituted heterocyclyl, and (un)substituted lower heterocyclylalkyl; or R3 and R4, when taken together with a common N to which they are attached, form an (un)substituted 5-7-membered heterocyclyl, said (un)substituted five-to seven-membered heterocyclyl optionally containing at least one addnl. heteroatom = N, O, S, and P. Q is 0-5; Z = OCH2, O, S(O)0-2, N(R5)CH2, and NR5; R5 is -H or (un)substituted lower alkyl; M1 is H, (un)substituted C1-C8 alkyl-L2-L1, G(CH2)0-3, or R53(R54)N(CH2)0-3; wherein G is a saturated 5-7-membered heterocyclyl containing 1-2 annular heteroatoms; L1 is C:O or SO2; L2 is a direct bond, O, or NH; M2 is a saturated or mono- or polyunsatd. C3-C14 mono- or fused-polycyclic hydrocarbyl optionally containing 1-3 annular heteroatoms per ring; M3 is NR9, O, or absent; M4 is CH2, CH2CH2, CH2CH2CH2, or absent; addnl. details are given in the claims.

IC ICM A61K

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 33, 63

IT 650580-64-6P 650580-65-7P 650580-66-8P 650580-67-9P 650580-68-0P
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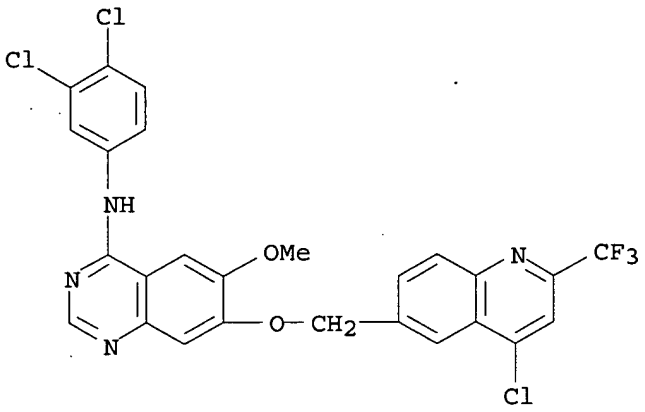
650582-98-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazolines as ephrin and EGFR receptor kinase modulators for treating cancer and other disorders)

IT **650580-74-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazolines as ephrin and EGFR receptor kinase modulators for treating cancer and other disorders)

RN 650580-74-8 CAPLUS
CN 4-Quinazolinamine, 7-[[4-chloro-2-(trifluoromethyl)-6-quinolinyl]methoxy]-N-(3,4-dichlorophenyl)-6-methoxy- (9CI) (CA INDEX NAME)



L11 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:376830 CAPLUS
DOCUMENT NUMBER: 138:385441
TITLE: Preparation of quinazolines as antitumor agents
INVENTOR(S): Hennequin, Laurent Francois Andre; Kettle, Jason Grant; Pass, Martin; Bradbury, Robert Hugh
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 218 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040108	A1	20030515	WO 2002-GB4931	20021031

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-26433 A 20011103
GB 2001-29059 A 20011205
OTHER SOURCE(S): MARPAT 138:385441
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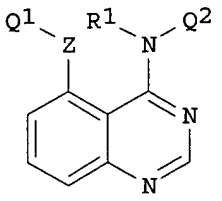

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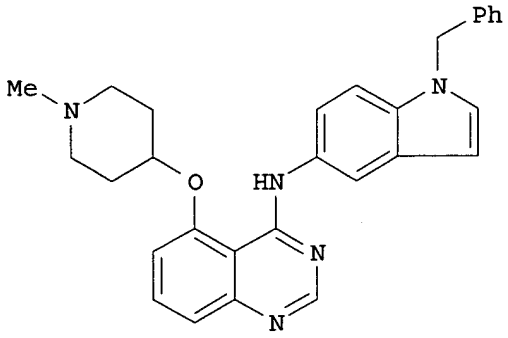


II

AB Anilino-, indolylamino-, and benzopyrazolylamino-substituted quinazolines I [wherein R1, R2, R3, and R6 = independently H or alkyl; Z = a bond, O, S, or NR2; Q1 = (un)substituted cycloalkyl(alkyl), cycloalkyl(alkenyl), cycloalkyl(alkynyl), or heterocyclyl(alkyl); with the proviso that alkylene chains within Q1Z are optionally interrupted by O, S, SO, SO2, NR3, CO, CHOR3, CONR3, NR3CO, SO2NR3, NR3SO2, CH=CH, or C.tplbond.C; Q2 = (un)substituted C6H4-4-X2Q2, 1-(X3Q4)indol-5-yl, 1-(X3Q4)-indol-6-yl, 1-(X3Q4)-1H-benzopyrazol-5-yl, or 1-(X3Q4)-1H-benzopyrazol-6-yl; X2 = a bond, O, S, SO, SO2, NR6, CHOR6, CONR6, NR6CO, SO2NR6, NR6SO2, OC(R6)2, C(R6)2O, SC(R6)2, C(R6)2S, CO, C(R6)2NR6, or NR6C (R6)2; or X2Q3 = heterocyclylcarbonyl; X3 = a bond, SO2, CO, SO2NR7, or C(R7)2; Q3 and Q4 = independently (un)substituted (heteroaryl); and pharmaceutically acceptable salts thereof] were prepared for use in the prevention or treatment of tumors which are sensitive to inhibition of erbB receptor tyrosine kinases. For example, coupling of 4-hydroxy-1-methylpiperidine with 5-fluoro-3,4-dihydroquinazolin-4-one using NaH in DMA gave the ether (91%). Reaction with POCl3 and di-isopropylethylamine in DCM provided 4-chloro-5-(1-methylpiperidin-4-yloxy)quinazoline (62%), which was coupled with 5-amino-1-benzylindole in the presence of IPA containing HCl in ether to afford II•HCl (46%). The biol. activity of the example compds. was assessed in five assays. Thus, I inhibited the phosphorylation of a tyrosine-containing polypeptide substrate by epidermal growth factor receptor (EGFR) kinase, erbB2 kinase, and erbB4 kinase with IC50 values in the range of 0.001 μM - 10 μM. I also inhibited the proliferation of both human naso-pharyngeal carcinoma KB cells and non-neoplastic epithelial H16N-2 cells with IC50 values in the range 0.001 μM - 20 μM. In addition, I inhibited the growth of colorectal adenocarcinoma LoVo and human mammary carcinoma BT-474 tumor cell xenografts in vivo with activities in the range of 1 mg/kg/day to 200 mg/kg/day with no physiol. unacceptable toxicity at the ED.

IC ICM C07D239-94
ICS C07D401-14; C07D401-12; C07D409-12; C07D403-12; C07D417-14; C07D413-14; C07D409-14; A61K031-505; A61P035-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 524953-51-3P, 4-(1-Benzylindol-5-ylamino)-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524953-53-5P, 4-(3-Chloro-4-phenoxyanilino)-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524953-54-6P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524953-55-7P, 5-(1-Methylpiperidin-4-yloxy)-4-(4-phenoxyanilino)quinazoline hydrochloride 524953-56-8P, 5-(1-Methylpiperidin-4-yloxy)-4-[4-(phenylthio)anilino]quinazoline hydrochloride 524953-57-9P, 4-[1-(Benzenesulfonyl)indol-5-ylamino]-5-(1-methylpiperidin-4-

yloxy)quinazoline hydrochloride 524953-58-0P, 4-[3-Chloro-4-(3-pyridyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524953-59-1P, 4-[3-Chloro-4-(3-fluorophenoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524953-61-5P, 4-[3-Chloro-4-(2,3-difluorophenoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524953-63-7P, 4-[3-Chloro-4-(2-pyrimidinyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524953-64-8P, 4-[3-Chloro-4-(2-thenoyl)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524953-65-9P, 4-[3-Chloro-4-[(1-methyl-1H-imidazol-2-yl)methoxy]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524953-66-0P, 4-[3-Chloro-4-[(2-pyridylmethyl)amino]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524953-68-2P, 5-(1-Methylpiperidin-4-yloxy)-4-[3-methyl-4-[(2-pyridylmethyl)amino]anilino]quinazoline hydrochloride 524953-70-6P, 4-[3-Chloro-4-[N-methyl-N-(2-pyridyl)amino]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524953-72-8P, 4-[3-Chloro-4-(2-pyridylamino)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524953-74-0P, 5-(1-Methylpiperidin-4-yloxy)-4-[3-methyl-4-(2-pyridylamino)anilino]quinazoline hydrochloride 524953-76-2P, 4-[3-Methyl-4-[N-methyl-N-(2-pyridyl)amino]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524953-78-4P, 4-[3-Chloro-4-[(3-fluorophenylamino)methyl]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride **524953-80-8P**, 4-[3-Chloro-4-(8-quinolylthio)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524953-82-0P, 4-[3-Chloro-4-[(1-methyl-1H-imidazol-2-yl)thio]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524953-83-1P, 4-[3-Chloro-4-(2-pyridyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524953-84-2P, 5-(1-Methylpiperidin-4-yloxy)-4-[3-methyl-4-(2-pyridylmethoxy)anilino]quinazoline 524953-86-4P, 4-[3-Chloro-4-(1,5-dimethylpyrazol-3-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524953-88-6P, 4-[3-Chloro-4-(1-methylpyrazol-3-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524953-90-0P, 4-[3-Chloro-4-[(3-methylisoxazol-5-yl)methoxy]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524953-92-2P, 4-[4-(Azepan-1-ylcarbonyl)-3-chloroanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524953-95-5P, 4-[1-(3-Fluorobenzyl)indazol-5-ylamino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524953-97-7P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-[(tetrahydropyran-4-yl)oxy]quinazoline 524953-99-9P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-(1-methylpyrrolidin-3-yloxy)quinazoline 524954-00-5P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-[(tetrahydrofuran-3-yl)oxy]quinazoline 524954-01-6P, 4-[4-(2-Bromobenzyloxy)-3-chloroanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-03-8P, 4-[3-Chloro-4-([1,2,5]thiadiazol-3-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-04-9P, 4-(4-Benzyloxy-3-fluoroanilino)-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-06-1P, 4-[3-Fluoro-4-(2-fluorobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-07-2P, 4-[4-(2,6-Difluorobenzyloxy)-3-fluoroanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-08-3P, 4-[4-(2-Cyanobenzyloxy)-3-fluoroanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-09-4P, 4-[3-Fluoro-4-(2-pyridylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-10-7P, 4-[3-Fluoro-4-(5-methylisoxazol-3-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-11-8P, 4-[3-Chloro-4-(3,4-difluorobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-12-9P, 4-[3-Chloro-4-(isoxazol-3-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-13-0P, 4-[3-Chloro-4-(5-methylisoxazol-3-ylmethoxy)anilino]-5-(tetrahydropyran-4-yloxy)quinazoline 524954-15-2P, 4-[3-Chloro-4-(2-pyrazinylmethoxy)anilino]-5-

[(tetrahydropyran-4-yl)oxy]quinazoline 524954-16-3P, 4-[3-Chloro-4-(5-methylisoxazol-3-yl)anilino]-5-[(tetrahydrofuran-3-yl)oxy]quinazoline 524954-18-5P, 4-[3-Chloro-4-(2-morpholinothiazol-4-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-20-9P, 4-(4-Benzyloxy-3-methylanilino)-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-22-1P, 4-[4-(2-Fluorobenzyloxy)-3-methylanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-23-2P, 4-[4-(2,6-Difluorobenzyloxy)-3-methylanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-24-3P, 4-[3-Methyl-4-(5-methylisoxazol-3-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-25-4P, 5-(1-Methylpiperidin-4-yloxy)-4-[3-methyl-4-(thiazol-4-ylmethoxy)anilino]quinazoline 524954-26-5P, 4-[4-(2-Cyanobenzyloxy)-3-methylanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-27-6P, 4-[4-(3-Fluorobenzyloxy)-3-methylanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-28-7P, 4-[3-Fluoro-4-(3-fluorobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-30-1P, 4-[3-Chloro-4-(2-methyloxazol-4-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-31-2P, 4-[5-Chloro-2-fluoro-4-(2-pyridylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-35-6P, 4-[3-Chloro-4-(decahydroquinolin-1-ylcarbonyl)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-38-9P, 4-[3-Chloro-4-(decahydroisoquinolin-2-ylcarbonyl)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-39-0P, 4-[3-Chloro-4-(3-methylpiperidin-1-ylcarbonyl)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-40-3P, 4-[3-Chloro-4-(4-methylpiperidin-1-ylcarbonyl)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-41-4P, 4-[3-Ethynyl-4-(decahydroquinolin-1-ylcarbonyl)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-43-6P, 4-[3-Ethynyl-4-(homopiperidin-1-ylcarbonyl)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-45-8P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-(1-propylpiperidin-4-yloxy)quinazoline 524954-46-9P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-(1-allylpiperidin-4-yloxy)quinazoline 524954-47-0P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-[[1-(2-propynyl)piperidin-4-yl]oxy]quinazoline 524954-48-1P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-[[1-(2-methoxyethyl)piperidin-4-yl]oxy]quinazoline 524954-49-2P, 1-[4-[4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]quinazolin-5-yloxy]piperidin-1-yl]acetone 524954-50-5P, Methyl 2-[4-[4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]quinazolin-5-yloxy]piperidin-1-yl]acetate 524954-51-6P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-[[1-(methanesulfonyl)piperidin-4-yl]oxy]quinazoline 524954-52-7P, 2-[4-[4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]quinazolin-5-yloxy]piperidin-1-yl]acetamide 524954-53-8P, 4-[1-(5-Methylisoxazol-3-ylmethyl)indol-5-ylamino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-55-0P, 4-[1-(2,6-Difluorobenzyl)indol-5-ylamino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-56-1P, 4-[1-(2-Cyanobenzyl)indol-5-ylamino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-57-2P, 5-(1-Methylpiperidin-4-yloxy)-4-[1-(2-pyridylmethyl)indol-5-ylamino]quinazoline 524954-58-3P, 5-(1-Methylpiperidin-4-yloxy)-4-[[1-(thiazol-4-ylmethyl)indol-5-yl]amino]quinazoline 524954-59-4P, 4-[1-(4-Fluorobenzyl)indol-5-ylamino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-60-7P, 4-[1-(2-Methoxybenzyl)indol-5-ylamino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-61-8P, 4-[1-(2-Chlorobenzyl)indol-5-ylamino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-62-9P, 4-[1-(2,5-Dimethylbenzyl)indol-5-ylamino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-63-0P, 4-[1-(3-Chlorobenzyl)indol-5-ylamino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-64-1P, 5-(1-Methylpiperidin-4-yloxy)-4-[[1-(2-methylthiazol-4-ylmethyl)indol-5-yl]amino]quinazoline 524954-65-2P, 4-[1-(2-Fluorobenzyl)indol-5-ylamino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-66-3P,

4-[1-(3-Fluorobenzyl)indol-5-ylamino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-67-4P, 4-(4-Benzyloxy-3-ethynylanilino)-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-69-6P, 4-[3-Ethynyl-4-(2-fluorobenzyloxy)anilino]-5-[(1-methylpiperidin-4-yl)oxy]quinazoline hydrochloride 524954-71-0P, 4-[3-Ethynyl-4-(3-fluorobenzyloxy)anilino]-5-[(1-methylpiperidin-4-yl)oxy]quinazoline hydrochloride 524954-73-2P, 4-[3-Ethynyl-4-(2,6-difluorobenzyloxy)anilino]-5-[(1-methylpiperidin-4-yl)oxy]quinazoline hydrochloride 524954-75-4P, 4-[3-Ethynyl-4-(5-methylisoxazol-3-ylmethoxy)anilino]-5-[(1-methylpiperidin-4-yl)oxy]quinazoline hydrochloride 524954-77-6P, 4-[3-Ethynyl-4-(thiazol-4-ylmethoxy)anilino]-5-[(1-methylpiperidin-4-yl)oxy]quinazoline hydrochloride 524954-79-8P, 4-[3-Chloro-4-(2-pyrimidinylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524954-81-2P, 4-[4-(2-Aminothiazol-4-ylmethoxy)-3-chloroanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524954-83-4P, 4-[3-Fluoro-4-[(1-methyl-1H-imidazol-2-yl)thio]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524954-85-6P, 4-[3-Fluoro-4-[(1-methyl-1H-1,3,4-triazol-2-yl)thio]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524954-87-8P, 4-[3-Chloro-4-(2-pyridylthio)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524954-89-0P, 4-[3-Chloro-4-(2-pyrimidinylthio)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524954-91-4P, 4-[3-Chloro-4-[(1H-imidazol-2-yl)thio]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524954-93-6P, 4-[3-Fluoro-4-[(1H-imidazol-2-yl)thio]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524954-95-8P, 4-[3-Chloro-4-(2-thiazolylthio)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride 524954-96-9P, 4-[3-Chloro-4-(2-pyrazinylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-97-0P, 4-[3-Chloro-4-(4-pyrimidinylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-98-1P, 4-[3-Chloro-4-(2-pyridylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524954-99-2P, 4-[3-Chloro-4-[(imidazo[1,2-a]pyridin-2-yl)methoxy]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-00-8P, 4-[4-[(Benzo[d]isoxazol-3-yl)methoxy]-3-chloroanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-01-9P, 4-[3-Chloro-4-(2-pyrimidinyloxy)anilino]-5-[(tetrahydrofuran-3-yl)oxy]quinazoline 524955-02-0P, 4-[3-Chloro-4-([1,2,4]oxadiazol-3-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-04-2P, 4-[3-Chloro-4-(5-methyl-1,2,4-oxadiazol-3-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-05-3P, 4-[4-(5-Amino-1,3,4-oxadiazol-2-ylmethoxy)-3-chloroanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-07-5P, 4-[3-Chloro-4-(2-pyridylmethoxy)anilino]-5-[(tetrahydropyran-4-yl)oxy]quinazoline 524955-10-0P, 4-[1-(3-Fluorobenzyl)indazol-5-ylamino]-5-[(tetrahydropyran-4-yl)oxy]quinazoline 524955-11-1P, 4-[3-Chloro-4-[(1H-imidazol-2-yl)thio]anilino]-5-(tetrahydropyran-4-yloxy)quinazoline 524955-12-2P, 4-[3-Chloro-4-(2-pyridylmethoxy)anilino]-5-[(tetrahydrofuran-3-yl)oxy]quinazoline 524955-14-4P, 4-[3-Chloro-4-[[1-(cyanomethyl)-1H-imidazol-2-yl]thio]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-16-6P, 4-[4-[[1-(Carbamoylmethyl)-1H-imidazol-2-yl]thio]-3-chloroanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-17-7P, 4-[3-Chloro-4-[[1-(2-methoxyethyl)-1H-imidazol-2-yl]thio]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-18-8P, 4-[3-Chloro-4-[[1-(N,N-diethylcarbamoylmethyl)-1H-imidazol-2-yl]thio]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-21-3P, 4-[3-Chloro-4-[(1-difluoromethyl-1H-imidazol-2-yl)thio]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-22-4P, 4-[4-[[1-(Cyanomethyl)-1H-imidazol-2-yl]thio]-3-fluoroanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-24-6P, 4-[4-[[1-(Carboxymethyl)-1H-imidazol-2-yl]thio]-3-chloroanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline

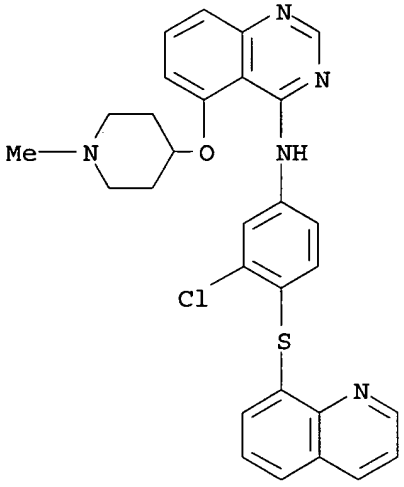
dihydrochloride 524955-25-7P, 5-(1-Methylpiperidin-4-yloxy)-4-[4-(thiazol-2-ylthio)anilino]quinazoline 524955-27-9P, 5-(1-Methylpiperidin-4-yloxy)-4-[4-(2-thiazolylsulfonyl)anilino]quinazoline 524955-28-0P, 4-[3-Chloro-4-(3-fluorobenzyloxy)aniline]-5-[[1-(4-methylpiperazin-1-yl)cyclohex-4-yl]oxy]quinazoline 524955-31-5P, 4-[3-Chloro-4-(1,2,3-thiadiazol-4-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-32-6P, 4-[3-Chloro-4-(2-pyridylmethoxy)anilino]-5-(2-piperidinoethoxy)quinazoline 524955-34-8P, 4-[3-Chloro-4-(2-pyridylmethoxy)anilino]-5-(1-methylpiperidin-2-ylmethoxy)quinazoline 524955-35-9P, 4-[3-Chloro-4-(2-pyridylmethoxy)anilino]-5-[2-(azepan-1-yl)ethoxy]quinazoline 524955-36-0P, 4-[3-Chloro-4-(2-pyridylmethoxy)anilino]-5-(2-morpholinoethoxy)quinazoline 524955-37-1P, 4-[3-Chloro-4-(2-pyridylmethoxy)anilino]-5-(2-pyrrolidinoethoxy)quinazoline 524955-38-2P, 4-[3-Chloro-4-(2-pyridylmethoxy)anilino]-5-(3-morpholinopropoxy)quinazoline 524955-39-3P, 4-[3-Chloro-4-(2-pyridylmethoxy)anilino]-5-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline 524955-40-6P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-(1-methylpiperidin-2-ylmethoxy)quinazoline 524955-41-7P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-(2-pyrrolidinoethoxy)quinazoline 524955-42-8P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-(3-morpholinopropoxy)quinazoline 524955-43-9P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-[2-(1-methylpyrrolidin-2-yl)ethoxy]quinazoline 524955-44-0P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-(2-morpholinoethoxy)quinazoline 524955-45-1P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline 524955-46-2P, 4-[3-Chloro-4-(2,6-dichlorobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-47-3P, 4-[3-Chloro-4-(4-fluorobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-48-4P, 4-[3-Chloro-4-(3-nitrobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-49-5P, 4-[3-Chloro-4-(3-pyridylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-50-8P, 4-[4-(Benzo[1,3]dioxol-5-ylmethoxy)-3-chloroanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-51-9P, 4-[3-Chloro-4-(2-methoxybenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-52-0P, 4-[3-Chloro-4-(5-methylisoxazol-3-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-53-1P, 4-[3-Chloro-4-(2-chlorobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-54-2P, 4-[3-Chloro-4-(2-chloro-6-fluorobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-55-3P, 4-[3-Chloro-4-(2,5-dimethylbenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-56-4P, 4-[3-Chloro-4-(3-methoxybenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-57-5P, 4-[3-Chloro-4-(2-nitrobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-58-6P, 4-[3-Chloro-4-(4-pyridylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-59-7P, 4-[3-Chloro-4-(2,6-difluorobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-60-0P, 4-[3-Chloro-4-(2-fluorobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-61-1P, 4-[3-Chloro-4-(3-chlorobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-62-2P, 4-[3-Chloro-4-(3-methylbenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-63-3P, 4-[3-Chloro-4-(5-chlorothiophen-2-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-64-4P, 4-[3-Chloro-4-(2-cyanobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-65-5P, 4-[3-Chloro-4-(2-methylthiazol-4-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-66-6P, 4-[3-Chloro-4-(4-methyl-2-nitrobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-67-7P, 4-[3-Chloro-4-(thiazol-4-ylmethoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524955-68-8P, 4-[3-Chloro-4-[(6-

chloro-3-pyridyl)methoxy]anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524956-20-5P, 4-(3-Chloro-4-phenoxyanilino)-5-(1-methylpiperidin-4-yloxy)quinazoline 524956-21-6P, 4-[3-Chloro-4-(3-fluorobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524956-22-7P, 4-(1-Benzylindol-5-ylamino)-5-(1-methylpiperidin-4-yloxy)quinazoline 524956-23-8P, 4-[1-(Benzenesulfonyl)indol-5-ylamino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524956-24-9P, 4-[3-Chloro-4-(3-fluorophenoxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524956-25-0P, 4-[3-Chloro-4-(2-thienoyl)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524956-26-1P, 4-[3-Ethynyl-4-(3-fluorobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524956-27-2P, 4-[3-Ethynyl-4-(2,6-difluorobenzyloxy)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline 524956-28-3P, 4-[4-[(2-Aminothiazol-4-yl)methoxy]-3-chloroanilino]-5-(1-methylpiperidin-4-yloxy)quinazoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor agent; preparation of quinazolines as erbB receptor tyrosine kinase inhibitors for treatment of cancer)

IT **524953-80-8P**, 4-[3-Chloro-4-(8-quinolylthio)anilino]-5-(1-methylpiperidin-4-yloxy)quinazoline hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor agent; preparation of quinazolines as erbB receptor tyrosine kinase inhibitors for treatment of cancer)

RN 524953-80-8 CAPLUS

CN 4-Quinazolinamine, N-[3-chloro-4-(8-quinolinylthio)phenyl]-5-[(1-methyl-4-piperidinyl)oxy]-, monohydrochloride (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

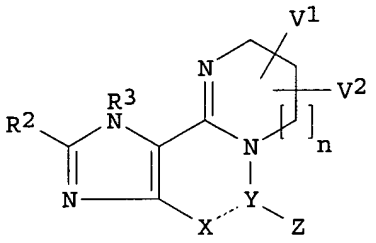
L11 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:489404 CAPLUS
DOCUMENT NUMBER: 135:76901
TITLE: Preparation of quinazoline and quinoline derivatives as remedies for diseases mediated by autophosphorylation of PDGF receptors
INVENTOR(S): Ueno, Kimihisa; Ogawa, Akira; Ohta, Yoshihisa; Nomoto, Yuji; Takasaki, Kotaro; Kusaka, Hideaki; Yano, Hiroshi; Suzuki, Chiharu; Nakanishi, Satoshi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047931 A1		20010705	WO 2000-JP9160	20001222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR

PRIORITY APPLN. INFO.: JP 1999-366313 19991224
OTHER SOURCE(S): MARPAT 135:76901
GI



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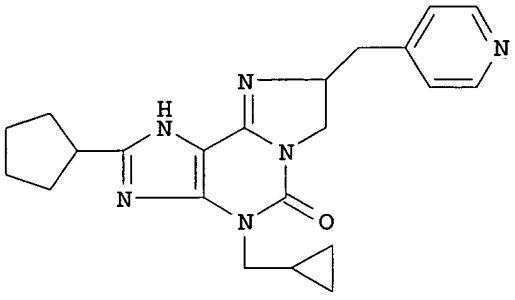

II

AB Title compds. [I; X = N, CH; R3, R4, R5, R6 independently = H, Cl, F, CH3, CH3O, NO2; A = 4-CH3C6H4CH2OCONH, 3-ClC6H4CH(CH3)OCONH, 4-FC6H4CH2OCONH, 2-ClC6H4CH(CH3)OCONH, 2-ClC6H4CH2CH2CH2OCONH, 4-CF3C6H4CH2OCONH,CH3(CH2)5OCONH, (CH3CH2)2N(CH2)3NHCSNH, YNHCONH, 4-ClC6H4O(CH2)2S, 4-ClC6H4(CH2)2NH, 3-BrC6H4CONHCSNH, C6H5COO, OH, OCH2COOCH3, OCH2COOH; Y = heterocycle, heterocyclylalkyl] and

pharmaceutically acceptable salts are prepared as remedies for diseases mediated by autophosphorylation of PDGF receptors. Thus, the title claimed compound II was prepared and biol. tested.

IC ICM C07D487-14
ICS A61K031-519; A61K031-522; A61K031-5377; A61P003-10; C07D487-14; C07D233-58; C07D233-64; C07D239-58; C07D487-14; C07D233-58; C07D233-64; C07D239-26

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 347156-89-2P 347156-90-5P 347156-91-6P 347156-92-7P 347156-93-8P
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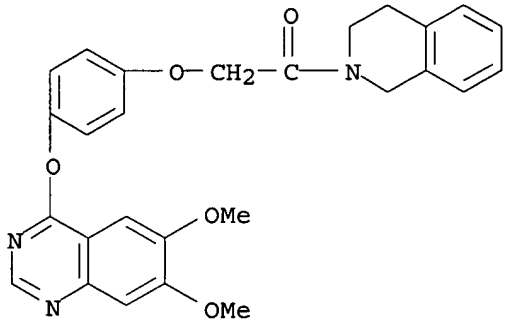
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines and quinolines as remedies for diseases

mediated by autophosphorylation of PDGF receptors)

IT **347157-60-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines and quinolines as remedies for diseases mediated by autophosphorylation of PDGF receptors)

RN 347157-60-2 CAPLUS

CN Isoquinoline, 2-[[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenoxy]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:489372 CAPLUS
DOCUMENT NUMBER: 135:92649
TITLE: Preparation of quinazoline and quinoline derivatives as remedies for diseases mediated by autophosphorylation of PDGF receptors
INVENTOR(S): Sakai, Teruyuki; Senga, Teruhumi; Furuta, Takayuki; Miwa, Atushi
PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 1068 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047890	A1	20010705	WO 2000-JP9157	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001022232	A5	20010709	AU 2001-22232	20001222
EP 1243582	A1	20020925	EP 2000-985844	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: JP 1999-377486 A 19991224
JP 1999-374494 A 19991228
JP 2000-177790 A 20000614
WO 2000-JP9157 W 20001222

OTHER SOURCE(S): MARPAT 135:92649

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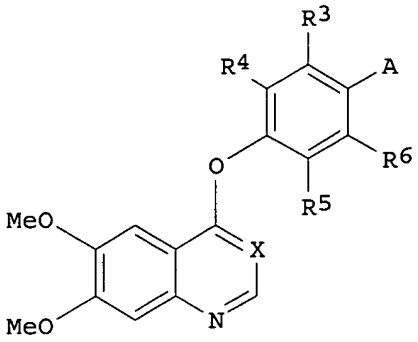

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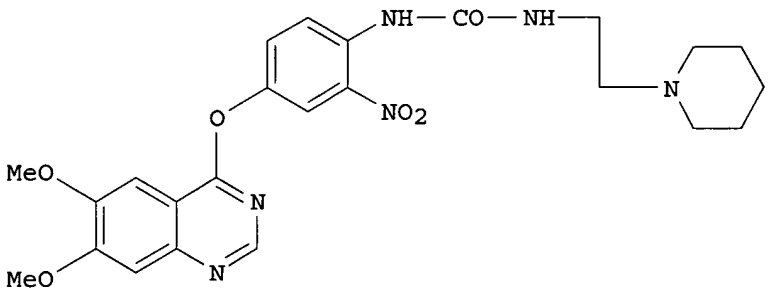

II

AB Title compds. [I; X = N, CH; R3, R4, R5, R6 independently = H, Cl, F, CH3, CH3O, NO2; A = 4-CH3C6H4CH2OCONH, 3-ClC6H4CH(CH3)OCONH, 4-FC6H4CH2OCONH, 2-ClC6H4CH(CH3)OCONH, 2-ClC6H4CH2CH2CH2OCONH, 4-CF3C6H4CH2OCONH,CH3(CH2)5OCONH, (CH3CH2)2N(CH2)3NHCSNH, YNHCONH, 4-ClC6H4O(CH2)2S, 4-ClC6H4(CH2)2NH, 3-BrC6H4CONHCSNH, C6H5COO, OH, OCH2COOCH3, OCH2COOH; Y = heterocycle, heterocyclylalkyl] and pharmaceutically acceptable salts are prepared as remedies for diseases mediated by autophosphorylation of PDGF receptors, particularly useful as intimal thickening inhibitors. Thus, the title claimed compound II was prepared and biol. tested.

IC ICM C07D215-233
ICS C07D239-88; C07D401-12; C07D403-12; C07D405-12; A61K031-47; A61K031-496; A61K031-5377; A61K031-505; A61K031-4709; A61K031-517; A61P043-00; A61P009-10

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 347156-89-2P 347156-90-5P 347156-91-6P 347156-92-7P 347156-93-8P
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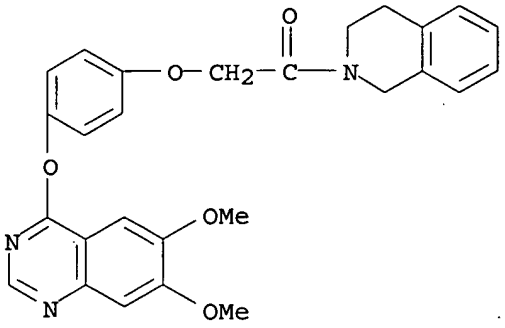
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines and quinolines as remedies for diseases mediated by autophosphorylation of PDGF receptors)

IT **347157-60-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines and quinolines as remedies for diseases mediated by autophosphorylation of PDGF receptors)

RN 347157-60-2 CAPLUS
CN Isoquinoline, 2-[[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenoxy]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228866 CAPLUS
DOCUMENT NUMBER: 134:266317
TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918
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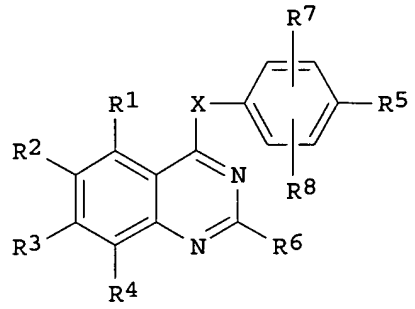
ZA 2002002234	A	20030619	ZA 2002-2234	20020319
NO 2002001399	A	20020430	NO 2002-1399	20020320

PRIORITY APPLN. INFO.: GB 1999-22154 A 19990921
GB 1999-22170 A 19990921
WO 2000-GB3580 W 20000918
OTHER SOURCE(S): MARPAT 134:266317
GI



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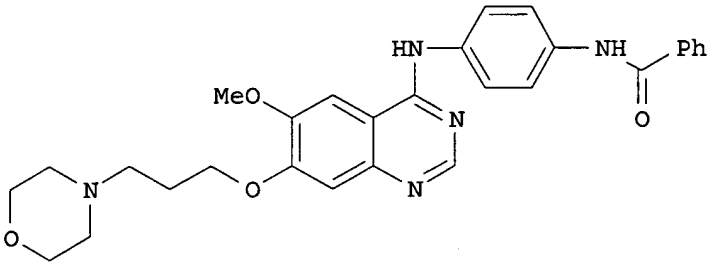

II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR12; R12 = H or alkyl; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R13, or R15X1; R13 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, CO2, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R15 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R5 = NHCO2R9, NHCOR9, NHSO2R9, COR9, CO2R9, SOR9, SO2OR9, CONR10R11, SONR10R11, or SO2NR10R11; R9-R11 = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R10 and R11 together with the N to which they are attached = (un)substituted heterocyclyl; R6 = H or (un)substituted hydrocarbyl or heterocyclyl; R7 and R8 = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF3, CN, NHY2, alkenyl, alkynyl, or (un)substituted Ph, PhCH2, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the quinazoline(68%), (6) chlorination to give 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.0193 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06 μM and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209 μM.

IC ICM C07D239-94
ICS A61K031-517; A61P035-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 202475-67-0P 331770-22-0P 331770-23-1P 331770-24-2P 331770-25-3P
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331772-64-6P

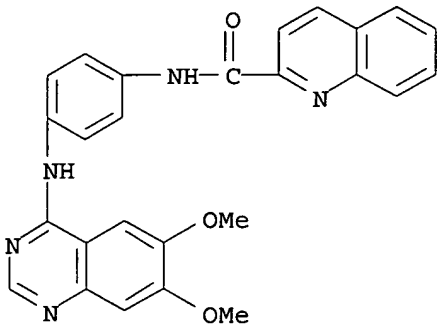
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

IT **331770-45-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

RN 331770-45-7 CAPLUS

CN 2-Quinolinecarboxamide, N-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228864 CAPLUS
DOCUMENT NUMBER: 134:252355
TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021594	A1	20010329	WO 2000-GB3556	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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EP 1218356	A1	20020703	EP 2000-962677	20000918
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EE 200200149	A	20030415	EE 2002-149	20000918
AU 763242	B2	20030717	AU 2000-74325	20000918
ZA 2002001833	A	20030605	ZA 2002-1833	20020305
BG 106491	A	20021229	BG 2002-106491	20020307
NO 2002001401	A	20020521	NO 2002-1401	20020320

PRIORITY APPLN. INFO.:

GB 1999-22152	A	19990921
GB 1999-22156	A	19990921
GB 1999-22159	A	19990921
WO 2000-GB3556	W	20000918

OTHER SOURCE(S): MARPAT 134:252355
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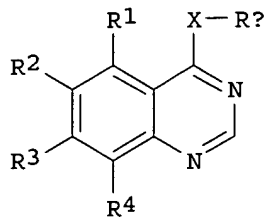

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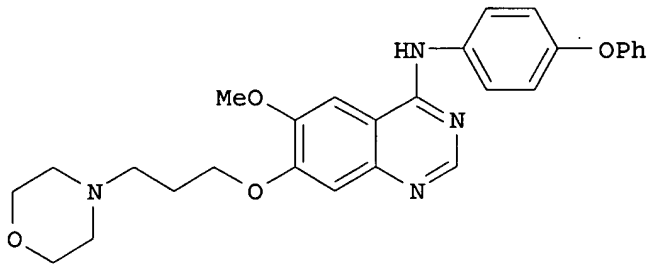

II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR8; R8 = H or alkyl; Ra = (un)substituted 3-quinolinyl or Ph; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R12, or R14X1; R12 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R14 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, 4-phenoxyaniline•HCl and 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline were refluxed in i-PrOH to yield II (86%). The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.069 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 2.89 μM and reduced BrdU incorporation into cellular DNA by 50% at 3.68 μM.

IC ICM C07D239-94
ICS C07D401-12; A61P035-00; A61K031-517

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 179246-62-9P 179688-83-6P 183319-34-8P 330999-28-5P 330999-29-6P
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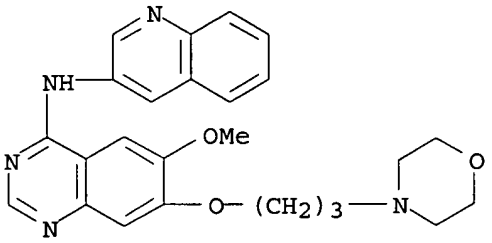
330999-72-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-substituted quinazoline aurora 2 kinase inhibitors by coupling quinolinyl or Ph alcs., thiols, or amines with 4-haloquinazolines)

IT **330999-72-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-substituted quinazoline aurora 2 kinase inhibitors by coupling quinolinyl or Ph alcs., thiols, or amines with 4-haloquinazolines)

RN 330999-72-9 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-N-3-quinolinyl-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:113672 CAPLUS

DOCUMENT NUMBER: 130:182476

TITLE: Preparation of heterocyclic compounds as irreversible bicyclic inhibitors of tyrosine kinases

INVENTOR(S): Bridges, Alexander James

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906396	A1	19990211	WO 1998-US15592	19980729
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9886659	A1	19990222	AU 1998-86659	19980729
US 6153617	A	20001128	US 1999-269647	19990325
US 2003087881	A1	20030508	US 2002-272651	20021017

PRIORITY APPLN. INFO.: US 1997-54061P P 19970729
WO 1998-US15592 W 19980729
US 1999-269647 A3 19990325
US 2000-656331 B1 20000906

OTHER SOURCE(S): MARPAT 130:182476

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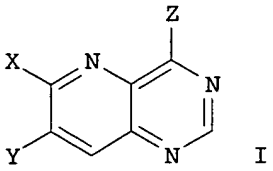

I

AB The title compds., e.g. I [X = DEF, Y = SR4, etc. ; or X = SR4, etc., and Y = DEF; D = O, etc.; E = CO, etc.; F = CR1(:C):C(R5)H, etc.; a proviso is given; R1 = H, halo, etc.; R5 = H, halo, perfluoroalkyl, etc.; Z = indoline moiety (generic structure given), etc.; R4 = H, alkyl, etc.], are prepared This invention also provides a method of treating cancer, restenosis, atherosclerosis, endometriosis, and psoriasis and a pharmaceutical composition that comprises a compound that is an irreversible inhibitor of tyrosine kinases. N-[4-(6-bromo-2,3-dihydroindol-1-yl)quinazolin-6-yl]acrylamide in vitro showed IC50 of 0.4 nM against epidermal growth factor receptor tyrosine kinase.

IC ICM C07D403-04
ICS A61K031-505; C07D401-04; C07D413-14

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

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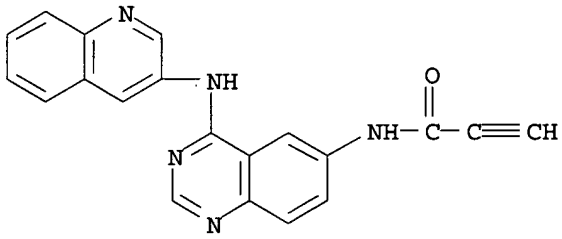
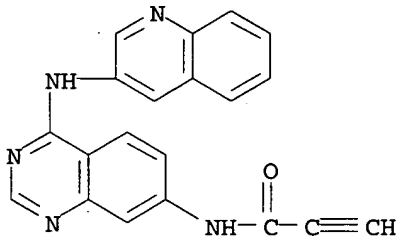
220577-68-4P 220577-69-5P 220577-70-8P 220577-71-9P 220577-72-0P
220577-73-1P 220577-74-2P 220577-75-3P 220577-76-4P 220577-77-5P
220577-78-6P 220577-79-7P 220577-80-0P 220577-81-1P 220577-82-2P
220577-83-3P 220577-84-4P 220577-85-5P 220577-86-6P 220577-88-8P
220577-90-2P 220577-92-4P 220577-94-6P 220577-96-8P 220577-98-0P
220578-00-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as irreversible bicyclic inhibitors of tyrosine kinases)

IT **220576-75-0P 220576-77-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as irreversible bicyclic inhibitors of tyrosine kinases)

RN 220576-75-0 CAPLUS
CN 2-Propynamide, N-[4-(3-quinolinylamino)-6-quinazolinyl]- (9CI) (CA INDEX NAME)



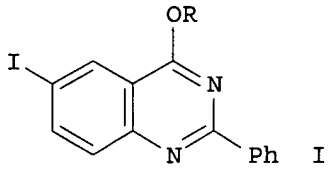
RN 220576-77-2 CAPLUS
CN 2-Propynamide, N-[4-(3-quinolinylamino)-7-quinazolinyl]- (9CI) (CA INDEX NAME)



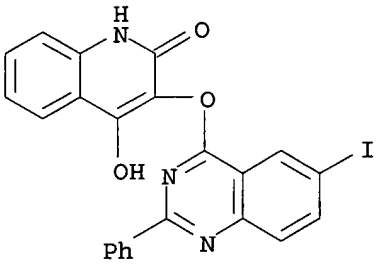
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:304564 CAPLUS

DOCUMENT NUMBER: 125:58435
TITLE: Synthesis and biological activities of some new heterocyclic compounds bearing 2-phenyl-6-iodoquinazolinyl-4-oxy moiety. Part I
AUTHOR(S): Abdel-Hamide, S. G.; El-Hakim, A.E.; Abdel-Rahman, R.M.
CORPORATE SOURCE: Faculty of Pharmacy, Al-Azhar University, Nasr, Egypt
SOURCE: Indian Journal of Heterocyclic Chemistry (1996), 5(3), 219-222
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Lucknow University, Dep. of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB New heterocyclics with a 2-phenyl-6-iodoquinazolinyl-4-oxy moiety e.g. I (R = CH2CONHNH2, 2-amino-1,3,4-thiadiazol-5-ylmethyl, 2,4-dihydroxy-3-quinolinyl, 3-mercapto-1H-1,2,4-triazol-5-ylmethyl) have been prepared from the reactions of 4-carboethoxymethyloxy-2-phenyl-6-iodoquinazoline with various nitrogen compds. followed by cyclization reactions. Some of these new heterocyclics have been tested for their bactericidal activities.
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 10
IT 178206-31-0P 178206-32-1P 178206-33-2P **178206-35-4P**
178206-36-5P 178206-38-7P 178206-39-8P 178206-41-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of new 2-phenyl-6-iodoquinazolinyl-4-oxy heterocyclics)
IT **178206-35-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of new 2-phenyl-6-iodoquinazolinyl-4-oxy heterocyclics)
RN 178206-35-4 CAPLUS
CN 2(1H)-Quinolinone, 4-hydroxy-3-[(6-iodo-2-phenyl-4-quinazolinyl)oxy]-(9CI) (CA INDEX NAME)

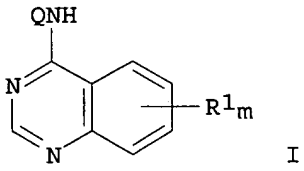


L11 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:23238 CAPLUS
DOCUMENT NUMBER: 122:31545

TITLE: Preparation of aminoquinazolines useful in the treatment of cancer
INVENTOR(S): Barker, Andrew John; Brown, Dearg Sutherland
PATENT ASSIGNEE(S): Zeneca, UK
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 602851	A1	19940622	EP 1993-309680	19931203
EP 602851	B1	19961009		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 9350728	A1	19940623	AU 1993-50728	19931116
AU 664496	B2	19951116		
ZA 9308594	A	19940610	ZA 1993-8594	19931117
CA 2103383	AA	19940611	CA 1993-2103383	19931118
IL 107678	A1	19990312	IL 1993-107678	19931119
HU 65622	A2	19940728	HU 1993-3328	19931124
FI 9305431	A	19940611	FI 1993-5431	19931203
AT 143956	E	19961015	AT 1993-309680	19931203
ES 2093367	T3	19961216	ES 1993-309680	19931203
CZ 283612	B6	19980513	CZ 1993-2651	19931206
NO 9304504	A	19940613	NO 1993-4504	19931209
JP 06336481	A2	19941206	JP 1993-309184	19931209
JP 3330706	B2	20020930		
CN 1094043	A	19941026	CN 1993-120872	19931210
US 5580870	A	19961203	US 1993-164725	19931210

PRIORITY APPLN. INFO.: GB 1992-25765 A 19921210
GB 1993-10248 A 19930518
OTHER SOURCE(S): MARPAT 122:31545
GI



I

AB The title compds. [I; Q = 9- or 10-membered bicyclic heterocyclic moiety containing 1-2 N atoms; R1 = OH, NH2, ureido, hydroxyamino, trifluoromethoxy, (un)substituted C1-4 alkyl, C1-4 alkoxy, pyrrolidin-1-yl, piperidino, etc.; m = 1-3], useful in the treatment of cancer (no data), are prepared and I-containing formulations presented. Thus, 4-chloro-6,7-dimethoxyquinazoline was reacted with 5-aminoquinoline, producing 6,7-dimethoxy-4-(5-quinolylamino)quinazoline, m.p. > 240°, in 35% yield.

IC ICM C07D403-12
ICS C07D409-12; C07D239-94; C07D417-12; C07D403-14; C07D491-056; A61K031-505
ICI C07D491-056, C07D319-00, C07D239-00

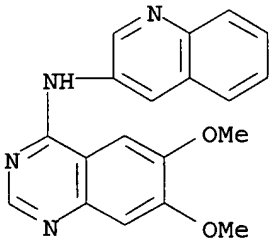
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 63

IT 159526-22-4P 159737-57-2P 159737-58-3P 159737-59-4P 159737-60-7P
159737-61-8P 159737-62-9P 159737-63-0P 159737-64-1P 159737-65-2P
159737-66-3P 159737-68-5P 159737-69-6P 159737-70-9P 159737-71-0P
159737-72-1P **159737-73-2P** 159737-74-3P 159737-75-4P
159737-76-5P 159737-77-6P 159737-78-7P 159768-19-1P 159768-20-4P
159768-21-5P 159768-22-6P 159768-23-7P 159768-24-8P 159768-25-9P
159768-27-1P 159768-28-2P 159768-29-3P 159768-30-6P 159768-31-7P
159768-33-9P 159768-34-0P 159768-36-2P 159768-39-5P 159768-40-8P
159768-41-9P 159768-42-0P 159768-43-1P 159768-44-2P 159768-45-3P
159768-46-4P 159768-47-5P 159768-49-7P 159768-55-5P 159768-56-6P
159768-58-8P 159768-59-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as anticancer agent)

IT **159737-73-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as anticancer agent)

RN 159737-73-2 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-N-3-quinolinyl-, dihydrochloride (9CI) (CA INDEX NAME)



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L12 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:41281 CAPLUS
DOCUMENT NUMBER: 140:94060
TITLE: Preparation of benzodioxole-containing quinazolines with MAP kinase inhibitory activity for treatment of cancer
INVENTOR(S): Hennequin, Laurent Francois Andre; Foote, Kevin Michael; Gibson, Keith Hopkinson
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004732	A1	20040115	WO 2003-GB2874	20030704

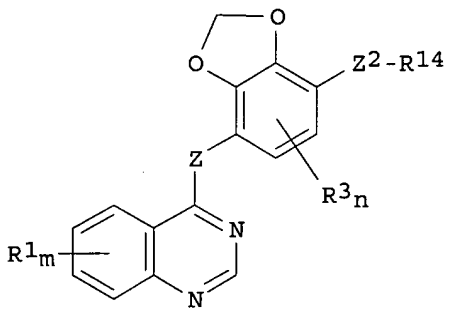
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

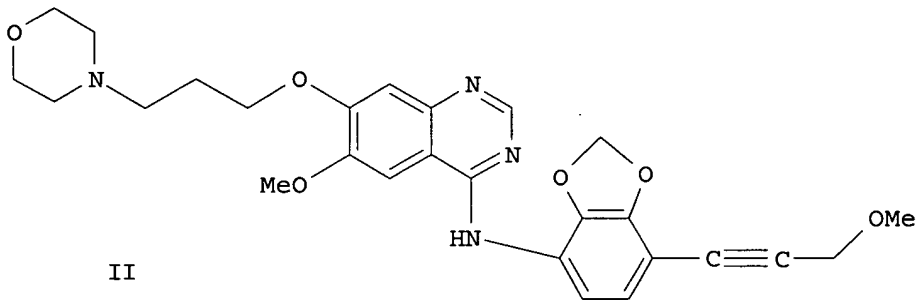
PRIORITY APPLN. INFO.: GB 2002-15825 A 20020709
GB 2003-12897 A 20030605

OTHER SOURCE(S): MARPAT 140:94060

GI



I



II

AB The invention concerns benzodioxole-containing quinazolines (shown as I; variables defined below; e.g. II), processes for their preparation, pharmaceutical compns. containing them and their use in the manufacture of a medicament for use as an anti-invasive or anti-proliferative agent in the containment and/or treatment of solid tumor disease (no data). Compds. I possess p44MAP kinase inhibitory activity (no data). Methods of preparation are claimed and .apprx.90 example prepns. are included. For example, II was prepared from N-(7-iodo-1,3-benzodioxol-4-yl)-6-methoxy-7-[3-(morpholin-4-yl)propoxy]quinazolin-4-amine and Me propargyl ether in the presence of

bis(triphenylphosphine)palladium(II) chloride, copper iodide and iPr2NH in EtOAc; prepns. of the reactants are also described. For I: Z is O, S, SO, SO2, N(R2) or C(R2)2 (R2 is H or (1-6C)alkyl); m is 0-4; each R1 = halo, trifluoromethyl, cyano, isocyano, nitro, hydroxy, mercapto, amino, formyl, carboxy, carbamoyl, (1-6C)alkyl, (2-8C)alkenyl, (2-8C)alkynyl, etc. N = 0-2; R3 = halo, trifluoromethyl, cyano, nitro, hydroxy, amino, carboxy, carbamoyl, (1-6C)alkyl, (2-8C)alkenyl, (2-8C)alkynyl, (1-6C)alkoxy, etc.; Z2 is C.tplbond.C or C(R13):C(R13) (R13 is H or (1-6C)alkyl); and R14 = halo, cyano, isocyano, formyl, carboxy, (2-8C)alkenyl, (2-8C)alkynyl, (1-6C)alkoxycarbonyl, etc.; addnl. details are given in the claims.

IC ICM A61K031-517
ICS C07D405-12; C07D405-14

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 75-26-3, 2-Bromopropane 78-77-3, 1-Bromo-2-methylpropane 98-59-9, 4-Toluenesulfonyl chloride 106-96-7, Propargyl bromide 107-19-7, Propargyl alcohol 109-01-3, N-Methylpiperazine 109-70-6, 1-Bromo-3-chloropropane 110-85-0, Piperazine, reactions 110-91-8, Morpholine, reactions 111-95-5 115-19-5, 2-Methyl-3-butyn-2-ol 156-87-6, 3-Aminopropanol 274-09-9, Benzodioxole 288-13-1, Pyrazole 303-38-8, 2,3-Dihydroxybenzoic acid 348-62-9, 4-Chloro-2-fluorophenol 453-20-3, 3-Hydroxytetrahydrofuran 536-74-3, Phenylacetylene 627-18-9 627-30-5, 3-Chloropropan-1-ol 627-41-8, Methyl propargyl ether 628-33-1, 3-Ethoxyprop-1-yne 766-61-0, 1-(2-Propyn-1-yl)pyrrolidin-2-one 1066-54-2, Trimethylsilylacetylene 1120-90-7, 3-Iodopyridine 1945-84-2, 2-Ethynylpyridine 2028-63-9, 3-Butyn-2-ol 2117-11-5, 4-Pentyn-2-ol 2516-33-8, Cyclopropylmethanol 3637-61-4, Cyclopentanemethanol 4045-24-3, 4-Methoxypiperidine 4360-63-8, 2-Bromomethyl-1,3-dioxolane 4441-30-9, 3-(Morpholin-4-yl)propan-1-ol 4595-60-2, 2-Bromopyrimidine 5382-16-1, 4-Hydroxypiperidine 5625-67-2, Piperazin-2-one 6457-49-4, 4-Piperidinemethanol 6482-24-2, 2-Bromoethyl methyl ether 6485-55-8, cis-2,6-Dimethylmorpholine 6940-78-9, 1-Bromo-4-chlorobutane 7223-38-3, 1-Dimethylamino-2-propyne 7693-46-1, 4-Nitrophenyl chloroformate 7755-92-2, 1-Formylpiperazine 13889-98-0, 1-Acetylpiperazine 15833-61-1, Tetrahydro-3-furanmethanol 31995-08-1, 3-(2-Methoxyethoxy)prop-1-yne 32111-21-0, 3-Iodopyrazine 35161-71-8, N-Methylpropargylamine 53135-63-0, 3-Isopropoxyprop-1-yne 57260-71-6, tert-Butyl 1-piperazinecarboxylate 60547-98-0, 2-Amino-4-benzyloxy-5-methoxybenzamide 68832-13-3, (R)-(-)-2-Pyrrolidinemethanol 76003-29-7, tert-Butyl 3-oxopiperazine-1-carboxylate 77378-24-6, Prop-2-ynyloxyacetyl chloride 89031-84-5, (3-Bromopropoxy)-tert-butyldimethylsilane 98027-52-2, 1-Acetyl-4-iodo-1H-pyrazole 145943-75-5, 3-(4-Acetylpiperazin-1-yl)propan-1-ol 263400-68-6, 4-Chloro-6-methoxyquinazolin-7-ol **263400-80-2**, 6-Methoxy-7-[2-(morpholin-4-yl)ethoxy]-4-(pentafluorophenoxy)quinazoline 264208-72-2, 4-Chloro-6-methoxy-7-[(1-methylpiperidin-4-yl)methoxy]quinazoline 288383-30-2, 4-Chloro-7-[3-(1,1-dioxido-4-thiomorpholinyl)propoxy]-6-methoxyquinazoline 379229-33-1, 4-Oxo-5-[(tetrahydro-2H-pyran-4-yl)oxy]-3,4-dihydroquinazolin-7-yl acetate 379229-61-5, 7-Benzyloxy-5-hydroxy-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one 379229-70-6, 7-Methoxy-5-[(tetrahydro-2H-pyran-4-yl)oxy]quinazolin-4(3H)-one 401811-78-7, 5-Bromo-1,3-benzodioxol-4-amine 408304-92-7, 4-Nitrophenyl (2-propyn-1-yl)carbamate 492444-04-9, 5-Fluoro-1,3-benzodioxol-4-amine 643086-58-2, 2-(2-Fluoroethyl)piperazine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzodioxole-containing quinazolines with MAP kinase inhibitory
activity for treatment of cancer)

IT 1668-84-4P, 1,3-Benzodioxol-4-amine 2411-83-8P, Methyl

2,3-dihydroxybenzoate 5317-33-9P, 1-(3-Hydroxypropyl)-4-methylpiperazine 5768-39-8P, 2,3-Methylenedioxybenzoic acid 6126-10-9P, 1-[(4-Methylphenyl)sulfonyl]-1H-pyrazole 7228-38-8P, 5-Chloro-1,3-benzodioxole 7357-67-7P, 3-(Morpholino)propyl chloride 13790-39-1P, 4-Chloro-6,7-dimethoxyquinazoline 18857-02-8P, 3-Methoxybut-1-yne 33842-16-9P, Methyl 2,3-methylenedioxybenzoate 36678-08-7P, 4-Methoxybut-1-yne 52829-73-9P, 1-Methyl-3-(2-propyn-1-yl)urea 59702-07-7P, 1-Methylpiperazin-2-one 105922-68-7P, 3-(Propargyloxy)tetrahydrofuran 111081-10-8P, tert-Butyl (2,3-methylenedioxyphenyl)carbamate 124868-71-9P, [(Prop-2-yn-1-yloxy)methyl]cyclopentane 134595-50-9P, 1,1,3-Trimethyl-3-(2-propyn-1-yl)urea 142169-20-8P, 5-Iodo-1-[(4-methylphenyl)sulfonyl]-1H-pyrazole 162364-72-9P, 7-Benzyloxy-4-chloro-6-methoxyquinazoline 179688-01-8P, 7-Benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one 184042-58-8P, 4-(2-Fluoroethyl)piperazine-1-carboxylic acid tert-butyl ester 193001-55-7P, 7-Benzyloxy-6-methoxy-4-phenoxyquinazoline 193001-56-8P, 7-Hydroxy-6-methoxy-4-phenoxyquinazoline **193001-80-8P**, 7-Benzyloxy-4-(4-chloro-2-fluorophenoxy)-6-methoxyquinazoline 196194-45-3P, 4-Chloro-7-(2-methoxyethoxy)-6-methoxyquinazoline 196194-61-3P, 6-Methoxy-7-[3-(morpholin-4-yl)propoxy]-4-phenoxyquinazoline 196194-62-4P, 6-Methoxy-7-[3-(morpholin-4-yl)propoxy]-3,4-dihydroquinazolin-4-one 196195-13-8P, 4-Chloro-6-methoxy-7-[3-(morpholin-4-yl)propoxy]quinazoline 196308-33-5P, 7-Iodo-1,3-benzodioxol-4-amine 264208-53-9P, 6-Methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]-3,4-dihydroquinazolin-4-one 264208-55-1P, 4-Chloro-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline 288384-72-5P, 3-(4-Methylpiperazin-1-yl)propyl 4-toluenesulfonate **288384-73-6P**, 4-(4-Chloro-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline trifluoroacetate **288384-74-7P**, 4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline 331809-40-6P, 4-Chloro-7-(3-chloropropoxy)-6-methoxyquinazoline 379228-45-2P, 5-Chloro-1,3-benzodioxol-4-amine 379229-72-8P, 4-Chloro-5-[(tetrahydro-2H-pyran-4-yl)oxy]quinazolin-7-ol 379229-83-1P, 5-Chloro-1,3-benzodioxole-4-carboxylic acid 379229-84-2P, tert-Butyl (5-chloro-1,3-benzodioxol-4-yl)carbamate 492444-15-2P, 5-Chloro-7-iodo-1,3-benzodioxol-4-amine 574745-71-4P, 7-[3-(4-Acetylpiperazin-1-yl)propoxy]-4-chloro-6-methoxyquinazoline 574745-91-8P, 1-(2-Fluoroethyl)piperazine bis(trifluoroacetate) 574745-95-2P, 3-[4-(2-Fluoroethyl)piperazin-1-yl]propan-1-ol 574746-07-9P, 4-Chloro-7-[3-[4-(2-fluoroethyl)piperazin-1-yl]propoxy]-6-methoxyquinazoline 642493-66-1P, 5-Chloro-7-(3-methoxyprop-1-ynyl)-1,3-benzodioxol-4-amine 643083-06-1P, N-(7-Iodo-1,3-benzodioxol-4-yl)-6-methoxy-7-[3-(morpholin-4-yl)propoxy]quinazolin-4-amine 643083-21-0P, 5-Fluoro-7-(3-methoxy-1-propyn-1-yl)-1,3-benzodioxol-4-amine 643083-24-3P, 4-Amino-5-fluoro-7-iodo-1,3-benzodioxole 643083-35-6P, 5-Chloro-7-(4-methoxy-1-butyn-1-yl)-1,3-benzodioxol-4-amine 643083-39-0P, 5-Fluoro-7-(4-methoxy-1-butyn-1-yl)-1,3-benzodioxol-4-amine 643083-43-6P, 5-Chloro-7-(3-ethoxy-1-propyn-1-yl)-1,3-benzodioxol-4-amine 643083-47-0P, 5-Chloro-7-[3-(2-methoxyethoxy)-1-propyn-1-yl]-1,3-benzodioxol-4-amine 643083-52-7P, 5-Chloro-7-(3-isopropoxy-1-propyn-1-yl)-1,3-benzodioxol-4-amine 643083-57-2P, 5-Chloro-7-[3-(cyclopropylmethoxy)-1-propyn-1-yl]-1,3-benzodioxol-4-amine 643083-59-4P, [(Prop-2-yn-1-yloxy)methyl]cyclopropane 643083-63-0P, N-[5-Chloro-7-(4-methoxy-1-butyn-1-yl)-1,3-benzodioxol-4-yl]-7-(3-chloropropoxy)-6-methoxyquinazolin-4-amine 643083-70-9P, N-[3-(7-Amino-6-chloro-1,3-benzodioxol-4-yl)-2-propyn-1-yl]morpholine-4-carboxamide 643083-75-4P, N-(2-Propyn-1-yl)morpholine-4-carboxamide 643083-80-1P, 3-[3-(7-Amino-6-chloro-1,3-benzodioxol-4-yl)-2-propyn-1-yl]-1,1-dimethylurea 643083-82-3P, 1,1-Dimethyl-3-(2-propyn-1-yl)urea 643083-86-7P, 1-[3-(7-Amino-6-chloro-1,3-benzodioxol-4-yl)-2-propyn-1-yl]-

3-methylurea 643084-18-8P, N-(5-Chloro-7-iodo-1,3-benzodioxol-4-yl)-6-methoxy-7-[3-(morpholin-4-yl)propoxy]quinazolin-4-amine 643084-24-6P, 4-Nitrophenyl N-(methyl)-N-(prop-2-yn-1-yl)carbamate 643084-32-6P, 4-[3-[[4-[(5-Chloro-7-iodo-1,3-benzodioxol-4-yl)amino]-6-methoxyquinazolin-7-yl]oxy]propyl]-1-methylpiperazin-2-one 643084-34-8P, N-(5-Chloro-7-iodo-1,3-benzodioxol-4-yl)-7-(3-chloropropoxy)-6-methoxyquinazolin-4-amine 643084-38-2P, 1-[3-[(4-Chloro-6-methoxyquinazolin-7-yl)oxy]propyl]-4-methylpiperazin-2-one 643084-40-6P, tert-Butyl 4-[3-[(tert-butyldimethylsilyl)oxy]propyl]-3-oxopiperazine-1-carboxylate 643084-42-8P, 1-(3-Hydroxypropyl)piperazin-2-one 643084-44-0P, 1-(3-Hydroxypropyl)-4-methylpiperazin-2-one 643084-52-0P, 5-Chloro-7-(4-methoxy-1-pentyn-1-yl)-1,3-benzodioxol-4-amine 643084-54-2P, 4-Methoxypent-1-yne 643084-60-0P, 5-Chloro-7-[(pyridin-2-yl)ethynyl]-1,3-benzodioxol-4-amine 643084-64-4P, N-(5-Chloro-7-iodo-1,3-benzodioxol-4-yl)-7-[3-(cis-2,6-dimethylmorpholin-4-yl)propoxy]-6-methoxyquinazolin-4-amine 643084-72-4P, 4-Chloro-7-ethoxy-6-methoxyquinazoline 643084-76-8P, 4-Chloro-7-isopropoxy-6-methoxyquinazoline 643084-83-7P, 4-Chloro-7-isobutoxy-6-methoxyquinazoline 643084-87-1P, 7-(4-Chlorobutoxy)-N-[5-chloro-7-(3-methoxy-1-propyn-1-yl)-1,3-benzodioxol-4-yl]-6-methoxyquinazolin-4-amine 643084-89-3P, 4-Chloro-7-(4-chlorobutoxy)-6-methoxyquinazoline 643084-97-3P, 7-(2-Chloroethoxy)-N-[5-chloro-7-(3-methoxy-1-propyn-1-yl)-1,3-benzodioxol-4-yl]-6-methoxyquinazolin-4-amine 643084-99-5P, 4-Chloro-7-(2-chloroethoxy)-6-methoxyquinazoline 643085-21-6P, 3-[(Propargyloxy)methyl]tetrahydrofuran 643085-27-2P, 2-[(Propargyloxy)methyl]-1,3-dioxolane 643085-35-2P, 5-Chloro-7-[(pyridin-3-yl)ethynyl]-1,3-benzodioxol-4-amine 643085-39-6P, 5-Chloro-7-[(trimethylsilyl)ethynyl]-1,3-benzodioxol-4-amine 643085-43-2P, 5-Chloro-7-ethynyl-1,3-benzodioxol-4-amine 643085-51-2P, N-(5-Chloro-7-ethynyl-1,3-benzodioxol-4-yl)-6-methoxy-7-[3-(morpholin-4-yl)propoxy]quinazolin-4-amine 643085-68-1P, 7-(3-Chloropropoxy)-N-[5-chloro-7-[(pyridin-2-yl)ethynyl]-1,3-benzodioxol-4-yl]-6-methoxyquinazolin-4-amine 643085-73-8P, N-(5-Chloro-7-iodo-1,3-benzodioxol-4-yl)-6,7-dimethoxyquinazolin-4-amine 643085-78-3P, N-[5-Chloro-7-(3-isopropoxy-1-propyn-1-yl)-1,3-benzodioxol-4-yl]-7-(3-chloropropoxy)-6-methoxyquinazolin-4-amine 643085-83-0P, N-[5-Chloro-7-[[1-[(4-methylphenyl)sulfonyl]-1H-pyrazol-5-yl]ethynyl]-1,3-benzodioxol-4-yl]-6-methoxy-7-[3-(morpholin-4-yl)propoxy]quinazolin-4-amine 643085-96-5P, 4-(7-Amino-6-chloro-1,3-benzodioxol-4-yl)-2-methyl-3-butyn-2-ol 643086-00-4P, 2-[[3-(7-Amino-6-chloro-1,3-benzodioxol-4-yl)-2-propyn-1-yl]oxy]-N-methylacetamide 643086-02-6P, N-Methyl-2-(prop-2-yn-1-yloxy)acetamide 643086-08-2P, 2-[[3-(7-Amino-6-chloro-1,3-benzodioxol-4-yl)-2-propyn-1-yl]oxy]-N,N-dimethylacetamide 643086-11-7P, N,N-Dimethyl-2-(prop-2-yn-1-yloxy)acetamide 643086-17-3P, 5-Chloro-7-(3-methoxy-1-butyn-1-yl)-1,3-benzodioxol-4-amine 643086-26-4P, [5-Bromo-7-(3-methoxy-1-propyn-1-yl)-1,3-benzodioxol-4-yl]amine 643086-28-6P, 5-Bromo-7-iodo-1,3-benzodioxol-4-amine 643086-35-5P, N-[5-Bromo-7-(3-methoxy-1-butyn-1-yl)-1,3-benzodioxol-4-yl]-7-(3-chloropropoxy)-6-methoxyquinazolin-4-amine 643086-37-7P, 5-Bromo-7-(3-methoxy-1-butyn-1-yl)-1,3-benzodioxol-4-amine 643086-43-5P, N-[5-Bromo-7-(3-methoxy-1-propyn-1-yl)-1,3-benzodioxol-4-yl]-7-(3-chloropropoxy)-6-methoxyquinazolin-4-amine 643086-62-8P, 4-Chloro-7-[3-(morpholin-4-yl)propoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]quinazoline 643086-67-3P, 4-Chloro-7-methoxy-5-[(tetrahydro-2H-pyran-4-yl)oxy]quinazoline 643086-72-0P, N-(5-Chloro-7-iodo-1,3-benzodioxol-4-yl)-5-isopropoxy-7-[3-(morpholin-4-yl)propoxy]quinazolin-4-amine 643086-74-2P, 7-Benzyloxy-5-isopropoxy-3,4-dihydroquinazolin-4-one 643086-75-3P, 7-Hydroxy-5-isopropoxy-3,4-dihydroquinazolin-4-one 643086-77-5P, 7-Acetoxy-5-isopropoxy-3,4-dihydroquinazolin-4-one 643086-78-6P, 4-[(5-Chloro-7-iodo-1,3-benzodioxol-4-yl)amino]-5-isopropoxyquinazolin-7-ol 643086-80-0P, N-[(5-Chloro-7-iodo-1,3-

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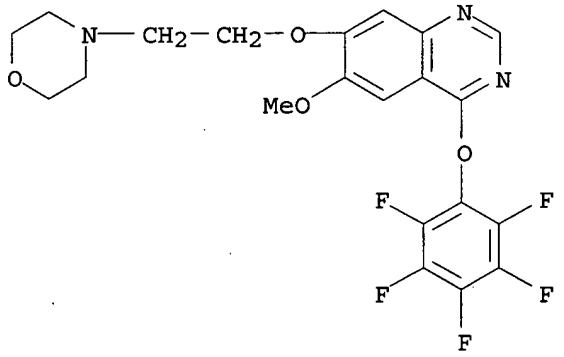
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzodioxole-containing quinazolines with MAP kinase inhibitory
activity for treatment of cancer)

IT **263400-80-2**, 6-Methoxy-7-[2-(morpholin-4-yl)ethoxy]-4-(pentafluorophenoxy)quinazoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzodioxole-containing quinazolines with MAP kinase inhibitory
activity for treatment of cancer)

RN 263400-80-2 CAPLUS
CN Quinazoline, 6-methoxy-7-[2-(4-morpholinyl)ethoxy]-4-(pentafluorophenoxy)-(9CI) (CA INDEX NAME)



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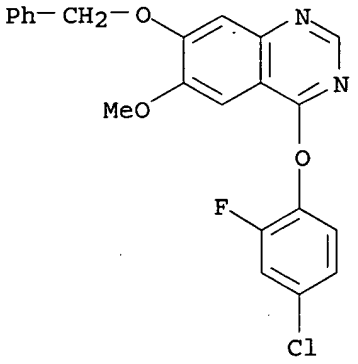
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzodioxole-containing quinazolines with MAP kinase inhibitory
activity for treatment of cancer)

RN 193001-80-8 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-(phenylmethoxy)-(9CI) (CA INDEX NAME)



RN 288384-73-6 CAPLUS
CN 7-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

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CRN 193001-79-5
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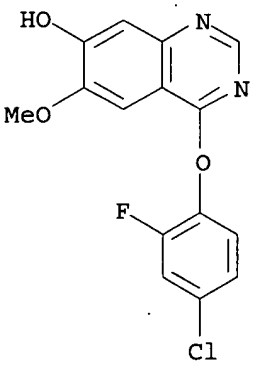

CM 2

CRN 76-05-1
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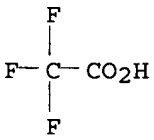
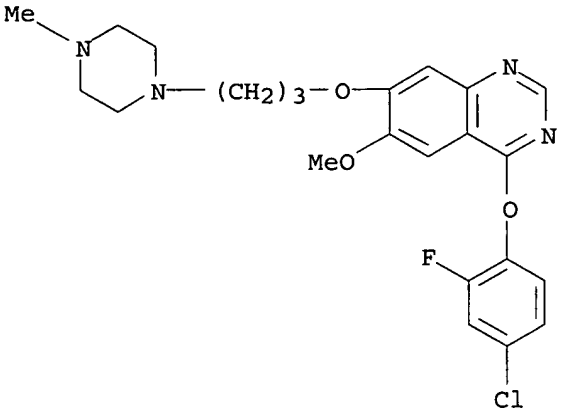


RN 288384-74-7 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



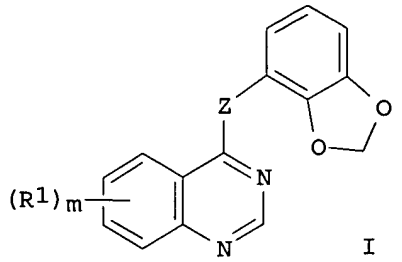
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:434555 CAPLUS
DOCUMENT NUMBER: 139:22225
TITLE: Preparation of quinazoline compounds for the treatment of T cell mediated diseases
INVENTOR(S): Moore, Nelly Corine; Oldham, Keith
PATENT ASSIGNEE(S): Astrazeneca A.B., Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045943	A1	20030605	WO 2002-GB5182	20021120

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-28122 A 20011123
OTHER SOURCE(S): MARPAT 139:22225
GI



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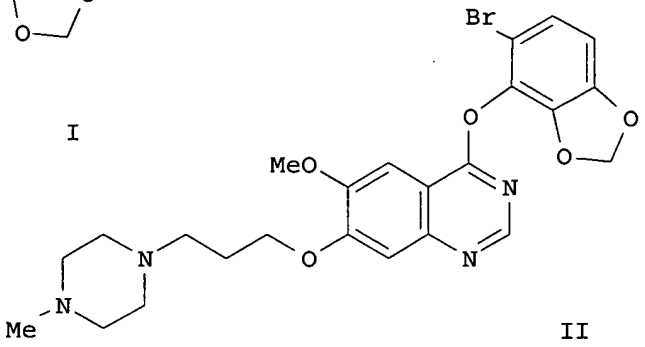

II

AB Quinazoline derivs. of formula I [Z = O, S, SO, SO2, (substituted) CH2; R1 = halo, CF3, CN, nitro, OH, SH, NH2, CHO, alkanoyloxy, heterocyclylalkyloxy, etc.; m = 0-3] are prepared for use in the prevention or treatment of T cell mediated diseases or medical conditions in a warm-blooded animal. Thus, II was prepared and tested for enzyme p56lck inhibition, T cell proliferation inhibition, skin graft rejection inhibition and anti-arthritic activity.

IC ICM C07D405-12
ICS C07D405-06; C07D405-14; A61K031-517; A61P037-02

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 5317-33-9P 7357-67-7P 69393-72-2P, 1,3-Benzodioxol-4-ol 82299-36-3P
123855-51-6P 142851-03-4P 166815-96-9P 179688-01-8P 193001-55-7P
193001-56-8P **193001-80-8P** 193002-24-3P 193002-25-4P
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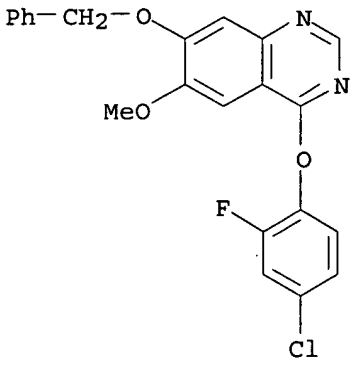
199327-72-5P 199327-73-6P 199327-74-7P 199327-75-8P 264208-53-9P
264208-55-1P 264208-58-4P 264208-60-8P 264208-63-1P 264208-66-4P
264208-69-7P 264208-72-2P 288383-71-1P 288383-72-2P 288383-73-3P
288383-74-4P 288384-72-5P **288384-73-6P 288384-74-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazoline compds. for treatment of T cell mediated diseases)

IT **193001-80-8P 288384-73-6P 288384-74-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazoline compds. for treatment of T cell mediated diseases)

RN 193001-80-8 CAPLUS

CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-(phenylmethoxy)-(9CI) (CA INDEX NAME)



RN 288384-73-6 CAPLUS
CN 7-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

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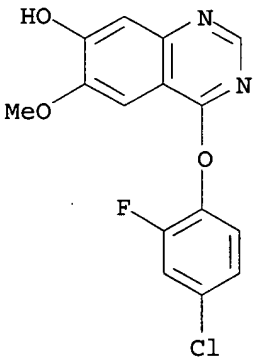

CM 2

CRN 76-05-1
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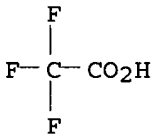
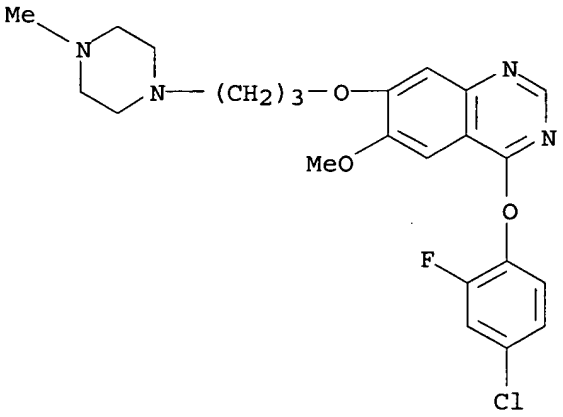


RN 288384-74-7 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



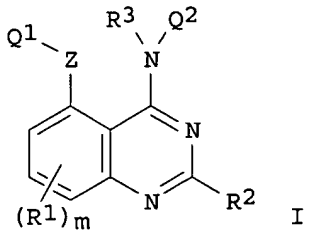
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:434373 CAPLUS
DOCUMENT NUMBER: 139:6886
TITLE: Preparation of quinazoline derivatives for the treatment of T cell mediated diseases
INVENTOR(S): Moore, Nelly Corine; Oldham, Keith
PATENT ASSIGNEE(S): Astrazeneca A.B., Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

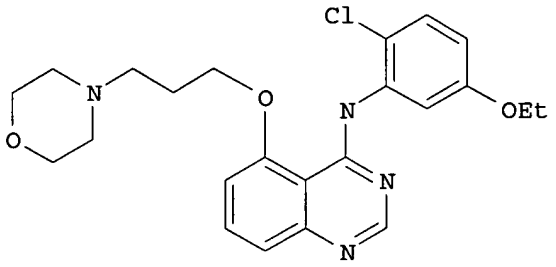
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045395	A1	20030605	WO 2002-GB5222	20021120

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-28108 A 20011123
OTHER SOURCE(S): MARPAT 139:6886
GI



I



II

AB Title compds. I [m = 0-3; R1 = halo, CF3, CN, NO2, etc.; R2 = H, alkyl; R3 = H, alkyl; Z = bond, O, SO0-2, amino, etc.; Q1 = aryl(alkyl), cycloalkyl, cycloalkenyl, heteroaryl, etc.; Q2 = phenyl] are prepared For instance, 4-[[2-chloro-5-ethoxyphenyl]amino]-5-hydroxy-7-methoxyquinazoline (preparation given) was coupled to 4-(3-hydroxypropyl)morpholine (CH2Cl2, Ph3P, t-BuO2C-N=N-CO2Bu-t) to give II. I are useful for the prevention or treatment of T cell mediated diseases.

IC ICM A61K031-505
ICS C07D239-94; A61P037-06

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 379228-47-4P, 4-[[2-Bromo-5-methoxyphenyl]amino]-7-methoxy-5-[[1-methylpiperidin-4-yl]oxy]quinazoline 379228-61-2P, 4-[[5-Chloronaphthalen-1-yl]amino]-7-methoxy-5-[[1-methylpiperidin-4-yl]oxy]quinazoline dihydrochloride 379228-79-2P, 4-[[2-Bromo-5-methoxyphenyl]amino]-5-[[piperidin-4-yl]methoxy]quinazoline 379228-84-9P, 4-[[2-Chloro-5-methoxyphenyl]amino]-5,7-di(3-morpholinopropoxy)quinazoline 379228-86-1P, 4-[[2-Chloro-5-methoxyphenyl]amino]-7-hydroxy-5-(3-(pyrrolidinyl)propoxy)quinazoline 379229-51-3P, 7-Ethoxy-5-[[4-piperidinyl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline 379229-52-4P, 7-Isobutoxy-5-[[4-piperidinyl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline 379229-53-5P, 7-(2-Fluoroethoxy)-5-[[4-piperidinyl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline 379229-89-7P, 4-[[2,6-Dichlorophenyl]amino]-7-methoxy-5-[[1-methylpiperidin-4-yl]oxy]quinazoline dihydrochloride 379229-92-2P, (R)-4-[[2-Chloro-5-methoxyphenyl]amino]-7-(2-hydroxy-3-morpholinopropoxy)-5-[[tetrahydropyran-4-yl]oxy]quinazoline 379229-94-4P, (R)-4-[[2-Chloro-5-methoxyphenyl]amino]-7-[2-hydroxy-3-(4-methylpiperazin-1-yl)propoxy]-5-[[tetrahydropyran-4-yl]oxy]quinazoline 379229-97-7P, 4-[[2-Chloro-5-methoxyphenyl]amino]-7-hydroxy-5-[[tetrahydrofuran-3-yl]oxy]quinazoline 379229-99-9P, 4-[[2-Chloro-5-methoxyphenyl]amino]-7-

hydroxy-5-isopropoxyquinazoline 379230-03-2P, 4-(3-Chlorobenzofuran-7-ylamino)-7-[3-(4-methylpiperazin-1-yl)propoxy]-5-[[tetrahydropyran-4-yl]oxy]quinazoline dihydrochloride 379230-04-3P, 4-[[2,4-Dichloro-5-methoxyphenyl]amino]-7-(3-(piperazinyl)propoxy)-5-[[tetrahydropyran-4-yl]oxy]quinazoline dihydrochloride 379230-06-5P, 4-[[2,4-Dichloro-5-methoxyphenyl]amino]-7-piperidin-4-ylmethoxy-5-[[tetrahydropyran-4-yl]oxy]quinazoline 379230-08-7P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-7-fluoro-5-[[piperidin-4-yl]oxy]quinazoline dihydrochloride 379230-13-4P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-5-[[piperidin-4-yl]oxy]quinazoline dihydrochloride 379230-17-8P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-7-methoxy-5-[[piperidin-4-yl]oxy]quinazoline 379230-22-5P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-5-[[piperidin-4-yl]oxy]-7-(2,2,2-trifluoroethoxy)quinazoline 379230-26-9P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-7-isopropoxy-5-[[1-methylpiperidin-4-yl]oxy]quinazoline 379230-27-0P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-5-[[piperidin-4-yl]methoxy]quinazoline dihydrochloride 379230-29-2P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-5-[[1-methylpiperidin-4-yl]methoxy]quinazoline dihydrochloride 379230-32-7P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-7-(3-piperidinopropoxy)-5-[[tetrahydropyran-4-yl]oxy]quinazoline 379230-34-9P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-7-piperidin-4-ylmethoxy-5-[[tetrahydropyran-4-yl]oxy]quinazoline 379230-36-1P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-7-[[1-methylpiperidin-4-yl]methoxy]-5-[[tetrahydropyran-4-yl]oxy]quinazoline 379230-37-2P, (R)-4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-7-(2,3-epoxypropoxy)-5-[[tetrahydropyran-4-yl]oxy]quinazoline 379230-40-7P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-7-[3-(4-cyanomethylpiperazin-1-yl)propoxy]-5-[[tetrahydropyran-4-yl]oxy]quinazoline 379230-42-9P, 4-(6-Chlorobenzofuran-7-ylamino)-7-(2-(pyrrolidinyl)ethoxy)-5-cyclopentyloxyquinazoline dihydrochloride 379230-48-5P, 4-(3-Chlorobenzofuran-7-ylamino)-7-(2-(pyrrolidinyl)ethoxy)-5-cyclopentyloxyquinazoline dihydrochloride 379230-49-6P, 4-[[2-Chloro-5-methoxyphenyl]amino]-5-(4-methylpiperazin-1-yl)-7-(2-(pyrrolidinyl)ethoxy)quinazoline trihydrochloride 379230-54-3P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-5-[[1-methylpiperidin-4-yl]oxy]-7-(2,2,2-trifluoroethoxy)quinazoline 379230-59-8P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-7-ethoxy-5-[[1-methylpiperidin-4-yl]oxy]quinazoline 379230-60-1P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-7-(2-fluoroethoxy)-5-[[1-methylpiperidin-4-yl]oxy]quinazoline 379230-61-2P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-7-isobutoxy-5-[[1-methylpiperidin-4-yl]oxy]quinazoline 379230-62-3P, 4-[[2,3-Methylenedioxyphenyl]amino]-5-(4-methylpiperazin-1-yl)-7-(2-(pyrrolidinyl)ethoxy)quinazoline trihydrochloride 379230-63-4P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-5-morpholino-7-(2-(pyrrolidinyl)ethoxy)quinazoline 379230-67-8P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-5-phenoxyquinazoline monohydrochloride 379230-68-9P 379230-69-0P, 7-[3-(4-Methylpiperazin-1-yl)propoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline 379230-70-3P, 7-(3-Morpholinopropoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline 379230-71-4P, 4-[[2-Chloro-5-methoxyphenyl]amino]-7-[2-hydroxy-3-(4-methylpiperazin-1-yl)propoxy]-5-[[tetrahydropyran-4-yl]oxy]quinazoline 379230-72-5P, 4-[[2-Chloro-5-methoxyphenyl]amino]-7-(2-hydroxy-3-morpholinopropoxy)-5-[[tetrahydropyran-4-yl]oxy]quinazoline 379230-74-7P 379230-75-8P, 4-[[2-Chloro-5-methoxyphenyl]amino]-7-(3-morpholinopropoxy)-5-[[tetrahydrofuran-3-yl]oxy]quinazoline 379230-76-9P, 4-(5-Chloronaphthalen-1-ylamino)-7-methoxy-5-[[1-methylpiperidin-4-

yl]oxy]quinazoline 379230-77-0P, 4-[[3-Chlorobenzofuran-7-yl]amino]-7-methoxy-5-[[1-methylpiperidin-4-yl]oxy]quinazoline 379230-78-1P, 7-[3-(Methanesulfonyl)propoxy]-5-[[4-piperidinyl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379230-79-2P, 7-Methoxy-5-[[piperidin-4-ylmethyl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379230-80-5P, 4-[[2,4-Dichloro-5-methoxyphenyl]amino]-7-methoxy-5-[[1-methylpiperidin-4-yl]oxy]quinazoline 379230-81-6P, 4-[[2,5-Dimethoxyphenyl]amino]-7-methoxy-5-[[1-methylpiperidin-4-yl]oxy]quinazoline 379230-82-7P, 7-(2-(Pyrrolidinyl)ethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379230-84-9P, 7-(2-Piperidinoethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379230-85-0P, 7-(2-Morpholinoethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379230-86-1P, 7-[2-(4-Methylpiperazinyl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379230-87-2P, 7-(2-(Pyrrolidinyl)ethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379230-88-3P, 7-(2-Piperidinoethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379230-89-4P, 7-[2-(4-Methylpiperazin-1-yl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379230-90-7P 379230-91-8P, (S)-7-[2-[2-(N,N-Dimethylcarbamoyl)pyrrolidin-1-yl]ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379230-92-9P, (S)-7-[2-[2-(N-Methylcarbamoyl)pyrrolidin-1-yl]ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379230-94-1P, 7-(4-Pyridylmethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379230-95-2P 379230-96-3P, 7-(2-(Pyrrolidinyl)ethoxy)-5-[[cyclopentyl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379230-97-4P, 7-(2-(Pyrrolidinyl)ethoxy)-5-[[cyclopentyl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline 379230-98-5P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-5-[[piperidin-4-yl]oxy]quinazoline 379230-99-6P, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-7-methoxy-5-[[1-methylpiperidin-4-yl]oxy]quinazoline 379231-00-2P, 7-Methoxy-5-[[[piperidin-4-yl]methyl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline 379231-01-3P, 7-(2-(Pyrrolidinyl)ethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline 379231-02-4P, 7-(3-(Pyrrolidinyl)propoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline 379231-03-5P, 7-[3-[4-Methylpiperazin-1-yl]propoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline 379231-04-6P, 7-[2-[4-Methylpiperazin-1-yl]ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline 379231-05-7P, 7-(2-Piperidinoethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline 379231-06-8P, 7-[2-(4-Pyridyloxy)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline 379231-08-0P, 7-Methoxy-5-[[3-(4-methylpiperazin-1-yl)propyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline dihydrochloride 379231-09-1P, 7-Methoxy-5-[[2-piperidinoethyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline dihydrochloride 379231-10-4P, 7-Methoxy-5-[[3-(pyrrolidinyl)propyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline dihydrochloride 379231-11-5P, 7-Methoxy-5-[[2-(1,2,4-triazol-1-yl)ethyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline 379231-12-6P, 5-[[3-Morpholinopropyl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline dihydrochloride 379231-13-7P, 5-[[3-(1,1-Dioxotetrahydro-4H-1,4-thiazin-4-yl)propyl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline dihydrochloride 379231-14-8P, 5-[[2-(4-Methylpiperazin-1-yl)ethyl]oxy]-4-

[[2-bromo-5-methoxyphenyl]amino]quinazoline dihydrochloride 379231-15-9P, 5-[[3-(4-Methylpiperazin-1-yl)propyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline dihydrochloride 379231-16-0P, 5-[[2-[Imidazolyl]ethyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline dihydrochloride 379231-19-3P, 5-[[N-Methylpiperidin-4-yl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline dihydrochloride 379231-20-6P, 5-[[N-Methylpiperidin-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline dihydrochloride 379231-21-7P, 5-[[N-Methylpiperidin-4-yl]oxy]-4-[[2,5-dichlorophenyl]amino]quinazoline dihydrochloride 379231-22-8P, 7-Methoxy-5-[[2-methoxyethyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline 379231-23-9P, 7-Methoxy-5-[[N-methylpyrrolidin-3-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline dihydrochloride 379231-26-2P, 7-Methoxy-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline dihydrochloride 379231-27-3P, 7-Methoxy-5-[[3-(pyrrolidinyl)propyl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline dihydrochloride 379231-28-4P, 7-Methoxy-5-[[3-(4-methylpiperazin-1-yl)propyl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline dihydrochloride 379231-29-5P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-fluoro-4-chloro-5-methoxyphenyl]amino]quinazoline dihydrochloride 379231-30-8P, 7-(2-(Pyrrolidinyl)ethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,5-dimethoxyphenyl]amino]quinazoline dihydrochloride 379231-39-7P, 7-Isopropoxy-5-[[4-piperidinyl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-40-0P, 7-(2-Pyridylmethoxy)-5-[[N-(2-pyridylmethyl)piperidin-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-41-1P, 7-[[1-Methylpiperidin-4-yl]oxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-42-2P, 7-(3-(Pyrrolidinyl)propoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-43-3P, 7-[3-(4-Methylpiperazin-1-yl)propoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-45-5P, 7-[2-(2-Morpholinomethyl-5-methylimidazol-1-yl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-46-6P, (S)-7-[3-[2-(N,N-Dimethylcarbamoyl)pyrrolidin-1-yl]propoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-49-9P, 7-(3-Morpholinopropoxy)-5-[[cyclohexyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline 379231-50-2P, 7-(2-(Pyrrolidinyl)ethoxy)-5-[[cyclopentyl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379231-51-3P, 7-(3-Morpholinopropoxy)-5-[[isopropyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline 379231-52-4P, 7-[3-(4-Methylpiperazin-1-yl)propoxy]-5-[[isopropyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline 379231-54-6P, 7-(2-(Pyrrolidinyl)ethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-55-7P, 7-(3-(Pyrrolidinyl)propoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-57-9P, 7-(3-Pyridylmethoxy)-5-[[4-piperidinyl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-58-0P, 7-(3-(Pyrrolidinyl)propoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379231-60-4P, (S)-7-[2-[2-(N-Methylcarbamoyl)pyrrolidin-1-yl]ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379231-61-5P, (S)-7-[2-[2-Carbamoylpyrrolidin-1-yl]ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379231-62-6P, (S)-7-[2-(2-[[Morpholino]carbonyl]pyrrolidinyl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379231-63-7P, (S)-7-[2-[2-[[4-Methylpiperazinyl]carbonyl]pyrrolidinyl]ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379231-64-8P, (S)-7-[2-[2-

((Pyrrolidinyl)carbonyl)pyrrolidin-1-yl]ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379231-65-9P, (S)-7-[2-(2-[[Piperidino]carbonyl]pyrrolidin-1-yl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379231-66-0P, (R)-7-[2-[2-Methylpyrrolidin-1-yl]ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379231-67-1P, (S)-7-[2-(2-(Methoxymethyl)pyrrolidinyl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379231-68-2P, 7-[2-(4-Pyridyloxy)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379231-69-3P, 7-(3-Pyridylmethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379231-70-6P, 7-(4-Pyridylmethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379231-71-7P, 7-[[1-Methylpiperidin-4-yl]oxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379231-73-9P, (S)-7-[2-(2-[[Morpholino]carbonyl]pyrrolidin-1-yl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-74-0P, (S)-7-[2-[2-(4-Methylpiperazin-1-ylcarbonyl)pyrrolidin-1-yl]ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-75-1P, (S)-7-[2-[2-((Pyrrolidinyl)carbonyl)pyrrolidin-1-yl]ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-76-2P, (S)-7-[2-(2-[[Piperidino]carbonyl]pyrrolidin-1-yl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-77-3P, (S)-7-[2-(2-Carbamoylpyrrolidin-1-yl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-78-4P, (R)-7-[2-(2-Methylpyrrolidin-1-yl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-79-5P, (S)-7-[2-(2-Methoxymethylpyrrolidin-1-yl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-81-9P, 7-(3-Pyridylmethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379231-82-0P 379231-83-1P, 7-[2-(4-Pyridyloxy)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-84-2P, 7-(3-Pyridylmethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-85-3P, 7-(4-Pyridylmethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-86-4P, (S)-7-[2-[2-(N-Methylcarbamoyl)pyrrolidin-1-yl]ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-87-5P, (S)-7-[2-(2-[[Morpholino]carbonyl]pyrrolidin-1-yl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-88-6P, (S)-7-[2-[2-(4-Methylpiperazin-1-ylcarbonyl)pyrrolidin-1-yl]ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-89-7P, (S)-7-[2-[2-((Pyrrolidinyl)carbonyl)pyrrolidin-1-yl]ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-90-0P, (S)-7-[2-(2-[[Piperidino]carbonyl]pyrrolidin-1-yl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-91-1P, (S)-7-[2-(2-Carbamoylpyrrolidin-1-yl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-92-2P, (R)-7-[2-(2-Methylpyrrolidin-1-yl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-93-3P, (S)-7-[2-(2-Methoxymethylpyrrolidin-1-yl)ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-94-4P, 7-[2-[Piperidin-4-yl]ethoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline 379231-95-5P, 7-(3-(Pyrrolidinyl)propoxy)-5-[[cyclopentyl]oxy]-4-[[2,3-

methylenedioxyphenyl]amino]quinazoline 379231-96-6P, 7-[3-(4-Methylpiperazin-1-yl)propoxy]-5-[[cyclopentyl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-97-7P, 7-[2-(4-Methylpiperazin-1-yl)ethoxy]-5-[[cyclopentyl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-98-8P, 7-(2-Piperidinoethoxy)-5-[[cyclopentyl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379231-99-9P, (S)-7-[2-[2-(4-Methylpiperazin-1-ylcarbonyl)pyrrolidin-1-yl]ethoxy]-5-[[cyclopentyl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379232-00-5P, 7-[[Piperidin-4-yl]methoxy]-5-[[cyclopentyl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379232-01-6P, 7-(3-(Piperazinyl)propoxy)-5-[[cyclopentyl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379232-03-8P, 7-Methoxy-5-[[piperidin-4-ylmethyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline 379232-05-0P, 7-Methoxy-5-[[piperidin-4-ylmethyl]oxy]-4-[[2,5-dimethoxyphenyl]amino]quinazoline 379232-07-2P, 7-Methoxy-5-[[piperidin-4-ylmethyl]oxy]-4-[[2,5-dichlorophenyl]amino]quinazoline 379232-08-3P, 7-Methoxy-5-[[piperidin-4-ylmethyl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379232-09-4P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2,5-dichlorophenyl]amino]quinazoline 379232-10-7P, 6-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline 379232-11-8P, 7-[3-(4-Methylpiperazin-1-yl)propoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline 379232-12-9P, 6-[3-(4-Methylpiperazinyl)propoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline 379232-13-0P, 6-[3-(4-Methylpiperazinyl)propoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,5-dichlorophenyl]amino]quinazoline 379232-14-1P
, 6-[3-(4-Methylpiperazinyl)propoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-5-methoxyphenyl]amino]quinazoline 379232-15-2P, 7-[3-(4-Methylpiperazinyl)propoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[4-chloro-2-fluoro-5-methoxyphenyl]amino]quinazoline 379232-16-3P, 7-[3-(4-Methylpiperazinyl)propoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[4-bromo-2-fluorophenyl]amino]quinazoline 379232-17-4P, 7-[3-(4-Methylpiperazinyl)propoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379232-18-5P, 7-Hydroxy-5-[[cyclopentyl]oxy]-4-[[2,3-methylenedioxyphenyl]amino]quinazoline 379232-19-6P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2,4-dichlorophenyl]amino]quinazoline 379232-20-9P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[4-bromo-2-chlorophenyl]amino]quinazoline 379232-21-0P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-chloro-4-cyanophenyl]amino]quinazoline 379232-22-1P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-bromo-4-fluorophenyl]amino]quinazoline 379232-23-2P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-bromo-4-chlorophenyl]amino]quinazoline 379232-24-3P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2,4-dibromophenyl]amino]quinazoline 379232-26-5P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-bromophenyl]amino]quinazoline 379232-27-6P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-bromo-4-methylphenyl]amino]quinazoline 379232-28-7P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-fluoro-4-chlorophenyl]amino]quinazoline 379232-29-8P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-fluoro-4-bromophenyl]amino]quinazoline 379232-30-1P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-fluoro-3-chlorophenyl]amino]quinazoline 379232-31-2P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2,4-dimethoxyphenyl]amino]quinazoline 379232-32-3P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2,3-dimethoxyphenyl]amino]quinazoline 379232-34-5P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-methoxy-5-methylphenyl]amino]quinazoline 379232-35-6P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-methoxy-5-chlorophenyl]amino]quinazoline 379232-38-9P, 7-Methoxy-5-[[N-

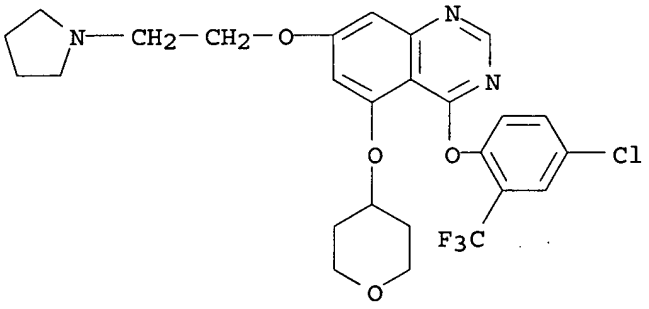
methylpiperidin-4-yl]oxy]-4-[[2-methoxyphenyl]amino]quinazoline 379232-40-3P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-ethoxyphenyl]amino]quinazoline 379232-42-5P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-methylthiophenyl]amino]quinazoline 379232-44-7P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-acetyl-4-chlorophenyl]amino]quinazoline 379232-46-9P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-methyl-5-chlorophenyl]amino]quinazoline 379232-47-0P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-methyl-3-chlorophenyl]amino]quinazoline 379232-49-2P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-methyl-4-chlorophenyl]amino]quinazoline 379232-51-6P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-methyl-5-methoxyphenyl]amino]quinazoline 379232-53-8P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-isopropenylphenyl]amino]quinazoline 379232-54-9P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-(pyrrolyl)phenyl]amino]quinazoline 379232-56-1P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-piperidinophenyl]amino]quinazoline 379232-57-2P, 7-(2-(Pyrrolidinyl)ethoxy)-5-[[cyclopentyl]oxy]-4-[[5-methoxy-2-(pyrrolidinyl)phenyl]amino]quinazoline 379232-58-3P, 7-(2-(Pyrrolidinyl)ethoxy)-5-[[cyclopentyl]oxy]-4-[[5-methoxy-2-[[morpholino]methyl]phenyl]amino]quinazoline 379232-61-8P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-bromo-4-chloro-6-fluorophenyl]amino]quinazoline 379232-62-9P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[4-chloro-2-trifluoromethylphenyl]amino]quinazoline 379232-63-0P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[4-cyano-2-trifluoromethylphenyl]amino]quinazoline 379232-64-1P, 7-[2-(Pyrrolidinyl)ethoxy]-5-[cyclopentyloxy]-4-[[2-bromo-4-chloro-6-fluorophenyl]amino]quinazoline 379232-65-2P, 7-(2-(Pyrrolidinyl)ethoxy)-5-[[cyclopentyl]oxy]-4-[[4-chloro-2-trifluoromethylphenyl]amino]quinazoline 379232-68-5P, 7-[3-(4-Hydroxypiperidinyl)propoxy]-5-[[tetrahydropyran-4-yl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline 536740-35-9P, 4-[[2-Chloro-5-ethoxyphenyl]amino]-5-(3-morpholinopropoxy)quinazoline 536740-37-1P, 5-[[Tetrahydropyran-4-yl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline hydrochloride 536740-38-2P, 7-(3-Morpholinopropoxy)-5-[tetrahydrofuran-3-yl]-4-[[2-chloro-5-methoxyoxyphenyl]amino]quinazoline 536740-44-0P, 7-[Methoxy]-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2,4-dichloro-5-methoxyphenyl]amino]quinazoline dihydrochloride 536740-45-1P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline dihydrochloride 536740-46-2P, 7-Fluoro-5-[[tetrahydropyran-4-yl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline dihydrochloride 536740-47-3P 536740-48-4P 536740-49-5P 536740-50-8P, 4-[[2,3-Methylenedioxyphenyl]amino]-7-methoxy-5-[[1-methylpiperidin-4-yl]oxy]quinazoline 536740-51-9P 536740-52-0P 536740-53-1P, 7-(3-Morpholinopropoxy)-5-[[3-(pyrrolidinyl)propyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline 536740-54-2P, 7-[3-(4-Methylpiperazin-1-yl)propoxy]-5-[[3-(pyrrolidinyl)propyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline 536740-55-3P, 7-(2-Methoxyethoxy)-5-[[3-(pyrrolidinyl)propyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline 536740-56-4P, 7-[2-(2-Methoxyethoxy)ethoxy]-5-[[3-(pyrrolidinyl)propyl]oxy]-4-[[2-chloro-5-methoxyphenyl]amino]quinazoline 536740-57-5P 536740-62-2P, 7-Methoxy-5-[[N-methylpiperidin-4-yl]oxy]-4-[[2-bromo-5-chlorophenyl]amino]quinazoline 536740-63-3P, 7-Benzyloxy-5-[[N-tert-butoxycarbonylpiperidin-4-yl]oxy]-4-[[6-chloro-2,3-methylenedioxyphenyl]amino]quinazoline 536740-65-5P, 4-[[2-Iodophenyl]amino]-7-methoxy-5-[[1-methylpiperidin-4-yl]oxy]quinazoline **536740-67-7P**, 7-(2-(Pyrrolidinyl)ethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[4-chloro-2-trifluoromethylphenyl]oxy]quinazoline 536740-68-8P, 7-(2-(Pyrrolidinyl)ethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[2-bromo-4-chloro-6-fluorophenyl]amino]quinazoline

536740-70-2P, 4-(Benzofuran-7-ylamino)-7-methoxy-5-[[1-methylpiperidin-4-yl]oxy]quinazoline 536740-71-3P, 4-[[2-Chloro-5-methoxyphenyl]amino]-5-(4-methylpiperazin-1-yl)-7-(2-(pyrrolidinyl)ethoxy)quinazoline 536740-73-5P, 4-[[2,3-Methylenedioxyphenyl]amino]-5-(4-methylpiperazin-1-yl)-7-(2-(pyrrolidinyl)ethoxy)quinazoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(quinazoline derivs. for treatment of T cell mediated diseases)

IT **536740-67-7P**, 7-(2-(Pyrrolidinyl)ethoxy)-5-[[tetrahydropyran-4-yl]oxy]-4-[[4-chloro-2-trifluoromethylphenyl]oxy]quinazoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(quinazoline derivs. for treatment of T cell mediated diseases)

RN 536740-67-7 CAPLUS

CN Quinazoline, 4-[4-chloro-2-(trifluoromethyl)phenoxy]-7-[2-(1-pyrrolidinyl)ethoxy]-5-[(tetrahydro-2H-pyran-4-yl)oxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:434346 CAPLUS
DOCUMENT NUMBER: 139:22222
TITLE: Preparation of arylamino-methoxyquinazolines for the prevention or treatment of T cell-mediated diseases
INVENTOR(S): Moore, Nelly Corine; Oldham, Keith
PATENT ASSIGNEE(S): Astrazeneca A.B., Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045364	A2	20030605	WO 2002-GB5217	20021120
WO 2003045364	A3	20030828		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT,

TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-28109 A 20011123
OTHER SOURCE(S): MARPAT 139:22222
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [m, n = 0-3; R1 = halo, CF3, CN, NCO, NO2, OH, etc.; R2 = H, alkyl; R3 = halo, CF3, CN, NO2, OH, amino, carboxy, etc.] are prepared For instance, 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline (preparation given) is coupled to 2,3-methylenedioxyaniline (sec-pentanol, HCl, IPA) to give II as the bis•HCl salt. I are useful for the prevention or treatment of T cell mediated diseases or medical conditions in a warm-blooded animal.

IC ICM A61K031-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 77-77-0, Divinyl sulfone 79-30-1, Isobutyryl chloride 96-32-2, Methyl bromoacetate 105-36-2, Ethyl bromoacetate 107-13-1, Acrylonitrile, reactions 107-14-2, 2-Chloroacetonitrile 109-01-3, N-Methylpiperazine 109-09-1, 2-Chloropyridine 109-70-6, 1-Bromo-3-chloropropane 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 111-49-9, Homopiperidine 121-34-6, 4-Hydroxy-3-methoxybenzoic acid 123-75-1, Pyrrolidine, reactions 156-87-6, 3-Aminopropan-1-ol 274-09-9, Benzodioxole 303-38-8, 2,3-Dihydroxybenzoic acid 348-62-9, 4-Chloro-2-fluorophenol 504-63-2, 1,3-Propanediol 505-10-2, 3-[Methylthio]propanol 506-59-2, Dimethylamine hydrochloride 540-51-2, 2-Bromoethanol 586-95-8, 4-Hydroxymethylpyridine 590-17-0, 2-Bromoacetonitrile 617-05-0, Ethyl 4-hydroxy-3-methoxybenzoate 627-18-9 628-89-7, 2-[2-Chloroethoxy]ethanol 1126-09-6, Ethyl piperidine-4-carboxylate 2162-31-4, 2-[[Tetrahydropyran-2-yl]oxy]ethanol 2402-78-0, 2,6-Dichloropyridine 2635-13-4, 5-Bromo-1,3-benzodioxole 2759-28-6, 1-Benzylpiperazine 2955-88-6, N-[2-Hydroxyethyl]pyrrolidine 3132-64-7, 2,3-Epoxypropyl bromide 3473-63-0 3647-69-6, 2-Morpholinoethyl chloride hydrochloride 4747-21-1, N-Isopropyl-N-methylamine 6032-29-7, Pentan-2-ol 6719-02-4, 1H-Pyrrole-1-ethanol 7379-35-3, 4-Chloropyridine hydrochloride 7531-52-4, L-Prolinamide 7539-61-9, 3-Diisopropylaminopropan-1-ol 13790-39-1, 4-Chloro-6,7-dimethoxyquinazoline 15761-39-4, 1-[tert-Butoxycarbonyl]-L-proline 18368-63-3, 6-Chloro-2-methylpyridine 18997-19-8, Chloromethyl pivalate 21655-48-1, cis-2,6-Dimethylpiperazine 29802-22-0, N,N-Dimethyl-L-prolinamide 29943-42-8, Tetrahydropyran-4-one 39743-20-9, 3-[Pyrrolidin-1-yl]propyl chloride 41720-98-3, (2R)-2-Methylpyrrolidine 55950-26-0, 4-Bromo-6-methoxy-1,3-benzodioxole 57260-71-6, 1-[tert-Butoxycarbonyl]piperazine 60547-98-0, 2-Amino-4-benzyloxy-5-methoxybenzamide 63126-47-6, (2S)-2-Methoxymethylpyrrolidine 79099-07-3, tert-Butyl 4-oxopiperidine-1-carboxylate 82594-80-7, 4-Methyl-1-tritylimidazole 86450-38-6, 4-[2-Hydroxyethoxy]pyridine 89151-44-0, N-tert-Butoxycarbonyl-4-[2-hydroxyethyl]piperidine 106876-54-4, 2,2-Difluoro-1,3-benzodioxol-4-ylamine 113826-06-5, (R)-(-)-Glycidyl tosylate 162364-72-9, 7-Benzyloxy-4-chloro-6-methoxyquinazoline 183322-18-1,

4-Chloro-6,7-di[2-methoxyethoxy]quinazoline **193001-79-5**, 4-[4-Chloro-2-fluorophenoxy]-7-hydroxy-6-methoxyquinazoline 264208-86-8, 7-[N-tert-Butoxycarbonylpiperidin-4-ylmethoxy]-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 264209-11-2, 4-Chloro-6-methoxy-7-[3-methylsulfonylpropoxy]quinazoline 288386-02-7, 4-Chloro-6-methoxy-7-[2-[N-methylpiperidin-4-yl]ethoxy]quinazoline 401812-11-1, 5-Chloro-2,3-methylenedioxyaniline 401812-15-5, 7-Benzyloxy-6-methoxy-4-[[2,3-methylenedioxyphenyl]amino]quinazoline hydrochloride 401812-16-6, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-6-methoxy-7-[3-[piperazinyl]propoxy]quinazoline 401812-17-7, 6-Methoxy-4-[[2,3-methylenedioxyphenyl]amino]-7-[3-[piperazinyl]propoxy]quinazoline 537040-22-5, 4-[[6-Chloro-2,3-methylenedioxyphenyl]amino]-6-methoxy-7-[piperidin-4-ylmethoxy]quinazoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylamino-methoxyquinazolines for the prevention or treatment of T cell-mediated diseases)

IT 1668-84-4P, 2,3-Methylenedioxyaniline 2058-49-3P, 3-[Methanesulfonyl]propanol 2411-83-8P, Methyl 2,3-dihydroxybenzoate 5317-33-9P, 1-[3-Hydroxypropyl]-4-methylpiperazine 5464-12-0P, 1-[2-Hydroxyethyl]-4-methylpiperazine 5768-39-8P, 2,3-Methylenedioxybenzoic acid 7228-38-8P, 5-Chloro-1,3-benzodioxole 7357-67-7P, 3-Morpholinopropyl chloride 33842-16-9P, Methyl 2,3-methylenedioxybenzoate 55276-43-2P, 1-[Methanesulfonyl]piperazine 58619-56-0P, 1-Cyanomethylpiperazine 71935-32-5P, 2-Cyano-4-hydroxymethylpyridine 72744-56-0P, 5-Bromo-1,3-benzodioxole-4-carboxylic acid 74277-33-1P 74360-79-5P, 1-[tert-Butoxycarbonyl]-N-methyl-L-prolinamide 77290-31-4P, 1-[tert-Butoxycarbonyl]-4-cyanomethylpiperazine 89151-45-1P, N-tert-Butoxycarbonyl-4-[2-[4-toluenesulfonyloxy]ethyl]piperidine 89226-14-2P, (2S)-1-[tert-Butoxycarbonyl]-2-piperidinocarbonylpyrrolidine 89795-00-6P, 4-Hydroxy-4-[2-hydroxyethyl]tetrahydropyran 99177-19-2P, 3-[4-[3-Hydroxypropyl]piperazin-1-yl]propionitrile 104472-98-2P, 6-Chloro-2-[2-hydroxyethoxy]pyridine 111081-10-8P, tert-Butyl [2,3-methylenedioxyphenyl]carbamate 118546-61-5P, 1-Benzyl-4-[methanesulfonyl]piperazine 123855-51-6P, N-tert-Butoxycarbonyl-4-hydroxymethylpiperidine 132710-90-8P, 1-[tert-Butoxycarbonyl]-4-[3-hydroxypropyl]piperazine 142851-03-4P, Ethyl N-tert-butoxycarbonylpiperidine-4-carboxylate 149152-54-5P, 1-[tert-Butoxycarbonyl]-N,N-dimethyl-L-prolinamide 166815-96-9P, N-tert-Butoxycarbonyl-4-[4-toluenesulfonyloxymethyl]piperidine 179688-01-8P, 7-Benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one 193001-55-7P, 7-Benzyloxy-6-methoxy-4-phenoxyquinazoline 193001-56-8P, 7-Hydroxy-6-methoxy-4-phenoxyquinazoline **193001-80-8P**, 7-Benzyloxy-4-[4-chloro-2-fluorophenoxy]-6-methoxyquinazoline 193002-09-4P, 4-[3-Hydroxypropoxy]pyridine 193002-24-3P, 7-Benzyloxy-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 193002-25-4P, 7-Hydroxy-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 196194-61-3P, 6-Methoxy-7-[3-morpholinopropoxy]-4-phenoxyquinazoline 196194-62-4P, 6-Methoxy-7-[3-morpholinopropoxy]-3,4-dihydroquinazolin-4-one 196195-13-8P, 4-Chloro-6-methoxy-7-[3-morpholinopropoxy]quinazoline 198478-03-4P, 3-[4-Benzylpiperazin-1-yl]propionitrile 199327-69-0P, 4-Chloro-7-[3-[pyrrolidinyl]propoxy]-6-methoxyquinazoline 199327-71-4P, 3-Methyloxy-4-[3-[pyrrolidinyl]propoxy]benzoic acid hydrochloride 199327-72-5P, 5-Methoxy-2-nitro-4-[3-[pyrrolidinyl]propoxy]benzoic acid hydrochloride 199327-73-6P, 5-Methoxy-2-nitro-4-[3-[pyrrolidinyl]propoxy]benzamide 199327-74-7P, 2-Amino-5-methoxy-4-[3-[pyrrolidinyl]propoxy]benzamide hydrochloride 199327-75-8P, 6-Methoxy-7-[3-[pyrrolidinyl]propoxy]-3,4-dihydroquinazolin-4-one 205194-33-8P, 3-[1,1-Dioxotetrahydro-4H-1,4-thiazin-4-yl]propan-1-ol 264208-53-9P, 6-Methoxy-7-[3-[4-methylpiperazin-

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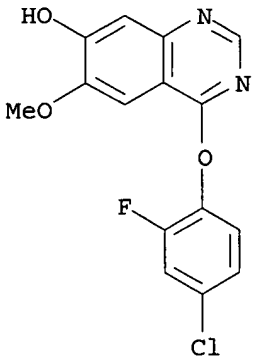
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylamino-methoxyquinazolines for the prevention or treatment of T cell-mediated diseases)

IT **193001-79-5**, 4-[4-Chloro-2-fluorophenoxy]-7-hydroxy-6-methoxyquinazoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylamino-methoxyquinazolines for the prevention or treatment of T cell-mediated diseases)

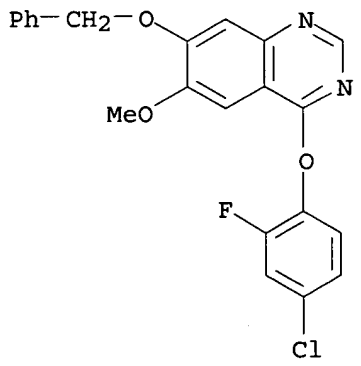
RN 193001-79-5 CAPLUS

CN 7-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-6-methoxy- (9CI) (CA INDEX NAME)



IT **193001-80-8P**, 7-Benzyloxy-4-[4-chloro-2-fluorophenoxy]-6-methoxyquinazoline **288383-31-3P**, 4-[4-Chloro-2-fluorophenoxy]-7-[3-[1,1-dioxotetrahydro-4H-1,4-thiazin-4-yl]propoxy]-6-methoxyquinazoline **288384-73-6P 288384-74-7P**, 4-[4-Chloro-2-fluorophenoxy]-6-methoxy-7-[3-[4-methylpiperazin-1-yl]propoxy]quinazoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylamino-methoxyquinazolines for the prevention or treatment of T cell-mediated diseases)

RN 193001-80-8 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



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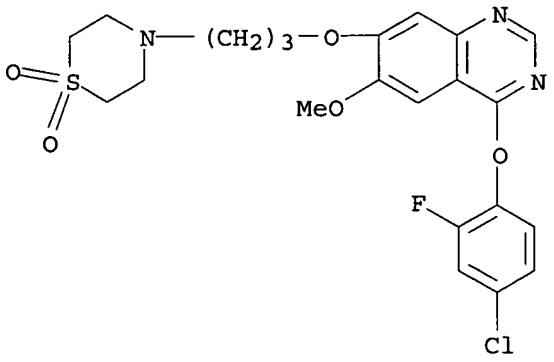

RN 288384-73-6 CAPLUS
CN 7-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

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CRN 193001-79-5
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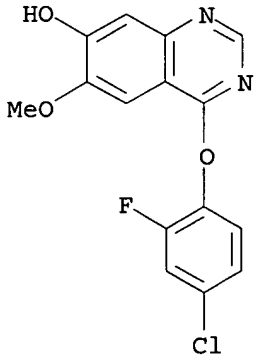

CM 2

CRN 76-05-1
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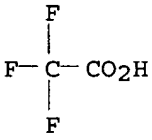
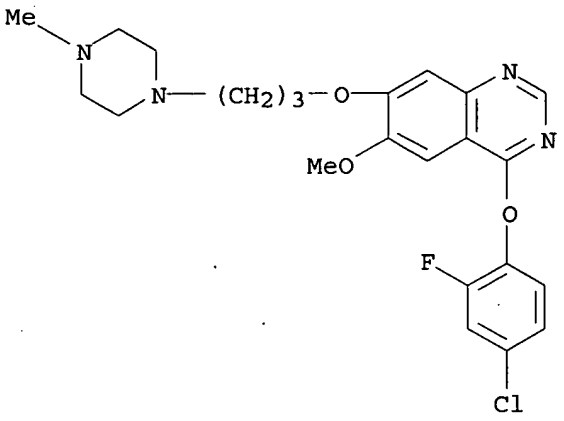


RN 288384-74-7 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



L12 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:319877 CAPLUS
DOCUMENT NUMBER: 138:338162
TITLE: Preparation of quinoline or quinazoline derivatives inhibiting auto-phosphorylation of fibroblast growth factor receptors
INVENTOR(S): Miwa, Atsushi; Yoshino, Tetsuya; Osawa, Tatsushi; Sakai, Teruyuki; Shimizu, Toshiyuki; Fujiwara, Yasunari
PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 264 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003033472	A1	20030424	WO 2002-JP10803	20021017

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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PRIORITY APPLN. INFO.: JP 2001-319826 A 20011017
JP 2002-167652 A 20020607
OTHER SOURCE(S): MARPAT 138:338162
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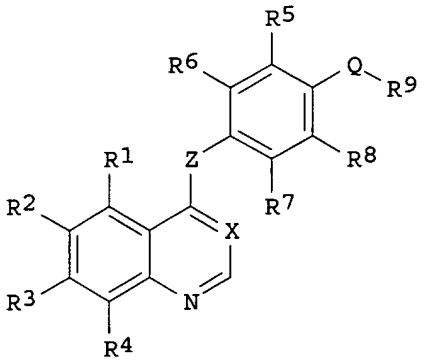

I

AB The invention provides novel compds. represented by the general formula (I) or pharmaceutically acceptable salts or solvates thereof [wherein X = CH, N; Z = O, S; Q = R10, CR11R12, CO, O, S(O)m (wherein m is 0 to 2), NHCONH (wherein R10 = H, C1-10 alkyl; R11, R12 = H, C1-6 alkylcarbonyloxy); R1, R2, R3 = H, OH, halogeno, nitro, amino, C1-6 alkyl or alkoxy or C2-6 alkenyl or alkynyl like (with the proviso that the alkyl and the alkoxy may be further substituted); R4 = H; R5, R6, R7, R8 = H, halogeno, C1-4 alkyl or alkoxy; R9 = C1-10 alkyl, (un)saturated 3- to 8-membered carbocyclic or heterocyclic group which may be substituted]. These compds. exhibit an inhibitory activity against autophosphorylation of fibroblast growth factor receptor (FGFR) family, in particular FGFR2 (Bek), can inhibit the proliferation of cancer cells through oral or i.v. administration, and are useful for the treatment of malignant tumors such as stomach cancer, brain tumor, large intestine cancer, pancreatic carcinoma, lung cancer, kidney cancer, ovarian cancer, and prostate cancer. Thus, 103 mg 1-(3,3-dimethylbutyl)-3-[2-fluoro-4-(7-hydroxy-6-methoxyquinolin-4-yloxy)phenyl]urea (preparation given), 166 mg K2CO3, and 69 mg 4-(2-chloroethyl)morpholine hydrochloride were stirred in 2 mL DMF at 75-80° for 16 h to give 37% 1-(3,3-dimethylbutyl)-3-[2-fluoro-4-[6-methoxy-7-(2-morpholin-4-ylethoxy)quinolin-4-yloxy]phenyl]urea (II). II and 1-(3,3-dimethylbutyl)-3-[2-chloro-4-[6-methoxy-7-[2-(2,6-dimethylmorpholin-4-yl)ethoxy]quinolin-4-yloxy]phenyl]urea showed IC50 of <0.0100 and 0.0094 μM, resp., for inhibiting the autophosphorylation of Bek prepared from human Scirrhous stomach cancer OCUM-2MD3.

IC ICM C07D215-22
ICS C07D401-12; C07D409-12; C07D413-12; C07D417-12; C07D453-02; C07D239-88; A61K031-439; A61K031-47; A61K031-4709; A61K031-517; A61K031-5377; A61K031-496; A61K031-55; A61K031-551; A61P001-00; A61P001-18; A61P011-00; A61P013-12; A61P015-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

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516524-70-2P 516524-71-3P 516524-72-4P 516524-73-5P 516524-74-6P
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516524-80-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline or quinazoline derivs. inhibiting auto-phosphorylation of fibroblast growth factor receptors as antitumor agents)

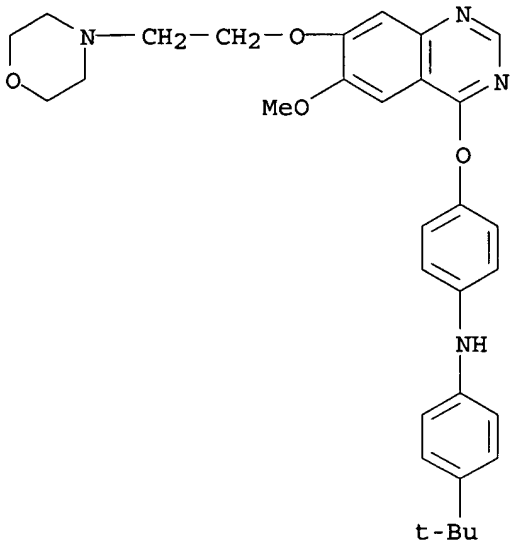
IT 6100-74-9P 23428-77-5P, 3'-Benzyloxy-4'-methoxyacetophenone
123855-51-6P, 1-tert-Butoxycarbonyl-4-(hydroxymethyl)piperidine
162364-72-9P 286371-58-2P 347159-16-4P 347405-65-6P 479690-02-3P
479690-03-4P 479690-08-9P 516526-32-2P 516526-33-3P 516526-34-4P
516526-35-5P 516526-36-6P **516526-37-7P 516526-38-8P**
516526-39-9P 516526-40-2P 516526-41-3P 516526-42-4P
516526-43-5P 516526-45-7P 516526-46-8P 516526-47-9P 516526-48-0P
516526-49-1P 516526-50-4P 516526-51-5P 516526-52-6P 516526-53-7P
516526-54-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinoline or quinazoline derivs. inhibiting auto-phosphorylation of fibroblast growth factor receptors as antitumor agents)

IT **516523-24-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinoline or quinazoline derivs. inhibiting auto-phosphorylation of fibroblast growth factor receptors as antitumor agents)

RN 516523-24-3 CAPLUS

CN Benzenamine, 4-(1,1-dimethylethyl)-N-[4-[[6-methoxy-7-[2-(4-morpholinyl)ethoxy]-4-quinazolinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



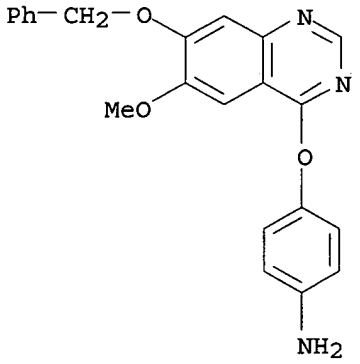
IT **516526-37-7P 516526-38-8P 516526-39-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of quinoline or quinazoline derivs. inhibiting auto-phosphorylation of fibroblast growth factor receptors as antitumor agents)

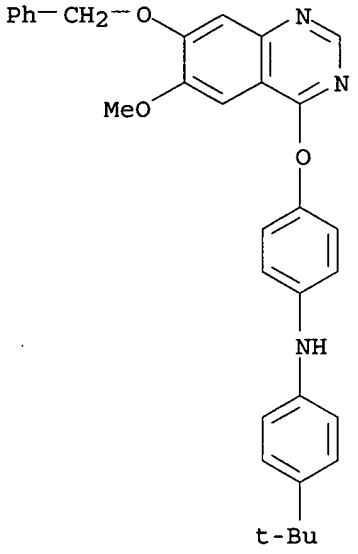
RN 516526-37-7 CAPLUS

CN Benzenamine, 4-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]oxy]- (9CI) (CA INDEX NAME)



RN 516526-38-8 CAPLUS

CN Benzenamine, 4-(1,1-dimethylethyl)-N-[4-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



RN 516526-39-9 CAPLUS
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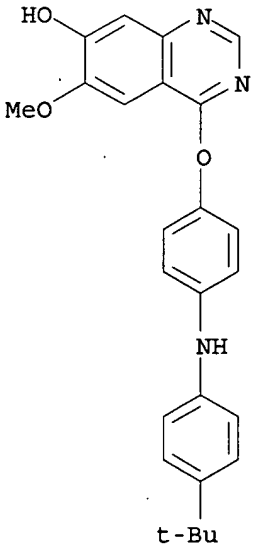


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:888722 CAPLUS
DOCUMENT NUMBER: 137:384857
TITLE: Preparation of 4-anilinoquinazolines as antitumor agents
INVENTOR(S): Hennequin, Laurent Francois Andre; Ple, Patrick
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 44 pp.

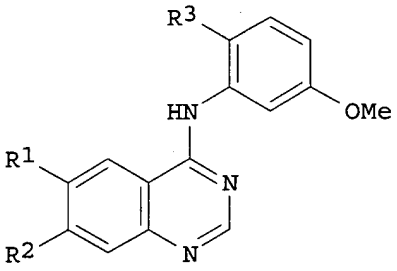
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092579	A1	20021121	WO 2002-GB2128	20020508

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2001-401221 A 20010514
OTHER SOURCE(S): MARPAT 137:384857
GI



I

AB The title compds. [I; R1 = H, OH, alkoxy and R2 = hydroxyalkoxy, alkoxyalkoxy, aminoalkoxy, etc.; or R2 = H, OH, alkoxy and R1 = hydroxyalkoxy, alkoxyalkoxy, aminoalkoxy, etc.; R3 = Cl, Br, I], useful as an anti-invasive agents in the containment and/or treatment of solid tumor disease, were prepared and formulated. E.g., a multi-step synthesis of I.2HCl [R1 = OMe; R2 = 3-(4-methylpiperazin-1-yl)propoxy; R3 = Cl], starting from 2-amino-4-benzyloxy-5-methoxybenzamide, was given. The biol. activity of compds. I was tested in 4 tests. Thus, the compds. I showed IC50 of 0.001-10 μM in in vitro c-Src tyrosine kinase assay.

IC ICM C07D239-94
ICS A61K031-505; A61P035-04

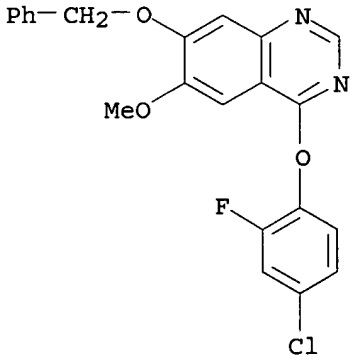
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 5317-33-9P, 1-(3-Hydroxypropyl)-4-methylpiperazine 7357-67-7P, 3-Morpholinopropyl chloride 59557-92-5P, 2-Bromo-5-methoxyaniline 179688-01-8P, 7-Benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one 193001-55-7P, 7-Benzyloxy-6-methoxy-4-phenoxyquinazoline 193001-56-8P, 7-Hydroxy-6-methoxy-4-phenoxyquinazoline **193001-80-8P**, 7-Benzyloxy-4-(4-chloro-2-fluorophenoxy)-6-methoxyquinazoline 196194-61-3P, 6-Methoxy-7-(3-morpholinopropoxy)-4-phenoxyquinazoline 196194-62-4P, 6-Methoxy-7-(3-morpholinopropoxy)-3,4-dihydroquinazolin-4-one 196195-13-8P, 4-Chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline 264208-53-9P, 6-Methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]-3,4-

dihydroquinazolin-4-one 264208-55-1P, 4-Chloro-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline 288384-72-5P **288384-73-6P**, 4-(4-Chloro-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline trifluoroacetate salt **288384-74-7P**, 4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline 476156-83-9P, 7-[3-(4-tert-Butoxycarbonylpiperazin-1-yl)propoxy]-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 476156-84-0P, 7-[3-(4-tert-Butoxycarbonylpiperazin-1-yl)propoxy]-6-methoxy-3,4-dihydroquinazolin-4-one 476156-85-1P, 7-[3-(4-tert-Butoxycarbonylpiperazin-1-yl)propoxy]-4-chloro-6-methoxyquinazoline 476156-86-2P, 7-Benzyloxy-4-(2-chloro-5-methoxyanilino)-6-methoxyquinazoline 476156-87-3P, 4-(2-Chloro-5-methoxyanilino)-7-hydroxy-6-methoxyquinazoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-anilinoquinazolines as antitumor agents)

IT **193001-80-8P**, 7-Benzyloxy-4-(4-chloro-2-fluorophenoxy)-6-methoxyquinazoline **288384-73-6P**, 4-(4-Chloro-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline trifluoroacetate salt **288384-74-7P**, 4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-anilinoquinazolines as antitumor agents)

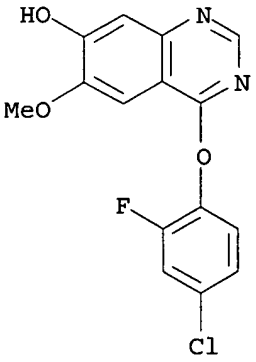
RN 193001-80-8 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-(phenylmethoxy)-(9CI) (CA INDEX NAME)



RN 288384-73-6 CAPLUS
CN 7-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

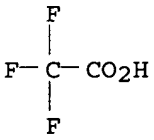
CM 1

CRN 193001-79-5
CMF C15 H10 Cl F N2 O3

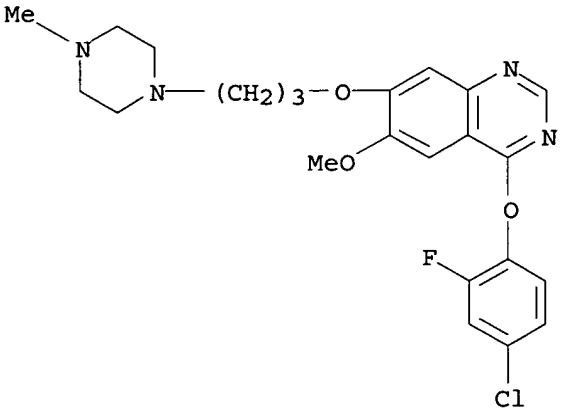


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 288384-74-7 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

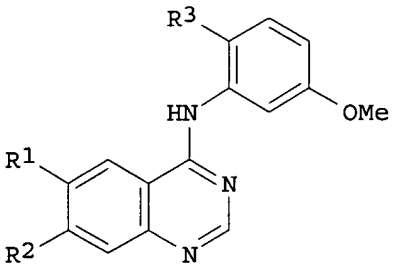
L12 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:888720 CAPLUS
DOCUMENT NUMBER: 137:384855
TITLE: Preparation of 4-anilinoquinazolines as antitumor agents

INVENTOR(S): Hennequin, Laurent Francois Andre; Ple, Patrick
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092577	A1	20021121	WO 2002-GB2117	20020508

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2001-401223 A 20010514
OTHER SOURCE(S): MARPAT 137:384855
GI



I

AB The title compds. [I; R1 = H, alkoxy and R2 = X1Q1 (wherein X1 = O, S, SO, etc.; Q1 = heteroaryl, heteroarylalkyl, heterocyclyl, etc.), X2R5 (wherein X2 = O, NH, Nalkyl; R5 = hydroxyalkyl, alkoxyalkyl, aminoalkyl, etc.); or R2 = H, alkoxy and R1 = X1Q1, X2R5; R3 = Cl, Br, I], useful as anti-invasive agents in the containment and/or treatment of solid tumor disease, were prepared and formulated. E.g., a multi-step synthesis of I [R1 = OMe; R2 = N-methylpiperidin-4-ylmethoxy; R3 = Cl], starting from Et piperidine-4-carboxylate, was given. Biol. activity of compds. I was tested in 4 tests. Thus, the compds. I showed IC50 of 0.001-10 μM in in vitro c-Src tyrosine kinase assay.

IC ICM C07D239-94
ICS C07D401-12; C07D403-12; C07D417-12; A61K031-505

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

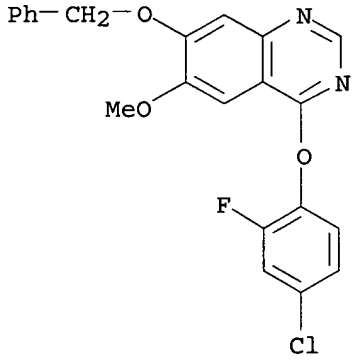
IT 58619-56-0P, 1-Cyanomethylpiperazine 59557-92-5P, 2-Bromo-5-methoxyaniline 71935-32-5P, 2-Cyano-4-hydroxymethylpyridine 77290-31-4P, 1-(tert-Butoxycarbonyl)-4-cyanomethylpiperazine 123855-51-6P, N-tert-Butoxycarbonyl-4-hydroxymethylpiperidine

142851-03-4P, Ethyl N-tert-butoxycarbonylpiperidine-4-carboxylate 166815-96-9P, N-tert-Butoxycarbonyl-4-(4-toluenesulphonyloxymethyl)piperidine 179688-01-8P, 7-Benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one **193001-80-8P**, 7-Benzyloxy-4-(4-chloro-2-fluorophenoxy)-6-methoxyquinazoline 193002-09-4P, 3-(4-Pyridyloxy)propanol 193002-24-3P, 7-Benzyloxy-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 193002-25-4P, 7-Hydroxy-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 199327-69-0P, 4-Chloro-6-methoxy-7-(3-pyrrolidin-1-ylpropoxy)quinazoline 199327-71-4P, 3-Methoxy-4-(3-pyrrolidin-1-ylpropoxy)benzoic acid hydrochloride 199327-72-5P, 5-Methoxy-2-nitro-4-(3-pyrrolidin-1-ylpropoxy)benzoic acid hydrochloride 199327-73-6P, 5-Methoxy-2-nitro-4-(3-pyrrolidin-1-ylpropoxy)benzamide 199327-74-7P, 2-Amino-5-methoxy-4-(3-pyrrolidin-1-ylpropoxy)benzamide hydrochloride 199327-75-8P, 6-Methoxy-7-(3-pyrrolidin-1-ylpropoxy)-3,4-dihydroquinazolin-4-one 205194-33-8P, 3-(1,1-Dioxotetrahydro-4H-1,4-thiazin-4-yl)propan-1-ol 264208-58-4P, Ethyl 4-N-tert-butoxycarbonylpiperidin-4-ylmethoxy)-3-methoxybenzoate 264208-60-8P, Ethyl 3-methoxy-4-(1-methylpiperidin-4-ylmethoxy)benzoate 264208-63-1P, Ethyl 5-methoxy-4-(N-methylpiperidin-4-ylmethoxy)-2-nitrobenzoate 264208-66-4P, Ethyl 2-amino-5-methoxy-4-(1-methylpiperidin-4-ylmethoxy)benzoate 264208-69-7P, 6-Methoxy-7-(N-methylpiperidin-4-ylmethoxy)-3,4-dihydroquinazolin-4-one 264208-72-2P, 4-Chloro-6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazoline 288383-30-2P, 4-Chloro-7-[3-(1,1-dioxotetrahydro-4H-1,4-thiazin-4-yl)propoxy]-6-methoxyquinazoline **288383-31-3P**, 4-(4-Chloro-2-fluorophenoxy)-7-[3-(1,1-dioxotetrahydro-4H-1,4-thiazin-4-yl)propoxy]-6-methoxyquinazoline 288383-32-4P, 7-[3-(1,1-Dioxotetrahydro-4H-1,4-thiazin-4-yl)propoxy]-6-methoxy-3,4-dihydroquinazolin-4-one **288384-73-6P**, 4-(4-Chloro-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline trifluoroacetate salt 288386-07-2P, 7-[2-(N-tert-Butoxycarbonylpiperidin-4-yl)ethoxy]-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 367272-12-6P, 7-(2,3-Epoxypropoxy)-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 367272-22-8P, 7-(2-Acetoxy-3-pyrrolidin-1-ylpropoxy)-4-chloro-6-methoxyquinazoline 367272-24-0P, 7-(2-Acetoxy-3-piperidinopropoxy)-4-chloro-6-methoxyquinazoline 379228-98-5P, 1-(2-Hydroxyethyl)-5-methyl-2-morpholinomethylimidazole 379228-99-6P, Methyl 2-(5-methylimidazol-1-yl)acetate 379229-01-3P, 1-(2-Hydroxyethyl)-5-methylimidazole 379229-03-5P, 1-(2-tert-Butyldimethylsilyloxyethyl)-5-methylimidazole 379229-05-7P, 1-(2-tert-Butyldimethylsilyloxyethyl)-2-formyl-5-methylimidazole 379229-07-9P, 1-(2-tert-Butyldimethylsilyloxyethyl)-5-methyl-2-morpholinomethylimidazole 401811-86-7P, 7-[2-Acetoxy-3-(4-cyanomethylpiperazin-1-yl)propoxy]-4-chloro-6-methoxyquinazoline 401811-87-8P, 7-[2-Acetoxy-3-(N-isopropyl-N-methylamino)propoxy]-4-chloro-6-methoxyquinazoline 401811-88-9P, 7-(N-tert-Butoxycarbonylpiperidin-4-ylmethoxy)-6-methoxy-3,4-dihydroquinazolin-4-one 401811-89-0P, 7-(N-tert-Butoxycarbonylpiperidin-4-ylmethoxy)-4-chloro-6-methoxyquinazoline 401811-90-3P, 7-[2-(N-tert-Butoxycarbonylpiperidin-4-yl)ethoxy]-6-methoxy-3,4-dihydroquinazolin-4-one 401811-91-4P, 7-[2-(N-tert-Butoxycarbonylpiperidin-4-yl)ethoxy]-4-chloro-6-methoxyquinazoline 401812-12-2P, 7-(2-Hydroxy-3-piperidinopropoxy)-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 476156-86-2P, 7-Benzyloxy-4-(2-chloro-5-methoxyanilino)-6-methoxyquinazoline 476156-87-3P, 4-(2-Chloro-5-methoxyanilino)-7-hydroxy-6-methoxyquinazoline 476160-44-8P, 7-(2-Hydroxy-3-piperidinopropoxy)-6-methoxy-3,4-dihydroquinazolin-4-one 476160-45-9P, 7-(2-Acetoxy-3-piperidinopropoxy)-6-methoxy-3,4-dihydroquinazolin-4-one 476160-46-0P, 4-(2-Chloro-5-methoxyanilino)-7-(2,3-epoxypropoxy)-6-methoxyquinazoline 476160-47-1P 476160-48-2P, 7-[2-Acetoxy-3-(N-isopropyl-N-methylamino)propoxy]-4-(2-bromo-5-methoxyanilino)-6-methoxyquinazoline dihydrochloride salt

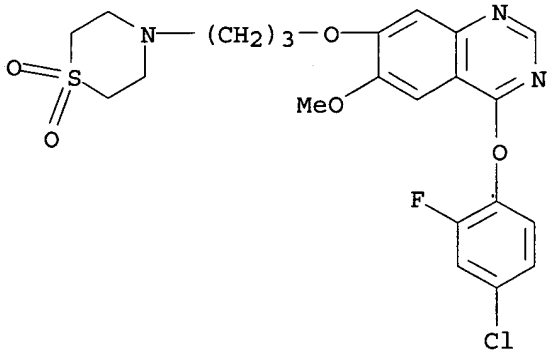
476160-49-3P, 4-(2-Chloro-5-methoxyanilino)-7-((2R)-2,3-epoxypropoxy)-6-methoxyquinazoline 476160-50-6P, 4-(2-Bromo-5-methoxyanilino)-7-benzyloxy-6-methoxyquinazoline 476160-51-7P, 4-(2-Bromo-5-methoxyanilino)-7-hydroxy-6-methoxyquinazoline 476160-52-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-anilinoquinazolines as antitumor agents)

IT **193001-80-8P**, 7-Benzyloxy-4-(4-chloro-2-fluorophenoxy)-6-methoxyquinazoline **288383-31-3P**, 4-(4-Chloro-2-fluorophenoxy)-7-[3-(1,1-dioxotetrahydro-4H-1,4-thiazin-4-yl)propoxy]-6-methoxyquinazoline **288384-73-6P**, 4-(4-Chloro-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline trifluoroacetate salt
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-anilinoquinazolines as antitumor agents)

RN 193001-80-8 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 288383-31-3 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-7-[3-(1,1-dioxido-4-thiomorpholinyl)propoxy]-6-methoxy- (9CI) (CA INDEX NAME)



RN 288384-73-6 CAPLUS
CN 7-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

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CRN 193001-79-5
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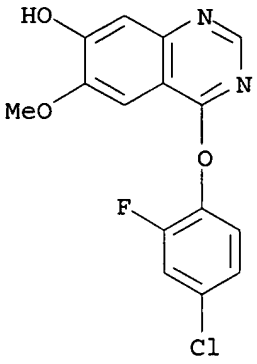
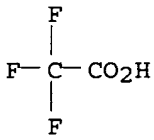


CM 2

CRN 76-05-1
CMF C2 H F3 O2



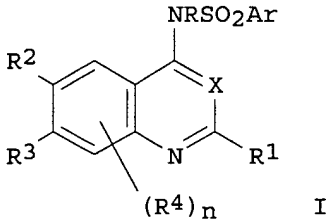
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:849444 CAPLUS
DOCUMENT NUMBER: 137:353052
TITLE: Arylsulfonylaminoquinazolines as tyrosine kinase inhibitors
INVENTOR(S): Moffat, David Festus Charles; Batchellor, Mark James; Brookings, Daniel Christopher; Davis, Peter David
PATENT ASSIGNEE(S): Celltech R & D Limited, UK
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002087587	A2	20021107	WO 2002-GB2011	20020502
WO 2002087587	A3	20030109		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: GB 2001-10797 A 20010502
OTHER SOURCE(S): MARPAT 137:353052
GI



I

AB Title compds. I [Ar = aryl, heteroaryl, aralkyl, heteroaralkyl, alkyl; X = N, (un)substituted CH; R = H, (un)substituted alkyl; R1 = H, halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, CN; R2, R3 = (un)substituted aliphatic, cycloaliph., heterocyclic, aryl, heteroaryl; R4 = H, halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, NO2, CN, (un)substituted CO2H, SO3H, S(O)H, SO2H, OCO2H, CONH2, SH, NH2, O2CNH2, CSNH2, acyl; n = 0-2] were prepared for use to inhibit the activity of class 1 receptor tyrosine kinases in the treatment of hyperproliferative disorders such as psoriasis, cancer, restenosis, atherosclerosis, and fibrosis. Thus, 4-chloro-6-methoxy-7-morpholinopropoxyquinazoline was prepared from Et vanillate and Br(CH2)3OH via cyclization of Et 2-amino-5-methoxy-4-morpholinopropoxybenzoate with formamide. This intermediate was treated with 2-ClC6H4SO2NH2 to give I [Ar = 2-ClC6H4, X = N, R1, R4 = H, R2 = OMe, r3 = morpholinopropoxy]. I are selective inhibitors of FGFr2 kinase with ≤ 1 μM.

IC ICM A61K031-517
ICS C07D239-94; C07D403-12; C07D409-12; C07D417-12; C07D413-12; C07D409-14; C07D401-12; A61P035-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

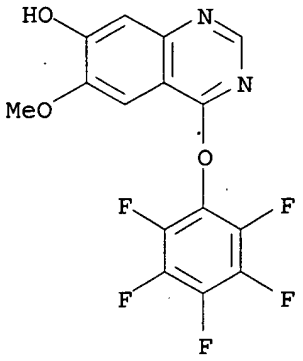
IT 70-55-3, 4-Methylbenzenesulfonamide 88-19-7, 2-Methylbenzenesulfonamide 98-10-2, Benzenesulfonamide 98-64-6, 4-Chlorobenzenesulfonamide 617-05-0, Ethyl vanillate 627-18-9, 3-Bromo-1-propanol 869-24-9, 2-Diethylaminoethyl chloride hydrochloride 3040-44-6, 2-Piperidinoethanol 3647-69-6, 4-(2-Chloroethyl)morpholine hydrochloride 4535-85-7, 3-Diethylaminopropyl chloride hydrochloride 5464-12-0, 1-(2-Hydroxyethyl)-4-methylpiperazine 6961-82-6, 2-Chlorobenzenesulfonamide 17260-71-8, 3-Chlorobenzenesulfonamide 19797-32-1, 3,5-Dichlorobenzenesulfonamide 20532-15-4, 2,4-Dichlorobenzenesulfonamide 20691-89-8, 1-Methylpiperidine-4-methanol 35203-91-9, 8-Quinolinesulfonamide 73542-86-6, 2-Cyanobenzenesulfonamide 92748-09-9, 2-Bromobenzenesulfonamide **263400-70-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylsulfonylaminoquinazolines as tyrosine kinase inhibitors)

IT 162438-79-1P 196194-62-4P 196195-13-8P **263400-67-5P** 330999-84-3P 330999-85-4P 474526-32-4P **474526-33-5P** **474526-34-6P** **474526-35-7P** **474526-36-8P** **474526-37-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylsulfonylaminoquinazolines as tyrosine kinase inhibitors)

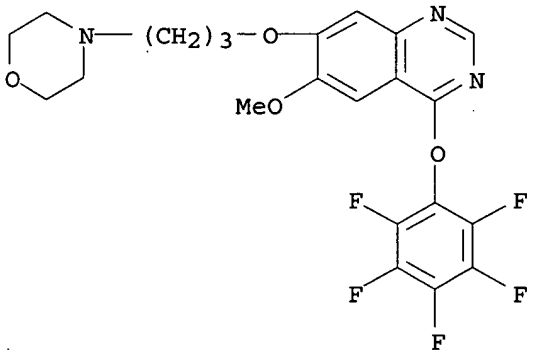
IT **263400-70-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylsulfonylaminoquinazolines as tyrosine kinase inhibitors)

RN 263400-70-0 CAPLUS
CN 7-Quinazolinol, 6-methoxy-4-(pentafluorophenoxy)- (9CI) (CA INDEX NAME)

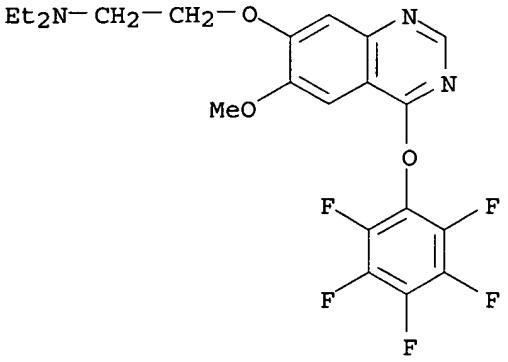


IT **263400-67-5P 474526-33-5P 474526-34-6P**
474526-35-7P 474526-36-8P 474526-37-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylsulfonylaminoquinazolines as tyrosine kinase inhibitors)

RN 263400-67-5 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-(pentafluorophenoxy)- (9CI) (CA INDEX NAME)



RN 474526-33-5 CAPLUS
CN Ethanamine, N,N-diethyl-2-[[6-methoxy-4-(pentafluorophenoxy)-7-quinazolinyl]oxy]- (9CI) (CA INDEX NAME)



RN 474526-34-6 CAPLUS
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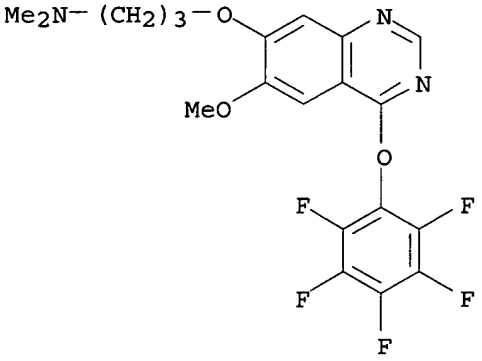

RN 474526-35-7 CAPLUS
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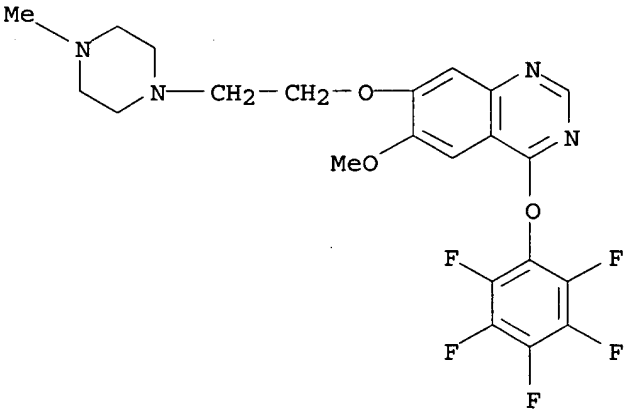

RN 474526-36-8 CAPLUS
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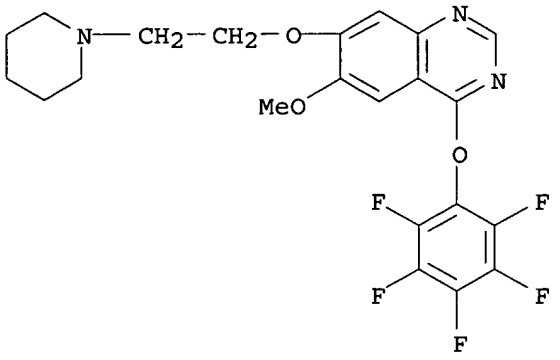
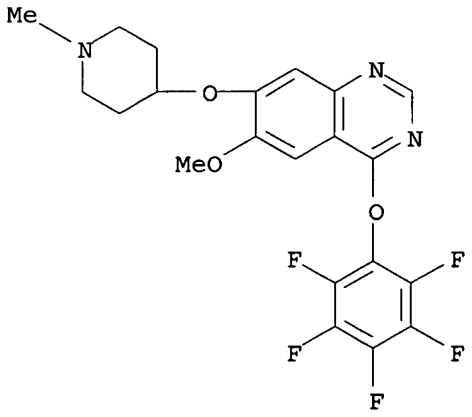
(9CI) (CA INDEX NAME)



RN 474526-37-9 CAPLUS
CN Quinazoline, 6-methoxy-7-[(1-methyl-4-piperidinyl)oxy]-4-(pentafluorophenoxy)- (9CI) (CA INDEX NAME)



L12 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:832791 CAPLUS
DOCUMENT NUMBER: 137:337908
TITLE: Preparation of antitumor quinazolines
INVENTOR(S): Ple, Patrick
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085895	A1	20021031	WO 2002-GB1734	20020415

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1381599 A1 20040121 EP 2002-718343 20020415

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: EP 2001-401007 A 20010419

WO 2002-GB1734 W 20020415

OTHER SOURCE(S): MARPAT 137:337908

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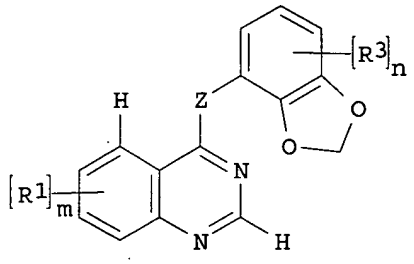

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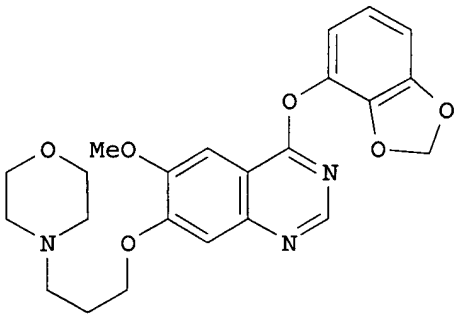


II

AB The title compds. [I; Z = O, S, SO, etc.; m = 0-3; R1 = halo, CF3, CN, etc.; n = 0-3; R3 = halo, CF3, CN, etc.], useful in the manufacture of a medicament for use as an anti-invasive agent in the containment and/or treatment of solid tumor disease, were prepared Thus, a multi-step synthesis of the quinazoline II, starting from 2-amino-4-benzyloxy-5-methoxybenzamide, was given. The compds. I show IC50 in the range of 0.001-10 μM in in vitro c-Src kinase assay.

IC ICM C07D405-12

ICS A61K031-517; A61P035-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

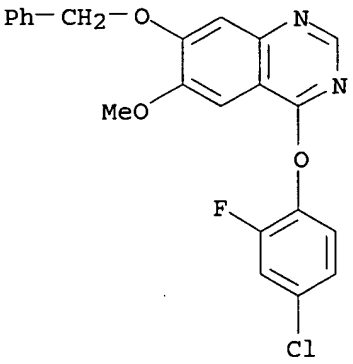
IT 5317-33-9P, 1-(3-Hydroxypropyl)-4-methylpiperazine 7357-67-7P, 3-Morpholinopropyl chloride 69393-72-2P, 2,3-Methylenedioxyphenol 82299-36-3P, 6-Bromo-2,3-methylenedioxyphenol 123855-51-6P, N-tert-Butoxycarbonyl-4-hydroxymethylpiperidine 142851-03-4P, Ethyl N-tert-butoxycarbonylpiperidine-4-carboxylate 166815-96-9P,

N-tert-Butoxycarbonyl-4-(4-toluenesulfonyloxymethyl)piperidine
179688-01-8P, 7-Benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one
193001-55-7P, 7-Benzyloxy-6-methoxy-4-phenoxyquinazoline 193001-56-8P,
7-Hydroxy-6-methoxy-4-phenoxyquinazoline **193001-80-8P**,
7-Benzyloxy-4-(4-chloro-2-fluorophenoxy)-6-methoxyquinazoline
193002-24-3P, 7-Benzyloxy-6-methoxy-3-pivaloyloxymethyl-3,4-
dihydroquinazolin-4-one 193002-25-4P, 7-Hydroxy-6-methoxy-3-
pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 196194-61-3P,
6-Methoxy-7-(3-morpholinopropoxy)-4-phenoxyquinazoline 196194-62-4P,
6-Methoxy-7-(3-morpholinopropoxy)-3,4-dihydroquinazolin-4-one
196195-13-8P, 4-Chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline
199327-69-0P, 4-Chloro-6-methoxy-7-(3-pyrrolidin-1-ylpropoxy)quinazoline
199327-71-4P, 3-Methoxy-4-(3-pyrrolidin-1-ylpropoxy)benzoic acid
hydrochloride 199327-72-5P, 5-Methoxy-2-nitro-4-(3-pyrrolidin-1-
ylpropoxy)benzoic acid hydrochloride 199327-73-6P, 5-Methoxy-2-nitro-4-
(3-pyrrolidin-1-ylpropoxy)benzamide 199327-74-7P, 2-Amino-5-methoxy-4-(3-
pyrrolidin-1-ylpropoxy)benzamide hydrochloride 199327-75-8P,
6-Methoxy-7-(3-pyrrolidin-1-ylpropoxy)-3,4-dihydroquinazolin-4-one
264208-53-9P, 6-Methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]-3,4-
dihydroquinazolin-4-one 264208-55-1P, 4-Chloro-6-methoxy-7-[3-(4-
methylpiperazin-1-yl)propoxy]quinazoline 264208-58-4P, Ethyl
4-(N-tert-butoxycarbonylpiperidin-4-ylmethoxy)-3-methoxybenzoate
264208-60-8P, Ethyl 3-methoxy-4-(N-methylpiperidin-4-ylmethoxy)benzoate
264208-63-1P, Ethyl 5-methoxy-4-(N-methylpiperidin-4-ylmethoxy)-2-
nitrobenzoate 264208-66-4P, Ethyl 2-amino-5-methoxy-4-(N-methylpiperidin-
4-ylmethoxy)benzoate 264208-69-7P, 6-Methoxy-7-(N-methylpiperidin-4-
ylmethoxy)-3,4-dihydroquinazolin-4-one 264208-72-2P,
4-Chloro-6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazoline
288383-71-1P, 4-Chloro-6-methoxy-7-(3-piperidinopropoxy)quinazoline
288383-72-2P, 7-(3-Bromopropoxy)-6-methoxy-3-pivaloyloxymethyl-3,4-
dihydroquinazolin-4-one 288383-73-3P, 6-Methoxy-7-(3-piperidinopropoxy)-
3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 288383-74-4P,
6-Methoxy-7-(3-piperidinopropoxy)-3,4-dihydroquinazolin-4-one
288384-72-5P, 3-(4-Methylpiperazin-1-yl)propyl 4-toluenesulfonate
288384-73-6P, 4-(4-Chloro-2-fluorophenoxy)-7-hydroxy-6-
methoxyquinazoline trifluoroacetate salt **288384-74-7P**,
4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methylpiperazin-1-
yl)propoxy]quinazoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of antitumor quinazolines)

IT **193001-80-8P**, 7-Benzyloxy-4-(4-chloro-2-fluorophenoxy)-6-
methoxyquinazoline **288384-73-6P**, 4-(4-Chloro-2-fluorophenoxy)-7-
hydroxy-6-methoxyquinazoline trifluoroacetate salt **288384-74-7P**,
4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methylpiperazin-1-
yl)propoxy]quinazoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of antitumor quinazolines)

RN 193001-80-8 CAPLUS

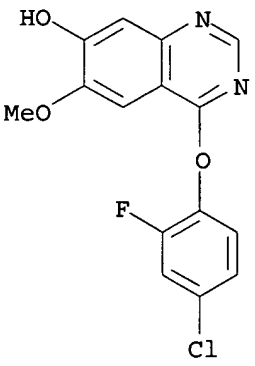
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-(phenylmethoxy)-
(9CI) (CA INDEX NAME)



RN 288384-73-6 CAPLUS
CN 7-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

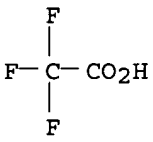
CM 1

CRN 193001-79-5
CMF C15 H10 Cl F N2 O3

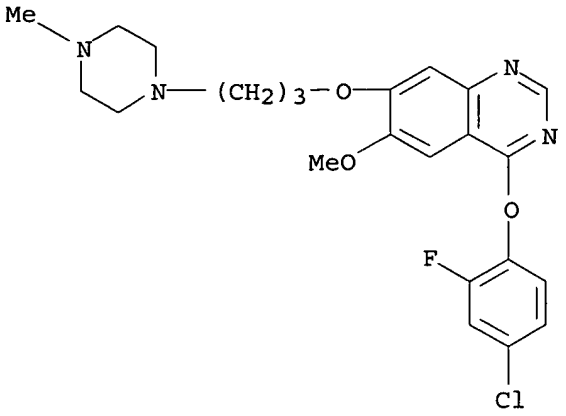


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 288384-74-7 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)

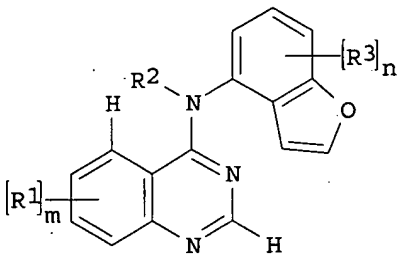


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:293648 CAPLUS
DOCUMENT NUMBER: 136:325554
TITLE: Preparation of 4-(4-benzofuranylamino)quinazolines as c-Src tyrosine kinase inhibitors
INVENTOR(S): Lambert, Christine Marie-Paul; Ple, Patrick
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030926	A1	20020418	WO 2001-GB4497	20011009
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001092137	A5	20020422	AU 2001-92137	20011009
EP 1326860	A1	20030716	EP 2001-972363	20011009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004044015	A1	20040304	US 2003-399017	20030410

PRIORITY APPLN. INFO.: EP 2000-402845 A 20001013
WO 2001-GB4497 W 20011009
OTHER SOURCE(S): MARPAT 136:325554
GI



I

AB The title compds. [I; m = 0-3; R1 = halo, CF3, CN, etc.; R2 = H, alkyl; n = 0-3; R3 = halo, CF3, CN, etc.], useful as an anti-invasive agent in the containment and/or treatment of solid tumor disease, were prepared Thus, reacting 4-chloro-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline with 4-aminobenzofuran (prepns. given) in the presence of HCl/iso-PrOH afforded I.2HCl [m = 2; R1 = 6-methoxy; R1 = 7-[3-(4-methylpiperazin-1-yl)propoxy]; R2, R3 = H]. Biol. data were given.

IC ICM C07D407-12
ICS C07D407-14; A61K031-495

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

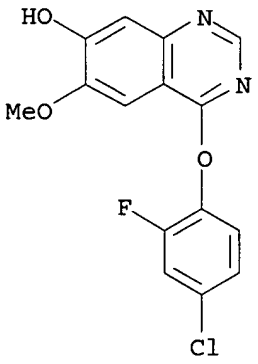
IT 77-77-0, Divinyl sulfone 106-95-6, Allyl bromide, reactions 107-14-2, 2-Chloroacetonitrile 108-95-2, Phenol, reactions 109-01-3, N-Methylpiperazine 109-70-6, 1-Bromo-3-chloropropane 110-91-8, Morpholine, reactions 121-34-6, 4-Hydroxy-3-methoxybenzoic acid 140-88-5, Ethyl acrylate 156-87-6, 3-Aminopropan-1-ol 288-36-8, 1,2,3-Triazole 348-62-9, 4-Chloro-2-fluorophenol 610-78-6, 4-Chloro-3-nitrophenol 617-05-0, Ethyl 4-hydroxy-3-methoxybenzoate 627-18-9 685-87-0, Diethyl bromomalonate 1126-09-6, Ethyl piperidine-4-carboxylate 3132-64-7, 2,3-Epoxypropyl bromide 18997-19-8, Chloromethyl pivalate 19438-10-9, Methyl 3-hydroxybenzoate 39743-20-9, 3-(Pyrrolidin-1-yl)propyl chloride 57260-71-6, 1-(tert-Butoxycarbonyl)piperazine 60547-98-0, 2-Amino-4-benzyloxy-5-methoxybenzamide 162364-72-9, 7-Benzyloxy-4-chloro-6-methoxyquinazoline 166599-84-4, Benzofuran-4-carboxylic acid **193001-79-5** 367272-19-3 401812-12-2 401812-13-3 401812-14-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 4-(4-benzofuranylamino)quinazolines as c-Src tyrosine kinase inhibitors)

IT 4332-48-3P 5317-33-9P 7357-67-7P 58619-56-0P, 1-Piperazineacetonitrile 77290-31-4P 79250-46-7P 79950-39-3P 123855-51-6P 142851-03-4P 166815-96-9P 179688-01-8P 193001-55-7P 193001-56-8P **193001-80-8P** 193002-24-3P 193002-25-4P 196194-61-3P 196194-62-4P 196195-13-8P 199327-69-0P 199327-71-4P 199327-72-5P 199327-73-6P 199327-74-7P 199327-75-8P 205194-33-8P 264208-53-9P 264208-55-1P 264208-58-4P 264208-60-8P 264208-63-1P 264208-66-4P 264208-69-7P 264208-72-2P 288383-30-2P **288383-31-3P** 288383-32-4P 288384-72-5P **288384-73-6P** **288384-74-7P** 288385-51-3P, 1H-1,2,3-Triazole-1-propanol 367272-12-6P 367272-22-8P 367272-24-0P 401811-82-3P 401811-83-4P 401811-84-5P 401811-85-6P 401811-86-7P 401811-87-8P 412336-05-1P 412336-07-3P, 4-Benzofuranamine 412336-45-9P 412336-47-1P 412336-49-3P 412336-53-9P 412336-55-1P 412336-57-3P 412336-59-5P 412336-61-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-(4-benzofuranylamino)quinazolines as c-Src tyrosine kinase inhibitors)

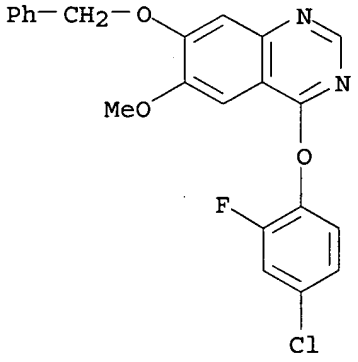
IT **193001-79-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 4-(4-benzofuranylamino)quinazolines as c-Src tyrosine kinase inhibitors)

RN 193001-79-5 CAPLUS
CN 7-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-6-methoxy- (9CI) (CA INDEX NAME)



IT **193001-80-8P 288383-31-3P 288384-73-6P 288384-74-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-(4-benzofuranylamino)quinazolines as c-Src tyrosine kinase inhibitors)

RN 193001-80-8 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 288383-31-3 CAPLUS
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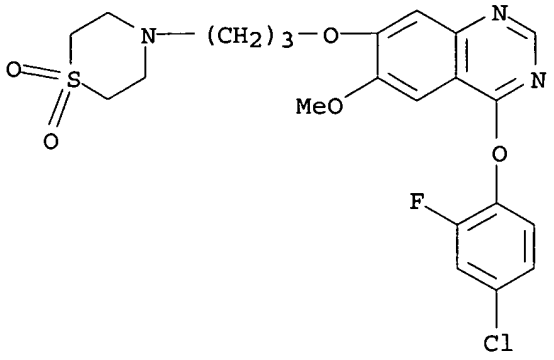

RN 288384-73-6 CAPLUS
CN 7-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 193001-79-5
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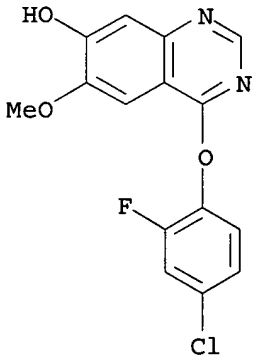
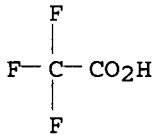
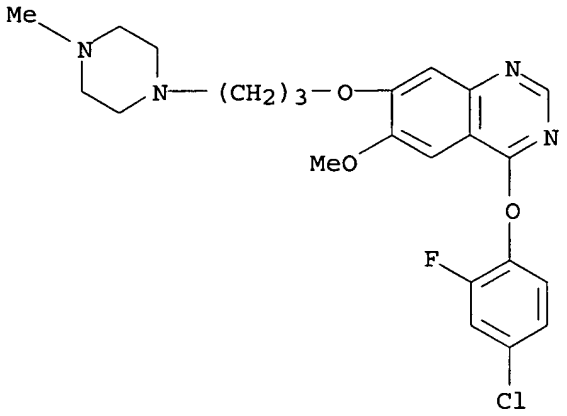


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 288384-74-7 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)

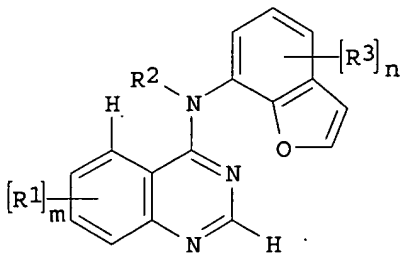


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:293646 CAPLUS
DOCUMENT NUMBER: 136:325553
TITLE: Preparation of 4-(7-benzofuranylamino)quinazolines with antitumor activity
INVENTOR(S): Lambert, Christine Marie Paul; Ple, Patrick
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030924	A1	20020418	WO 2001-GB4498	20011009
WO 2002030924	C2	20030522		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001092138	A5	20020422	AU 2001-92138	20011009
EP 1326859	A1	20030716	EP 2001-972364	20011009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004048881	A1	20040311	US 2003-398793	20030408

PRIORITY APPLN. INFO.: EP 2000-402844 A 20001013
WO 2001-GB4498 W 20011009
OTHER SOURCE(S): MARPAT 136:325553
GI



I

AB The title compds. [I; m = 0-3; R1 = halo, CF3, CN, etc.; R2 = H, alkyl; n = 0-3; R3 = halo, CF3, CN, etc.], useful as anti-invasive agents in the containment and/or treatment of solid tumor disease, were prepared and formulated. Thus, reacting 4-chloro-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline with 7-aminobenzofuran (prepns. given) in the presence of HCl/iso-PrOH afforded I.2HCl [m = 2; R1 = 6-MeO; R1 = 7-[3-(4-methylpiperazin-1-yl)propoxy]; R2, R3 = H]. Biol. data for compds. I (i.e., as c-Src tyrosine kinase inhibitors) were given.

IC ICM C07D405-12
ICS A61K031-517; A61P035-00

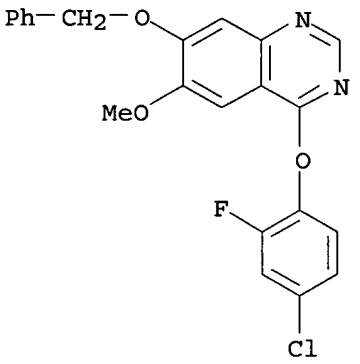
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 5317-33-9P, 1-(3-Hydroxypropyl)-4-methylpiperazine 7357-67-7P, 3-Morpholinopropylchloride 58619-56-0P, 1-Cyanomethylpiperazine 67830-55-1P, 7-Aminobenzofuran 77290-31-4P, 1-(tert-Butoxycarbonyl)-4-cyanomethylpiperazine 90322-45-5P, 5-Methoxy-7-nitrobenzofuran 90800-61-6P, 5-Methoxy-7-nitrobenzofuran-2-carboxylic acid 91396-69-9P, Ethyl 5-methoxy-7-nitrobenzofuran-2-carboxylate 104747-05-9P, 7-Amino-5-methoxybenzofuran 112270-06-1P, Ethyl 6-chloro-2-hydroxybenzoate 123855-51-6P, N-tert-Butoxycarbonyl-4-hydroxymethylpiperidine 142851-03-4P, Ethyl N-tert-butoxycarbonylpiperidine-4-carboxylate 166815-96-9P, N-tert-Butoxycarbonyl-4-(4-toluenesulfonyloxymethyl)piperidine 172217-11-7P, Ethyl 6-chloroanthranilate 179688-01-8P, 7-Benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one 193001-55-7P, 7-Benzyloxy-6-methoxy-4-phenoxyquinazoline 193001-56-8P, 7-Hydroxy-6-methoxy-4-phenoxyquinazoline **193001-80-8P**, 7-Benzyloxy-4-(4-chloro-2-fluorophenoxy)-6-methoxyquinazoline 193002-24-3P, 7-Benzyloxy-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 193002-25-4P, 7-Hydroxy-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 196194-61-3P, 6-Methoxy-7-(3-morpholinopropoxy)-4-phenoxyquinazoline 196194-62-4P, 6-Methoxy-7-(3-morpholinopropoxy)-3,4-dihydroquinazolin-4-one 196195-13-8P, 4-Chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline 199327-69-0P, 4-Chloro-6-methoxy-7-(3-pyrrolidin-1-ylpropoxy)quinazoline 199327-71-4P, 3-Methoxy-4-(3-pyrrolidin-1-ylpropoxy)benzoic acid hydrochloride 199327-72-5P, 5-Methoxy-2-nitro-4-(3-pyrrolidin-1-ylpropoxy)benzoic acid hydrochloride 199327-73-6P, 5-Methoxy-2-nitro-4-(3-pyrrolidin-1-ylpropoxy)benzamide 199327-74-7P, 2-Amino-5-methoxy-4-(3-pyrrolidin-1-ylpropoxy)benzamide hydrochloride 199327-75-8P, 6-Methoxy-7-(3-pyrrolidin-1-ylpropoxy)-3,4-dihydroquinazolin-4-one 264208-53-9P 288384-72-5P **288384-73-6P 288384-74-7P** 367272-12-6P, 7-(2,3-Epoxypropoxy)-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 367272-22-8P, 7-[2-Acetoxy-3-(pyrrolidin-1-yl)propoxy]-4-chloro-6-methoxyquinazoline 367272-24-0P, 7-[2-Acetoxy-3-(piperidino)propoxy]-4-chloro-6-methoxyquinazoline 379228-65-6P, 7-Amino-3-chlorobenzofuran 379228-67-8P, 3-Chloro-7-nitrobenzofuran 379230-43-0P, 7-Amino-6-chlorobenzofuran

379230-44-1P, Ethyl 2-allyloxy-6-chlorobenzoate 379230-45-2P, Ethyl 3-allyl-6-chloro-2-hydroxybenzoate 379230-46-3P, Ethyl 6-chlorobenzofuran-7-carboxylate 379230-47-4P, 6-Chlorobenzofuran-7-carboxylic acid 401811-82-3P, 7-(2-Hydroxy-3-morpholinopropoxy)-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 401811-83-4P, 7-(2-Hydroxy-3-morpholinopropoxy)-6-methoxy-3,4-dihydroquinazolin-4-one 401811-84-5P, 7-(2-Acetoxy-3-morpholinopropoxy)-6-methoxy-3,4-dihydroquinazolin-4-one 401811-85-6P, 7-(2-Acetoxy-3-morpholinopropoxy)-4-chloro-6-methoxyquinazoline 401811-86-7P, 7-[2-Acetoxy-3-(4-cyanomethylpiperazin-1-yl)propoxy]-4-chloro-6-methoxyquinazoline 401811-87-8P, 7-[2-Acetoxy-3-(N-isopropyl-N-methylamino)propoxy]-4-chloro-6-methoxyquinazoline 412349-11-2P, 2,3-Dichloro-7-nitro-2,3-dihydrobenzofuran 412349-12-3P, 4-Allyloxy-3-nitro-1-fluorobenzene 412349-13-4P, 2-Allyl-4-fluoro-6-nitrophenol 412349-14-5P, 5-Fluoro-7-nitrobenzofuran 412349-15-6P, 7-Amino-5-fluorobenzofuran 412349-16-7P 412349-17-8P 412349-19-0P 412349-20-3P, 7-Amino-3-bromo-6-chlorobenzofuran 412349-21-4P, 7-Benzyloxy-4-(6-chlorobenzofuran-7-ylamino)-6-methoxyquinazoline 412349-22-5P, 4-(6-Chlorobenzofuran-7-ylamino)-7-hydroxy-6-methoxyquinazoline 412349-23-6P, 7-(N-tert-Butoxycarbonylpiperidin-4-ylmethoxy)-4-(6-chlorobenzofuran-7-ylamino)-6-methoxyquinazoline 412349-24-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-(7-benzofuranylamino)quinazolines with antitumor activity)

IT **193001-80-8P**, 7-Benzyloxy-4-(4-chloro-2-fluorophenoxy)-6-methoxyquinazoline **288384-73-6P 288384-74-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-(7-benzofuranylamino)quinazolines with antitumor activity)

RN 193001-80-8 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 288384-73-6 CAPLUS
CN 7-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 193001-79-5
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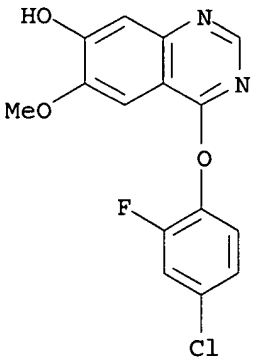

CM 2

CRN 76-05-1
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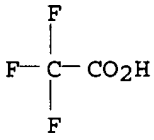
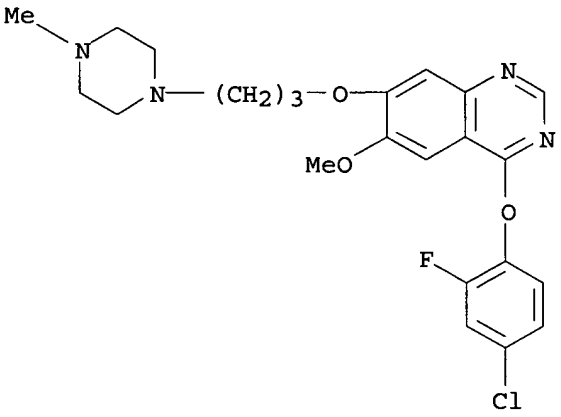


RN 288384-74-7 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

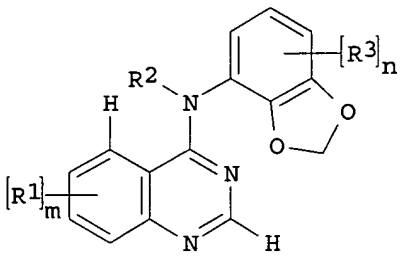
L12 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:157764 CAPLUS
DOCUMENT NUMBER: 136:200201
TITLE: Preparation of quinazolines as an anti-invasive agent in the containment and/or treatment of solid tumor

disease
INVENTOR(S): Hennequin, Laurent Francois Andre; Ple, Patrick; Lambert, Christine Marie Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016352	A1	20020228	WO 2001-GB3649	20010815
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001078609	A5	20020304	AU 2001-78609	20010815
EP 1313727	A1	20030528	EP 2001-956688	20010815
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013358	A	20030701	BR 2001-13358	20010815
JP 2004506732	T2	20040304	JP 2002-521453	20010815
US 2004034046	A1	20040219	US 2003-344678	20030214
NO 2003000795	A	20030404	NO 2003-795	20030220

PRIORITY APPLN. INFO.: EP 2000-402320 A 20000821
EP 2001-401006 A 20010419
WO 2001-GB3649 W 20010815

OTHER SOURCE(S): MARPAT 136:200201
GI



I

AB The title compds. [I; m, n = 0-3; R1 = halo, CF3, CN, etc.; R2 = H, alkyl; R3 = halo, CF3, CN, etc.] and their salts, useful in the manufacture of a medicament for use as an anti-invasive agent in the containment and/or treatment of solid tumor disease, were prepared and formulated. E.g., a multi-step synthesis of I [R1 = 7-(3-morpholinopropoxy); m = 1; R2, R3 = H] was given. The compds. I showed IC50's of 0.001-10 μM against c-src tyrosine kinase.

IC ICM C07D405-12
ICS C07D405-14; A61K031-517; A61P035-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 1668-84-4P, 2,3-Methylenedioxyaniline 2058-49-3P, 3-Methylsulfonylpropan-1-ol 2411-83-8P, Methyl 2,3-dihydroxybenzoate 5317-33-9P, 1-(3-Hydroxypropyl)-4-methylpiperazine 5464-12-0P, 1-(2-Hydroxyethyl)-4-methylpiperazine 5768-39-8P, 2,3-Methylenedioxybenzoic acid 7228-38-8P, 5-Chloro-1,3-benzodioxole 7357-67-7P, 3-Morpholinopropyl chloride 33842-16-9P, Methyl 2,3-methylenedioxybenzoate 55276-43-2P, 1-Mesylpiperazine 58619-56-0P, 1-Cyanomethylpiperazine 71935-32-5P, 2-Cyano-4-hydroxymethylpyridine 72744-56-0P, 5-Bromo-1,3-benzodioxole-4-carboxylic acid 74277-33-1P 74360-79-5P, 1-(tert-Butoxycarbonyl)-N-methyl-L-prolinamide 77290-31-4P, 1-(tert-Butoxycarbonyl)-4-cyanomethylpiperazine 89795-00-6P, 4-Hydroxy-4-(2-hydroxyethyl)tetrahydropyran 99177-19-2P, 3-[4-(3-Hydroxypropyl)piperazin-1-yl]propionitrile 104472-98-2P, 6-Chloro-2-(2-hydroxyethoxy)pyridine 111081-10-8P 118546-61-5P 123855-51-6P, N-tert-Butoxycarbonyl-4-hydroxymethylpiperidine 142851-03-4P, Ethyl N-tert-butoxycarbonylpiperidine-4-carboxylate 149152-54-5P, 1-(tert-Butoxycarbonyl)-N,N-dimethyl-L-prolinamide 166815-96-9P, N-tert-Butoxycarbonyl-4-(4-toluenesulfonyloxymethyl)piperidine 179688-01-8P, 7-Benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one 193001-55-7P, 7-Benzyloxy-6-methoxy-4-phenoxyquinazoline 193001-56-8P, 7-Hydroxy-6-methoxy-4-phenoxyquinazoline **193001-80-8P**, 7-Benzyloxy-4-(4-chloro-2-fluorophenoxy)-6-methoxyquinazoline 193002-09-4P, 4-(3-Hydroxypropoxy)pyridine 193002-24-3P, 7-Benzyloxy-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 193002-25-4P, 7-Hydroxy-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 196194-61-3P, 6-Methoxy-7-(3-morpholinopropoxy)-4-phenoxyquinazoline 196194-62-4P, 6-Methoxy-7-(3-morpholinopropoxy)-3,4-dihydroquinazolin-4-one 196195-13-8P, 4-Chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline 198478-03-4P, 3-(4-Benzylpiperazin-1-yl)propionitrile 199327-69-0P, 4-Chloro-6-methoxy-7-(3-pyrrolidin-1-ylpropoxy)quinazoline 199327-71-4P, 3-Methoxy-4-(3-pyrrolidin-1-ylpropoxy)benzoic acid hydrochloride 199327-72-5P, 5-Methoxy-2-nitro-4-(3-pyrrolidin-1-ylpropoxy)benzoic acid hydrochloride 199327-73-6P, 5-Methoxy-2-nitro-4-(3-pyrrolidin-1-ylpropoxy)benzamide 199327-74-7P, 2-Amino-5-methoxy-4-(3-pyrrolidin-1-ylpropoxy)benzamide hydrochloride 199327-75-8P, 6-Methoxy-7-(3-pyrrolidin-1-ylpropoxy)-3,4-dihydroquinazolin-4-one 205194-33-8P, 3-(1,1-Dioxotetrahydro-4H-1,4-thiazin-4-yl)propan-1-ol 264208-53-9P, 6-Methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]-3,4-dihydroquinazolin-4-one 264208-55-1P, 4-Chloro-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline 264208-58-4P, Ethyl 4-(N-tert-butoxycarbonylpiperidin-4-ylmethoxy)-3-methoxybenzoate 264208-60-8P, Ethyl 3-methoxy-4-(N-methylpiperidin-4-ylmethoxy)benzoate 264208-63-1P, Ethyl 5-methoxy-4-(N-methylpiperidin-4-ylmethoxy)-2-nitrobenzoate 264208-66-4P, Ethyl 2-amino-5-methoxy-4-(N-methylpiperidin-4-ylmethoxy)benzoate 264208-69-7P, 6-Methoxy-7-(N-methylpiperidin-4-ylmethoxy)-3,4-dihydroquinazolin-4-one 264208-72-2P, 4-Chloro-6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazoline 264208-86-8P, 7-(N-tert-Butoxycarbonylpiperidin-4-ylmethoxy)-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 288383-30-2P **288383-31-3P** 288383-32-4P 288383-71-1P, 4-Chloro-6-methoxy-7-(3-piperidinopropoxy)quinazoline 288383-72-2P, 7-(3-Bromopropoxy)-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 288383-73-3P, 6-Methoxy-7-(3-piperidinopropoxy)-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 288383-74-4P, 6-Methoxy-7-(3-piperidinopropoxy)-3,4-dihydroquinazolin-4-one 288384-72-5P, 3-(4-Methylpiperazin-1-yl)propyl 4-toluenesulfonate **288384-73-6P**, 4-(4-Chloro-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline trifluoroacetate salt **288384-74-7P**, 4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline 288385-87-5P,

6-Methoxy-7-(piperidin-4-ylmethoxy)-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 288386-07-2P, 7-[2-(N-tert-Butoxycarbonylpiperidin-4-yl)ethoxy]-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 349130-22-9P, 1-Benzyl-4-isobutyrylpiperazine 367272-12-6P, 7-(2,3-Epoxypropoxy)-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 367272-22-8P, 7-(2-Acetoxy-3-(pyrrolidin-1-yl)propoxy)-4-chloro-6-methoxyquinazoline 367272-24-0P, 7-(2-Acetoxy-3-piperidinopropoxy)-4-chloro-6-methoxyquinazoline 379228-45-2P, 6-Chloro-2,3-methylenedioxyaniline 379228-98-5P, 1-(2-Hydroxyethyl)-5-methyl-2-morpholinomethylimidazole 379228-99-6P, Methyl 2-(5-methylimidazol-1-yl)acetate 379229-01-3P, 1-(2-Hydroxyethyl)-5-methylimidazole 379229-03-5P, 1-(2-tert-Butyldimethylsilyloxyethyl)-5-methylimidazole 379229-05-7P, 1-(2-tert-Butyldimethylsilyloxyethyl)-2-formyl-5-methylimidazole 379229-07-9P, 1-(2-tert-Butyldimethylsilyloxyethyl)-5-methyl-2-morpholinomethylimidazole 379229-08-0P, (2S)-1-(2-Hydroxyethyl)-N,N-dimethylpyrrolidine-2-carboxamide 379229-09-1P, N,N-Dimethyl-L-prolinamide hydrochloride 379229-38-6P 379229-39-7P, N-Methyl-L-prolinamide trifluoroacetic acid salt 379229-40-0P 379229-41-1P 379229-42-2P 379229-43-3P 379229-45-5P 379229-46-6P 379229-47-7P 379229-83-1P, 5-Chloro-1,3-benzodioxole-4-carboxylic acid 379229-84-2P, tert-Butyl 5-chloro-1,3-benzodioxol-4-ylcarbamate 401811-73-2P, 7-[2-(2-Chloroethoxy)ethoxy]-6-methoxy-3-(pivaloyloxymethyl)-3,4-dihydroquinazolin-4-one 401811-74-3P, 6-Methoxy-7-[2-[2-(4-methylpiperazin-1-yl)ethoxy]ethoxy]-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 401811-75-4P, 6-Methoxy-7-[2-[2-(4-methylpiperazin-1-yl)ethoxy]ethoxy]-3,4-dihydroquinazolin-4-one 401811-76-5P, 4-Chloro-6-methoxy-7-[2-[2-(4-methylpiperazin-1-yl)ethoxy]ethoxy]quinazoline 401811-77-6P, tert-Butyl (5-bromo-1,3-benzodioxol-4-yl)carbamate 401811-78-7P, 6-Bromo-2,3-methylenedioxyaniline 401811-79-8P, 6-Methoxy-1,3-benzodioxole-4-carboxylic acid 401811-80-1P, tert-Butyl (6-methoxy-1,3-benzodioxol-4-yl)carbamate 401811-81-2P, 5-Methoxy-2,3-methylenedioxyaniline 401811-82-3P, 7-(2-Hydroxy-3-morpholinopropoxy)-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 401811-83-4P, 7-(2-Hydroxy-3-morpholinopropoxy)-6-methoxy-3,4-dihydroquinazolin-4-one 401811-84-5P, 7-(2-Acetoxy-3-morpholinopropoxy)-6-methoxy-3,4-dihydroquinazolin-4-one 401811-85-6P, 7-(2-Acetoxy-3-morpholinopropoxy)-4-chloro-6-methoxyquinazoline 401811-86-7P, 7-(2-Acetoxy-3-(4-cyanomethylpiperazine-1-yl)propoxy)-4-chloro-6-methoxyquinazoline 401811-87-8P, 7-(2-Acetoxy-3-(N-isopropyl-N-methylamino)propoxy)-4-chloro-6-methoxyquinazoline 401811-88-9P, 7-(N-tert-Butoxycarbonylpiperidin-4-ylmethoxy)-6-methoxy-3,4-dihydroquinazolin-4-one 401811-89-0P, 7-(N-tert-Butoxycarbonylpiperidin-4-ylmethoxy)-4-chloro-6-methoxyquinazoline 401811-90-3P, 7-[2-(N-tert-Butoxycarbonylpiperidin-4-yl)ethoxy]-6-methoxy-3,4-dihydroquinazolin-4-one 401811-91-4P, 7-[2-(N-tert-Butoxycarbonylpiperidin-4-yl)ethoxy]-4-chloro-6-methoxyquinazoline 401811-92-5P, 6-Methoxy-7-[1-(2-(morpholin-4-yl)ethyl)piperidin-4-ylmethoxy]-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 401811-93-6P, 6-Methoxy-7-[1-(2-(morpholin-4-yl)ethyl)piperidin-4-ylmethoxy]-3,4-dihydroquinazolin-4-one 401811-94-7P, 4-Chloro-6-Methoxy-7-[1-(2-(morpholin-4-yl)ethyl)piperidin-4-ylmethoxy]quinazoline 401811-95-8P, 2-(3-Hydroxypropoxy)-6-methylpyridine 401811-96-9P, 2-Chloro-6-(2-((tetrahydropyran-2-yl)oxy)ethoxy)pyridine 401811-97-0P 401811-98-1P, N-tert-Butoxycarbonyl-4-hydroxy-4-(2-hydroxyethyl)piperidine 401811-99-2P, Ethyl 2-(4-hydroxytetrahydropyran-4-yl)acetate 401812-00-8P, cis-3,5-Dimethyl-1-(3-hydroxypropyl)piperazine 401812-01-9P 401812-02-0P 401812-03-1P 401812-04-2P, 1-(3-Hydroxypropyl)-4-isobutyrylpiperazine 401812-05-3P,

7-(2-Bromoethoxy)-4-(2,3-methylenedioxyanilino)-6-methoxyquinazoline 401812-06-4P, 7-(3-Chloropropoxy)-4-(2,3-methylenedioxyanilino)-6-methoxyquinazoline 401812-07-5P, 7-(3-Bromopropoxy)-4-(6-chloro-2,3-methylenedioxyanilino)-6-methoxyquinazoline 401812-08-6P, 4-(6-Chloro-2,3-methylenedioxyanilino)-7-(3-chloropropoxy)-6-methoxyquinazoline 401812-09-7P 401812-10-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolines as an anti-invasive agent in the containment and/or treatment of solid tumor disease)

IT **193001-80-8P**, 7-Benzyloxy-4-(4-chloro-2-fluorophenoxy)-6-methoxyquinazoline **288383-31-3P 288384-73-6P**, 4-(4-Chloro-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline trifluoroacetate salt **288384-74-7P**, 4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolines as an anti-invasive agent in the containment and/or treatment of solid tumor disease)

RN 193001-80-8 CAPLUS
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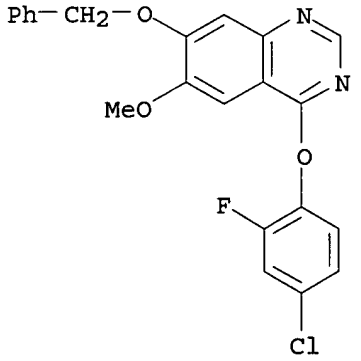

RN 288383-31-3 CAPLUS
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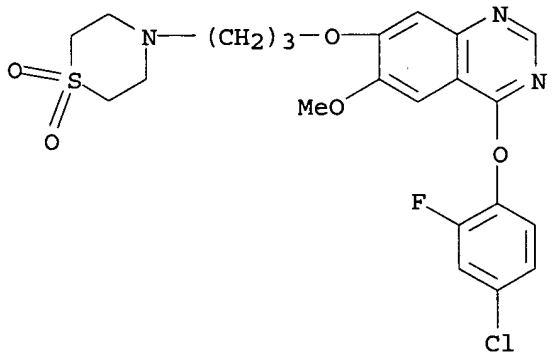

RN 288384-73-6 CAPLUS

CN 7-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

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CRN 193001-79-5
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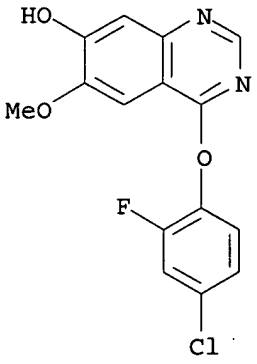

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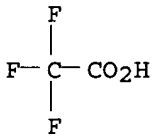
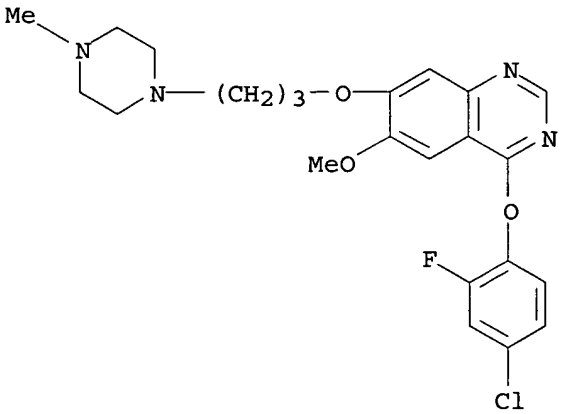
CRN 76-05-1
CMF C2 H F3 O2



RN 288384-74-7 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)

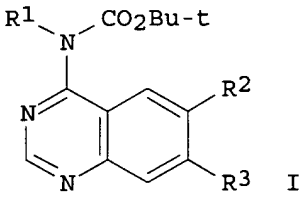


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:157044 CAPLUS
DOCUMENT NUMBER: 136:216752
TITLE: Preparation of 4-aminoquinazolines as inhibitors of signal transduction mediated by tyrosine kinase
INVENTOR(S): Himmelsbach, Frank
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10040527	A1	20020228	DE 2000-10040527	20000818

PRIORITY APPLN. INFO.: DE 2000-10040527 20000818
OTHER SOURCE(S): MARPAT 136:216752
GI



I

AB Title compds. [I; R1 = PhCH2, (substituted) Ph; R2 = OH, alkylcarbonyloxy, amino, NO2; R3 = H, F, Cl, Br, cycloalkoxy, cycloalkylalkoxy, (substituted) alkoxy], and stereoisomers and salts thereof are claimed. I were said to inhibit signal transduction mediated by tyrosine kinase.
IC ICM C07D239-86
ICS C07D405-12
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT 402472-89-3P 402472-90-6P 402472-91-7P 402472-92-8P 402472-93-9P
402472-94-0P 402472-95-1P 402472-96-2P 402472-97-3P 402472-98-4P
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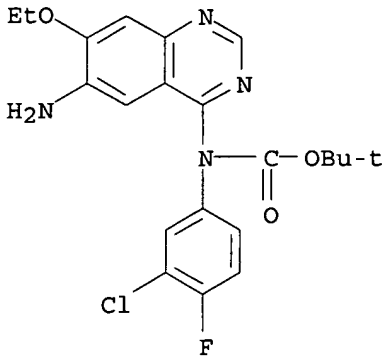
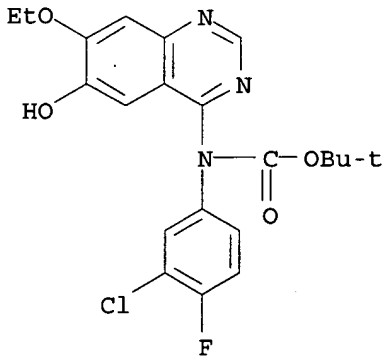
402473-33-0P 402473-34-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoquinazolines as inhibitors of signal transduction mediated by tyrosine kinase)
IT **402473-33-0P 402473-34-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoquinazolines as inhibitors of signal transduction mediated by tyrosine kinase)

RN 402473-33-0 CAPLUS
CN Carbamic acid, (6-amino-7-ethoxy-4-quinazolinyl)(3-chloro-4-fluorophenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 402473-34-1 CAPLUS
CN Carbamic acid, (3-chloro-4-fluorophenyl)(7-ethoxy-6-hydroxy-4-quinazolinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:31424 CAPLUS
DOCUMENT NUMBER: 136:102393
TITLE: Preparation of quinazolinylureas for treatment of solid tumors.
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Ltd.
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002002534 A1 20020110 WO 2001-GB2874 20010628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 2002016758 A5 20020114 AU 2002-16758 20010628
PRIORITY APPLN. INFO.: EP 2000-401897 A 20000703
WO 2001-GB2874 W 20010628

OTHER SOURCE(S): MARPAT 136:102393

AB Use of Q1R2NC(:Z)NR3Q2 [Q1 = (substituted) (fused) quinazolinyl, quinolinyl, etc.; Q2 = (substituted) aryl, aralkyl, arylcycloalkyl, heteroaryl, heteroarylalkyl; R2, R3 = H, alkyl; R2R3 = CH2, CH2CH2, (CH2)3] as antiinvasive agents in the containment and/or treatment of solid tumor disease is claimed. Thus, 2,6-dichlorophenyl isocyanate was added to a solution of 4-amino-6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazoline (preparation given) in CH2Cl2/DMF followed by stirring to give 1-(2,6-dichlorophenyl)-3-[6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazolin-4-yl]urea. Title compds. inhibited proliferation of NIH 3T3 fibroblasts with IC50 in the range, for example, of 0.001-10 μM.

IC ICM C07D239-94
ICS C07D215-54; C07D401-12; C07D495-04; A61K031-505; A61K031-4706; A61P035-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 75-12-7, Formamide, reactions 77-77-0, Divinyl sulfone 104-79-0, N,N-Diethyl-N'-methylethylenediamine 107-15-3, Ethylenediamine, reactions 107-99-3, 2-Dimethylaminoethyl chloride 109-01-3, 1-Methylpiperazine 109-64-8, 1,3-Dibromopropane 109-70-6, 1-Bromo-3-chloropropane 109-83-1, 2-Methylaminoethanol 110-65-6, 2-Butyne-1,4-diol 110-91-8, Morpholine, reactions 123-00-2, 3-Morpholinopropylamine 123-75-1, Pyrrolidine, reactions 140-88-5, Ethyl acrylate 156-87-6, 3-Aminopropanol 288-36-8, 1,2,3-Triazole 446-32-2, 2-Amino-4-fluorobenzoic acid 496-69-5, 2-Bromo-4-fluorophenol 505-10-2, 3-Methylthio-1-propanol 617-05-0, Ethyl 4-hydroxy-3-methoxybenzoate 627-18-9 627-30-5, 3-Chloropropanol 693-98-1, 2-Methylimidazole 1126-09-6, Ethyl piperidine-4-carboxylate 1458-63-5, 3-Piperidinopropyl chloride 1943-82-4, Phenethyl isocyanate 2105-94-4, 4-Bromo-2-fluorophenol 2387-20-4 2955-88-6, N-(2-Hydroxyethyl)pyrrolidine 3040-44-6, N-(2-Hydroxyethyl)piperidine 3240-94-6, 2-Morpholinoethyl chloride 3320-86-3, 2-Nitrophenyl isocyanate 3473-63-0, Formamidine acetate 4441-30-9, 4-(3-Hydroxypropyl)morpholine 4480-49-3, 4-Morpholino-2-butyn-1-ol 4572-03-6 5036-48-6, 3-(1-Imidazolyl)propylamine 5050-41-9, 2-(Pyrrolidin-1-yl)ethyl chloride 5344-27-4, 4-(2-Hydroxyethyl)pyridine 5911-08-0, Cyclopropylmethyl chloride 6482-24-2, 2-Bromoethyl methyl ether 6590-95-0, 2,6-Dichlorophenyl isothiocyanate 7223-38-3, 3-Dimethylamino-1-propyne 7223-42-9 7583-53-1, 3-Hydroxymethyl-N-methylpiperidine 14649-03-7, (S)-α-Methylbenzyl isocyanate 16285-74-8, 4-Aminothieno[3,2-d]pyrimidine 16319-11-2, 3-Amino-N,N,2,4-tetramethylbenzamide 18997-19-8, Chloromethyl pivalate 21575-13-3, 4-Amino-6,7-dimethoxyquinazoline 22288-78-4, Methyl 3-amino-2-thiophenecarboxylate 27578-60-5, 2-Piperidinoethylamine 33375-06-3, (R)-α-Methylbenzyl isocyanate 35000-38-5, (tert-Butoxycarbonylmethylene)triphenylphosphorane 35019-96-6,

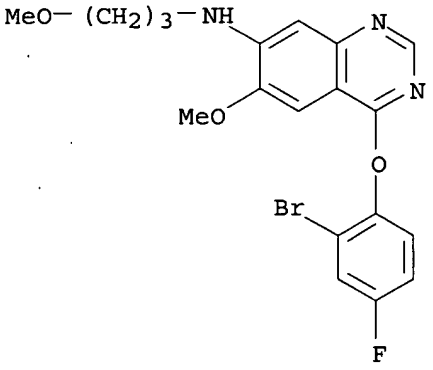
trans-2-Phenylcyclopropyl isocyanate 35956-52-6 39546-32-2, Piperidine-4-carboxamide 39743-20-9, 3-(Pyrrolidin-1-yl)propyl chloride 39920-37-1, 2,6-Dichlorophenyl isocyanate 50586-80-6, 2-(2-Methoxyethoxy)ethyl tosylate 52808-36-3, 2-(2-Methoxyethoxy)ethyl chloride 56651-58-2, 2-Methylbenzyl isocyanate 57260-71-6, 1-(tert-Butoxycarbonyl)piperazine 60547-98-0, 2-Amino-4-benzyloxy-5-methoxybenzamide 71408-00-9, Methyl 4-amino-5-cyano-2-hydroxybenzoate 77648-20-5, 2,4,6-Trimethoxybenzylamine 79496-61-0 88442-63-1, 1-(1-Naphthyl)ethyl isocyanate 135632-53-0 146548-59-6, 2,4,6-Trimethoxybenzylamine hydrochloride 179688-02-9 179688-53-0 184475-35-2 214470-55-0 320364-79-2 320367-00-8 **388616-58-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinazolinylureas for treatment of solid tumors)

IT 104-16-5P 540-51-2P, 2-Bromoethanol 2058-49-3P 4332-48-3P 5317-33-9P 5464-12-0P 7357-67-7P 13280-07-4P, 4-Chloro-2-butyn-1-ol 14597-28-5P 16229-25-7P 16234-10-9P, Thieno[3,2-d]pyrimidin-4(1H)-one 16269-66-2P 16499-57-3P 19748-66-4P, 1-Pyrrolidinepropanol 123855-51-6P 142851-03-4P 162364-72-9P 166815-96-9P 179688-01-8P 179688-03-0P 193002-14-1P 193002-24-3P 193002-25-4P 199327-59-8P 199327-61-2P 205194-13-4P 205194-33-8P 220896-01-5P 220896-04-8P 220896-07-1P 220896-09-3P 220896-44-6P 220896-53-7P 230955-75-6P 264208-58-4P 264208-60-8P 264208-63-1P 264208-66-4P 264208-69-7P 264208-72-2P 288383-69-7P 288383-71-1P 288383-72-2P 288383-73-3P 288383-74-4P **288384-43-0P** 288385-51-3P, 1H-1,2,3-Triazole-1-propanol **320365-81-9P** 320365-82-0P 320365-83-1P 320365-84-2P 320365-85-3P 320365-86-4P 320365-87-5P 320365-88-6P 320365-89-7P 320365-90-0P 320365-91-1P 320365-92-2P 320365-93-3P 320365-94-4P 320365-95-5P 320365-96-6P 320365-97-7P 320365-98-8P **320366-00-5P 320366-01-6P 320366-02-7P** **320366-03-8P** 320366-04-9P **320366-05-0P** 320366-06-1P **320366-07-2P** 320366-08-3P **320366-09-4P** 320366-10-7P 320366-12-9P **320366-13-0P** 320366-14-1P 320366-15-2P 320366-16-3P 320366-17-4P 320366-18-5P 320366-19-6P 320366-20-9P 320366-21-0P 320366-22-1P 320366-23-2P 320366-24-3P 320366-25-4P 320366-26-5P 320366-27-6P 320366-28-7P 320366-29-8P 320366-30-1P 320366-31-2P **320366-32-3P 320366-33-4P** 320366-34-5P 320366-35-6P 320366-36-7P 320366-37-8P **320366-38-9P** **320366-39-0P** 320366-40-3P **320366-41-4P** **320366-42-5P 320366-43-6P 320366-44-7P** **320366-45-8P** 320366-46-9P 320366-47-0P **320366-48-1P** 320366-49-2P 320366-50-5P 320366-51-6P 320366-52-7P **320366-53-8P 320366-54-9P 320366-55-0P** **320366-56-1P** 320366-57-2P 320366-58-3P 320366-59-4P 320366-60-7P 320366-61-8P 320366-62-9P 320366-63-0P 320366-64-1P **320366-65-2P** 320366-66-3P 320366-67-4P 320366-68-5P 320366-69-6P 320366-70-9P 320366-71-0P 320366-72-1P 320366-73-2P 320366-74-3P 320366-75-4P 320366-76-5P 320366-77-6P 320366-78-7P 320366-79-8P 320366-80-1P **320366-81-2P** 320366-82-3P 320366-85-6P 320366-86-7P 320366-87-8P 320366-88-9P 320366-89-0P 320366-90-3P 320366-91-4P 320366-92-5P 320366-93-6P 320366-94-7P 320366-95-8P 320366-96-9P 320366-97-0P 320366-99-2P 320367-02-0P **359701-48-7P** 359701-50-1P 388616-56-6P 388616-57-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinylureas for treatment of solid tumors)

IT **388616-58-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinazolinylureas for treatment of solid tumors)

RN 388616-58-8 CAPLUS
CN 7-Quinazolinamine, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-N-(3-

methoxypropyl)- (9CI) (CA INDEX NAME)



IT **288384-43-0P 320365-81-9P 320366-00-5P**
320366-01-6P 320366-02-7P 320366-03-8P
320366-05-0P 320366-07-2P 320366-09-4P
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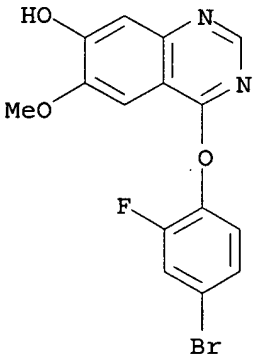
320366-65-2P 320366-81-2P 359701-48-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinylureas for treatment of solid tumors)

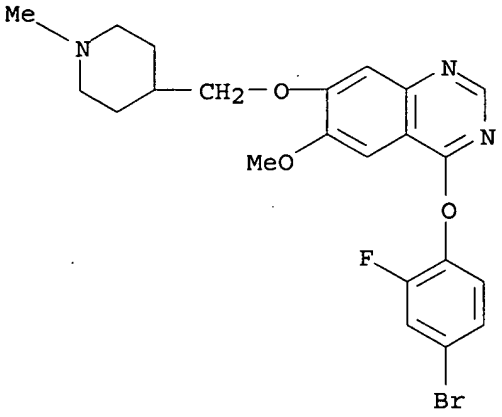
RN 288384-43-0 CAPLUS

CN 7-Quinazolinol, 4-(4-bromo-2-fluorophenoxy)-6-methoxy- (9CI) (CA INDEX NAME)

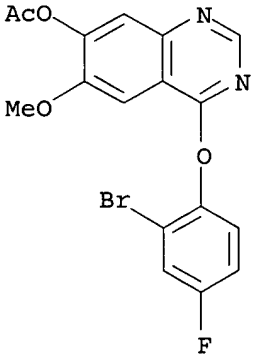


RN 320365-81-9 CAPLUS

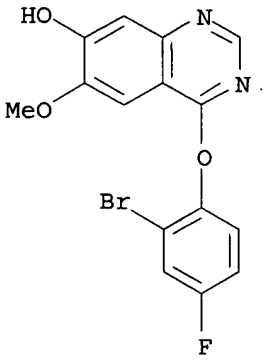
CN Quinazoline, 4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



RN 320366-00-5 CAPLUS
CN 7-Quinazolinol, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-, acetate (ester) (9CI) (CA INDEX NAME)

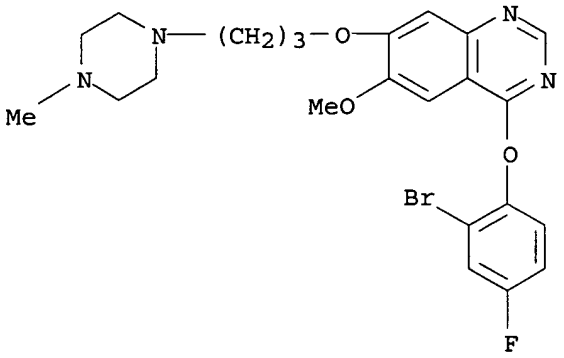


RN 320366-01-6 CAPLUS
CN 7-Quinazolinol, 4-(2-bromo-4-fluorophenoxy)-6-methoxy- (9CI) (CA INDEX NAME)

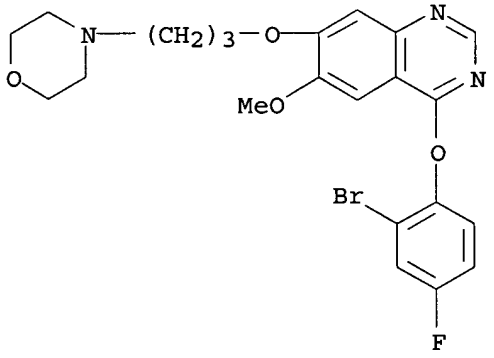


RN 320366-02-7 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[3-(4-methyl-1-

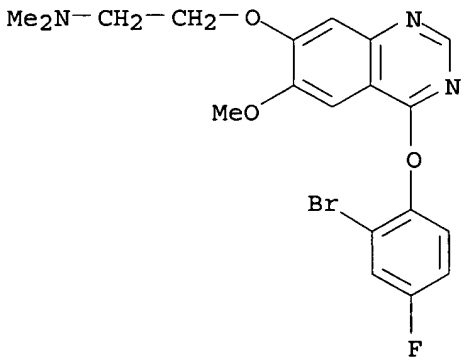
piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320366-03-8 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)

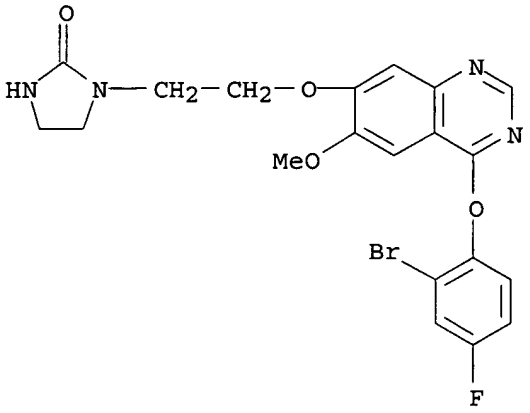


RN 320366-05-0 CAPLUS
CN Ethanamine, 2-[[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 320366-07-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-

quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 320366-09-4 CAPLUS
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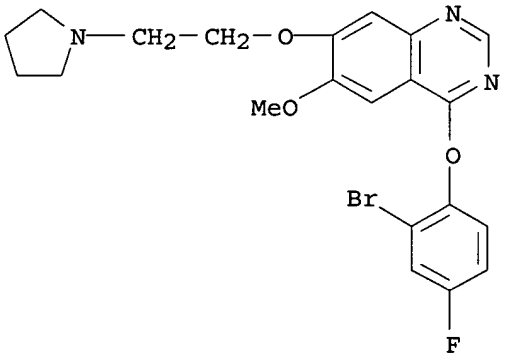
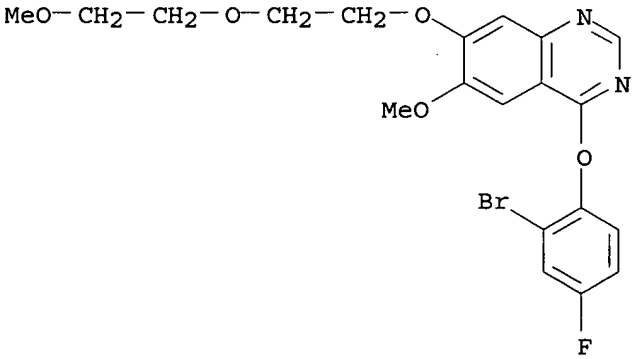
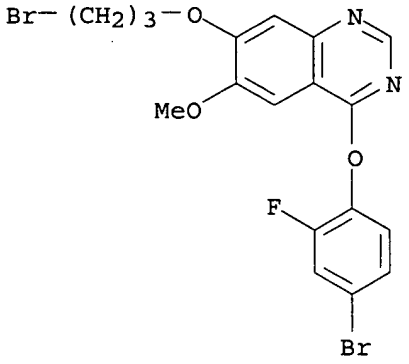


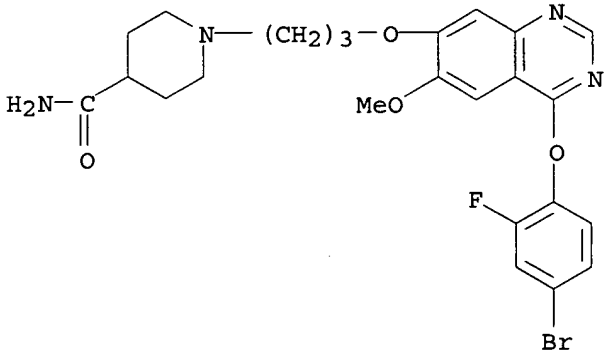
RN 320366-13-0 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]- (9CI) (CA INDEX NAME)



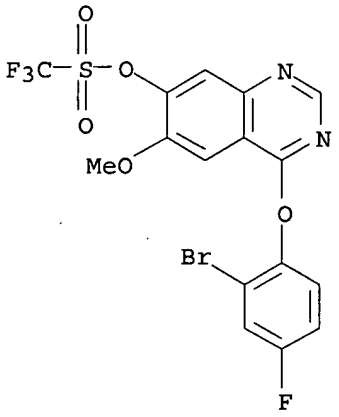
RN 320366-32-3 CAPLUS
CN Quinazoline, 4-(4-bromo-2-fluorophenoxy)-7-(3-bromopropoxy)-6-methoxy- (9CI) (CA INDEX NAME)



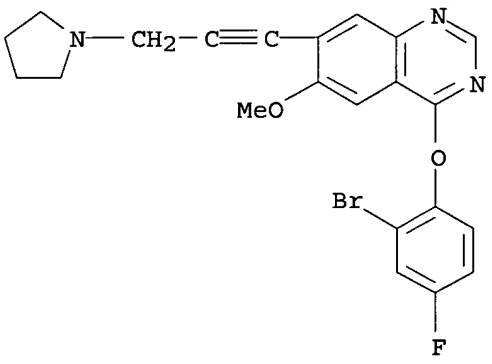
RN 320366-33-4 CAPLUS
CN 4-Piperidinecarboxamide, 1-[3-[[4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



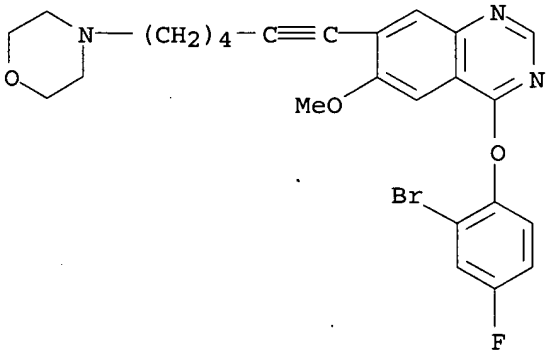
RN 320366-38-9 CAPLUS
CN Methanesulfonic acid, trifluoro-, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl ester (9CI) (CA INDEX NAME)



RN 320366-39-0 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[3-(1-pyrrolidinyl)-1-propynyl]- (9CI) (CA INDEX NAME)

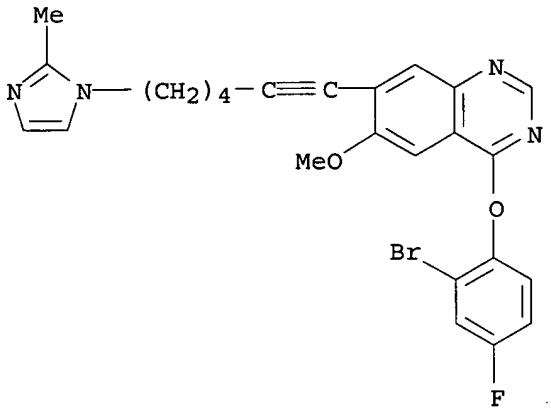


RN 320366-41-4 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[6-(4-morpholinyl)-1-hexynyl]- (9CI) (CA INDEX NAME)

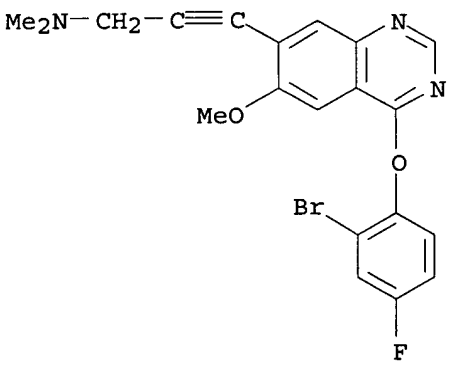


RN 320366-42-5 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[6-(2-methyl-1H-

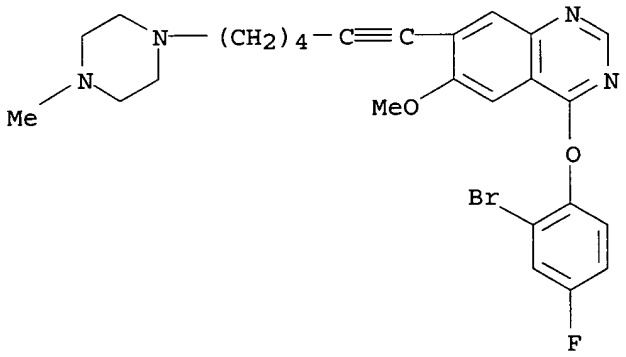
imidazol-1-yl)-1-hexynyl]- (9CI) (CA INDEX NAME)



RN 320366-43-6 CAPLUS
CN 2-Propyn-1-amine, 3-[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 320366-44-7 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[6-(4-methyl-1-piperazinyl)-1-hexynyl]- (9CI) (CA INDEX NAME)



RN 320366-45-8 CAPLUS
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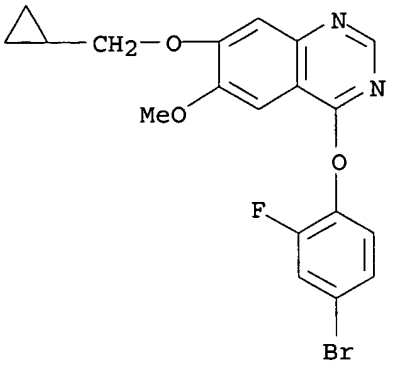
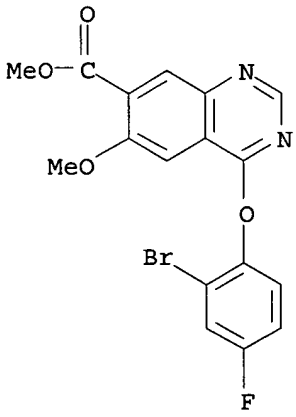
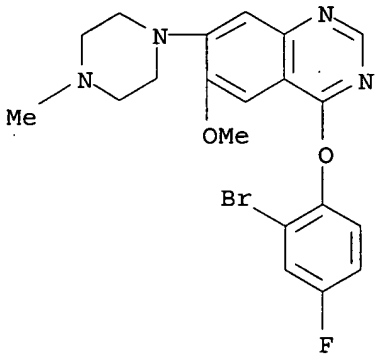


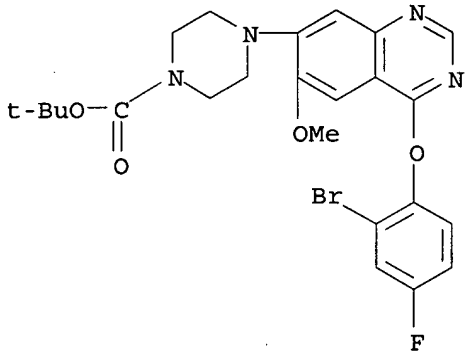
RN 320366-48-1 CAPLUS
CN 7-Quinazolinecarboxylic acid, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-, methyl ester (9CI) (CA INDEX NAME)



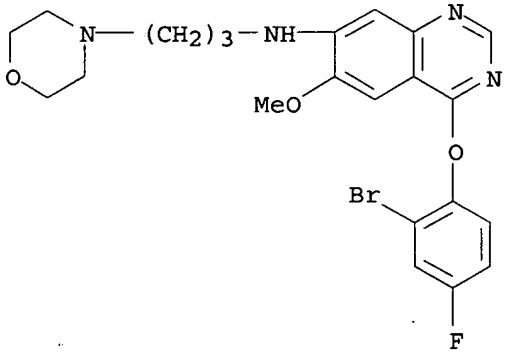
RN 320366-53-8 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



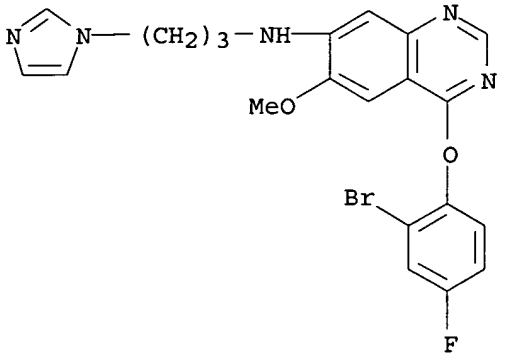
RN 320366-54-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



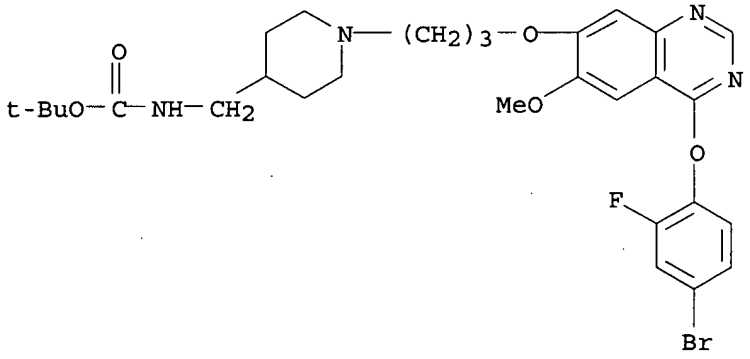
RN 320366-55-0 CAPLUS
CN 7-Quinazolinamine, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



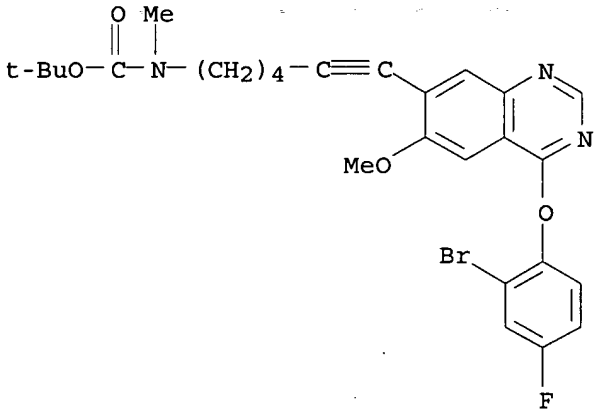
RN 320366-56-1 CAPLUS
CN 7-Quinazolinamine, 4-(2-bromo-4-fluorophenoxy)-N-[3-(1H-imidazol-1-yl)propyl]-6-methoxy- (9CI) (CA INDEX NAME)



RN 320366-65-2 CAPLUS
CN Carbamic acid, [[1-[3-[[4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]propyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

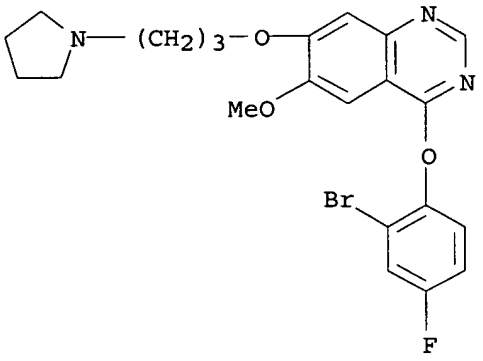


RN 320366-81-2 CAPLUS
CN Carbamic acid, [6-[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]-5-hexynyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 359701-48-7 CAPLUS

CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:10463 CAPLUS
DOCUMENT NUMBER: 136:85816
TITLE: Synthesis of guanidine derivatives of quinazoline and quinoline for use in the treatment of autoimmune diseases
INVENTOR(S): Poyser, Jeffrey Philip
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000644	A1	20020103	WO 2001-GB2698	20010619
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1296973	A1	20030402	EP 2001-940757	20010619
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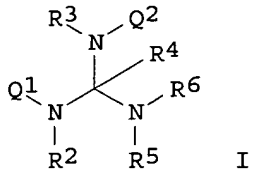
PRIORITY APPLN. INFO.: GB 2000-15376 A 20000624
GB 2000-30989 A 20001219
WO 2001-GB2698 W 20010619
OTHER SOURCE(S): MARPAT 136:85816
GI



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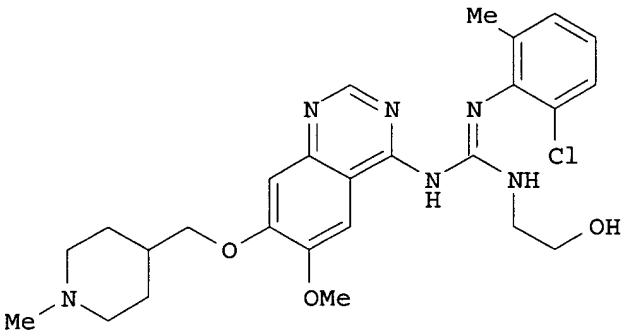

II

AB Title compds. I [Q1 = (un)substituted quinazolinyl and quinazolinyl-like ring; R2 = H, alkyl; R3 = H, alkyl, or R2 and R3 together form a CH2, (CH2)2 or (CH2)3 group; R5 = H, alkyl, or R5 and R6 together with the N atom to which they are attached form a 4- to 7-membered heterocyclic ring optionally containing a further heteroatom selected from 0, N and S, provided that one of the pairs of groups R2 and R4 together, R3 and R4 together and R5 and R4 together forms a bond; Q2 = aryl, arylalkyl, arylcycloalkyl, heteroaryl, heteroarylalkyl or heteroarylcycloalkyl; R6 = (un)substituted group selected from alkenyl, alkynyl, cycloalkyl and cycloalkenyl, or R6 is a substituted alkyl group, and wherein adjacent carbon atoms in any alkylene chain within a R6 group are optionally separated by the insertion into the chain of a group selected from 0, S, SO, SO2, amino, CO, etc.; or a tautomer thereof] were prepared Over 100 synthetic examples were provided. E.g., Et 3-methoxy-4-((N-methylpiperidin-4-yl)methoxy)benzoate (preparation given) was nitrated (CH2Cl2, TFA, HNO3, 0°C), the nitro group reduced (MeOH, Pt/C, 1.8 atm H2), the product condensed/cyclized (2-methoxyethanol, 115°C, 2 h) and treated with thionyl chloride to give 4-chloro-6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazoline. This intermediate was treated with 4-bromo-2-fluorophenol (DMF, K2CO3, 100°C, 2.5 h), ammonia in isopropanol (2M, 130°C, 16 h) to give the 4-aminoquinazoline derivative which was reacted with 2-chloro-6-methylphenylisothiocyanate (DMF, NaH) to afford 1-(2-chloro-6-methylphenyl)-3-[6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazolin-4-yl]thiourea. The thiourea was treated with 2-aminoethanol (CHCl3/MeOH, HgO, 2 h) to give example compound II. I are used in the prevention or treatment of T cell mediated diseases.

IC ICM C07D401-12
ICS C07D239-94; C07D401-14; C07D405-14; C07D403-12; C07D405-12; C07D409-12; C07D409-14; C07D413-12; A61K031-505; A61P037-02

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 19748-66-4P, N-(3-Hydroxypropyl)pyrrolidine 123855-51-6P, N-tert-Butoxycarbonyl-4-hydroxymethylpiperidine 142851-03-4P, Ethyl N-tert-butoxycarbonylpiperidine-4-carboxylate 162364-72-9P,

7-Benzyloxy-4-chloro-6-methoxyquinazoline 166815-96-9P, N-tert-Butoxycarbonyl-4-(4-toluenesulfonyloxymethyl)piperidine 179688-03-0P, 7-Acetoxy-4-chloro-6-methoxyquinazoline 230955-75-6P, 6-Acetoxy-4-chloro-7-methoxyquinazoline 264208-58-4P, Ethyl 4-(N-tert-butoxycarbonylpiperidin-4-ylmethoxy)-3-methoxybenzoate 264208-60-8P, Ethyl 3-methoxy-4-(N-methylpiperidin-4-ylmethoxy)benzoate 264208-63-1P, Ethyl 5-methoxy-4-(N-methylpiperidin-4-ylmethoxy)-2-nitrobenzoate 264208-66-4P, Ethyl 2-amino-5-methoxy-4-(N-methylpiperidin-4-ylmethoxy)benzoate 264208-69-7P, 6-Methoxy-7-(N-methylpiperidin-4-ylmethoxy)-3,4-dihydroquinazolin-4-one 264208-72-2P, 4-Chloro-6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazoline 320365-36-4P, 1-(2,6-Dimethylphenyl)-3-[6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazolin-4-yl]thiourea 320365-37-5P, 1-(2,6-Dichlorophenyl)-3-[6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazolin-4-yl]thiourea 320365-38-6P, 1-(2,6-Difluorophenyl)-3-[6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazolin-4-yl]thiourea 320365-39-7P, 1-(2-Chloro-6-methylphenyl)-3-[6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazolin-4-yl]thiourea 320365-42-2P, 1-(2,5-Dimethylphenyl)-3-[6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazolin-4-yl]thiourea 320365-44-4P, 1-(2,6-Difluorophenyl)-3-[6-methoxy-7-(3-(pyrrolidin-1-yl)propoxy)quinazolin-4-yl]thiourea 320365-45-5P 320365-46-6P, 1-(2,6-Dimethylphenyl)-3-[6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]quinazolin-4-yl]thiourea 320365-47-7P, 1-(2,6-Dimethylphenyl)-3-[6-methoxy-7-(2-morpholinoethoxy)quinazolin-4-yl]thiourea 320365-48-8P, 1-(2,6-Dimethylphenyl)-3-[6-methoxy-7-(3-morpholinopropoxy)quinazolin-4-yl]thiourea 320365-49-9P, 1-(2,6-Dimethylphenyl)-3-(7-(cyclopropyl)methoxy-6-methoxyquinazolin-4-yl)thiourea 320365-50-2P, 1-(2-Chloro-6-methylphenyl)-3-[6-methoxy-7-(2-morpholinoethoxy)quinazolin-4-yl]thiourea 320365-52-4P, 1-(2-Methylphenyl)-3-[6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazolin-4-yl]thiourea 320365-53-5P, 1-(2,6-Dimethylphenyl)-3-[6-methoxy-7-(2-(pyrrolidin-1-yl)ethoxy)quinazolin-4-yl]thiourea **320365-81-9P**, 4-(4-Bromo-2-fluorophenoxy)-6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazoline 320365-82-0P, 4-Amino-6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazoline 320365-90-0P, 4-Amino-7-hydroxy-6-methoxyquinazoline 320365-91-1P, 4-Amino-6-methoxy-7-(3-morpholinopropoxy)quinazoline 320365-93-3P, 4-Amino-6-methoxy-7-(3-(pyrrolidin-1-yl)propoxy)quinazoline **320366-00-5P**, 7-Acetoxy-4-(2-bromo-4-fluorophenoxy)-6-methoxyquinazoline **320366-01-6P**, 4-(2-Bromo-4-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline 320366-08-3P, 4-Amino-6-methoxy-7-(2-(pyrrolidin-1-yl)ethoxy)quinazoline **320366-09-4P**, 4-(2-Bromo-4-fluorophenoxy)-6-methoxy-7-(2-(pyrrolidin-1-yl)ethoxy)quinazoline 320366-10-7P, 4-Amino-6-methoxy-7-(2-morpholinoethoxy)quinazoline **320366-11-8P**, 4-(2-Bromo-4-fluorophenoxy)-6-methoxy-7-(2-morpholinoethoxy)quinazoline 320366-12-9P, 4-Amino-6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]quinazoline **320366-13-0P**, 4-(2-Bromo-4-fluorophenoxy)-6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]quinazoline **320366-45-8P**, 4-(4-Bromo-2-fluorophenoxy)-7-cyclopropylmethoxy-6-methoxyquinazoline 320366-46-9P, 4-Amino-7-cyclopropylmethoxy-6-methoxyquinazoline 320367-02-0P, 4-Amino-7-benzyloxy-6-methoxyquinazoline 385814-17-5P, 1-(2-Methylphenyl)-3-[6-methoxy-7-(2-morpholinoethoxy)quinazolin-4-yl]thiourea 385814-18-6P, 1-(2-Chlorophenyl)-3-[6-methoxy-7-(2-morpholinoethoxy)quinazolin-4-yl]thiourea 385814-19-7P, 1-(2,6-Dichlorophenyl)-3-[6-methoxy-7-(2-morpholinoethoxy)quinazolin-4-yl]thiourea 385814-20-0P, 1-(7-Benzyloxy-6-methoxyquinazolin-4-yl)-3-(2,6-dimethylphenyl)thiourea 385814-21-1P, 1-(2,6-Dimethylphenyl)-3-[6-methoxy-7-(2-pyridylmethoxy)quinazolin-4-yl]thiourea **385814-22-2P**, 4-(4-Bromo-2-fluorophenoxy)-6-methoxy-7-(2-pyridylmethoxy)quinazoline

385814-23-3P, 4-Amino-6-methoxy-7-(2-pyridylmethoxy)quinazoline
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of guanidine derivs. of quinazoline and quinoline for use in treatment of autoimmune diseases)

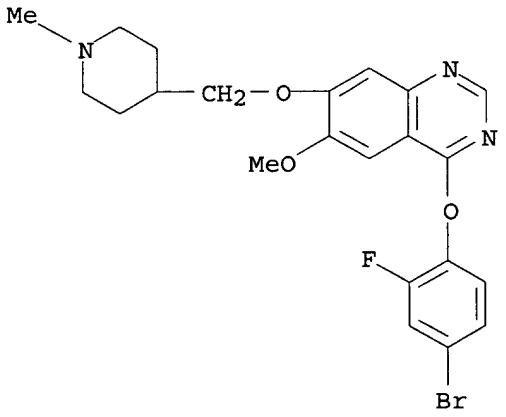
IT 97-99-4, Tetrahydrofuran-2-ylmethanol 100-72-1 100-79-8, 2,2-Dimethyl-1,3-dioxolan-4-ylmethanol 111-77-3, 2-(2-Methoxyethoxy)ethanol 123-75-1, Pyrrolidine, reactions 141-43-5, 2-Aminoethanol, reactions 151-18-8, 3-Aminopropionitrile 348-62-9, 4-Chloro-2-fluorophenol 446-32-2, 4-Fluoroanthranilic acid 453-20-3, 3-Hydroxytetrahydrofuran 496-69-5, 2-Bromo-4-fluorophenol 614-69-7, 2-Methylphenyl isothiocyanate 617-05-0, Ethyl 4-hydroxy-3-methoxybenzoate 622-40-2, N-(2-Hydroxyethyl)morpholine 627-30-5, 3-Chloropropanol 1126-09-6, Ethylpiperidine-4-carboxylate 1679-51-2, (Cyclohex-1-en-4-yl)methanol 2081-44-9, 4-Hydroxytetrahydropyran 2105-94-4, 4-Bromo-2-fluorophenol 2393-23-9, 4-Methoxybenzylamine 2740-81-0, 2-Chlorophenyl isothiocyanate 3240-94-6, 2-Morpholinoethyl chloride 3288-04-8, 2-Methoxyphenyl isothiocyanate 3473-63-0, Formamidine acetate 3663-82-9, 2-Hydroxymethyl-1,4-benzodioxan 4441-30-9, N-(3-Hydroxypropyl)morpholine 5050-41-9, 2-Pyrrolidin-1-ylethyl chloride 5911-08-0, Cyclopropylmethyl chloride 6323-79-1, 3-(Dipropylamino)propyne 6590-95-0, 2,6-Dichlorophenyl isothiocyanate 6959-47-3, 2-Pyridylmethyl chloride hydrochloride 7583-53-1, 1-Methyl-3-piperidinemethanol 10312-83-1, Methoxyacetaldehyde 13037-60-0, 2-Bromophenyl isothiocyanate 13220-33-2, 3-Hydroxy-N-methylpyrrolidine 13404-22-3 15833-61-1, Tetrahydrofuran-3-ylmethanol 16499-57-3, 7-Fluoro-3,4-dihydroquinazolin-4-one 19241-15-7, 2,5-Dimethylphenyl isothiocyanate 19241-16-8, 2,6-Dimethylphenyl isothiocyanate 19241-19-1, 2-Ethylphenyl isothiocyanate 19241-34-0, 2-Chloro-6-methylphenyl isothiocyanate 22195-47-7, ((2,2-Dimethyl-1,3-dioxolan-4-yl)methyl)amine 22356-89-4, Glycine methylamide 27489-62-9, trans-4-Hydroxycyclohexylamine 50586-80-6, 2-(2-Methoxyethoxy)ethyl tosylate 51387-90-7, 2-(N-Methylpyrrolidin-2-yl)ethylamine 59531-86-1 67863-05-2 71034-40-7 75007-28-2 88277-83-2, 2-Aminomethyl-1,4-dioxane 179688-01-8, 7-Benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one 179688-02-9 179688-53-0 207974-17-2, 2,6-Difluorophenyl isothiocyanate **288384-43-0**, 4-(4-Bromo-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline **320366-38-9**, 4-(2-Bromo-4-fluorophenoxy)-6-methoxy-7-(((trifluoromethane)sulfonyl)oxy)quinazoline 320366-66-3, 4-Amino-7-methoxy-6-(3-morpholinopropoxy)quinazoline 385814-24-4 385814-26-6, N-tert-Butoxycarbonyl-4-(4-benzenesulfonyloxymethyl)piperidine 385814-36-8 385814-42-6, 4-Amino-6-methoxy-7-((N-methylpiperidin-3-yl)methoxy)quinazoline 385814-46-0, 3-[6-Methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazolin-4-yl]thiourea 385814-89-1, N-(7-Hydroxy-6-methoxyquinazolin-4-yl)-N'-(2,6-dimethylphenyl)-N''-[2-(N-methylpyrrolidin-2-yl)ethyl]guanidine

RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; synthesis of guanidine derivs. of quinazoline and quinoline for use in treatment of autoimmune diseases)

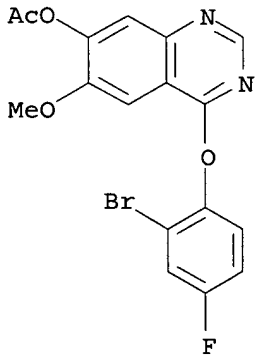
IT **320365-81-9P**, 4-(4-Bromo-2-fluorophenoxy)-6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazoline **320366-00-5P**, 7-Acetoxy-4-(2-bromo-4-fluorophenoxy)-6-methoxyquinazoline **320366-01-6P**, 4-(2-Bromo-4-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline **320366-09-4P**, 4-(2-Bromo-4-fluorophenoxy)-6-methoxy-7-(2-(pyrrolidin-1-yl)ethoxy)quinazoline **320366-11-8P**, 4-(2-Bromo-4-fluorophenoxy)-6-methoxy-7-(2-morpholinoethoxy)quinazoline **320366-13-0P**, 4-(2-Bromo-4-fluorophenoxy)-6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]quinazoline **320366-45-8P**, 4-(4-Bromo-2-fluorophenoxy)-7-cyclopropylmethoxy-6-methoxyquinazoline **385814-22-2P**, 4-(4-Bromo-2-fluorophenoxy)-6-methoxy-7-(2-

pyridylmethoxy)quinazoline **385814-27-7P**, 4-(2-Bromo-4-fluorophenoxy)-7-((N-(tert-butoxycarbonyl)piperidin-4-yl)methoxy)-6-methoxyquinazoline **385814-32-4P**, 7-((N-Benzylmorpholin-3-yl)methoxy)-4-(2-bromo-4-fluorophenoxy)-6-methoxyquinazoline **385814-37-9P**, 7-(N-Benzylmorpholin-2-ylmethoxy)-4-(2-bromo-4-fluorophenoxy)-6-methoxyquinazoline **385814-44-8P**, 4-(2-Bromo-4-fluorophenoxy)-7-(3-(dipropylamino)propyn-1-yl)-6-methoxyquinazoline **385814-58-4P**, 4-(4-Chloro-2-fluorophenoxy)-6-hydroxy-7-methoxyquinazoline **385814-59-5P**, 6-(1,4-Benzodioxan-2-ylmethoxy)-4-(4-chloro-2-fluorophenoxy)-7-methoxyquinazoline **385814-61-9P**, 6-((Cyclohex-1-en-4-yl)methoxy)-4-(4-chloro-2-fluorophenoxy)-7-methoxyquinazoline **385814-81-3P**, 4-(4-Chloro-2-fluorophenoxy)-6-((2,2-dimethyl-1,3-dioxolan-4-yl)methoxy)-7-methoxyquinazoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of guanidine derivs. of quinazoline and quinoline for use in treatment of autoimmune diseases)

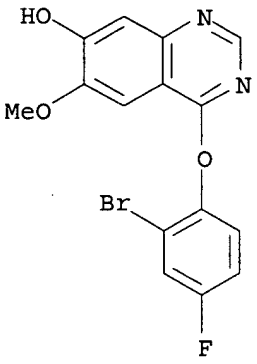
RN 320365-81-9 CAPLUS
CN Quinazoline, 4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



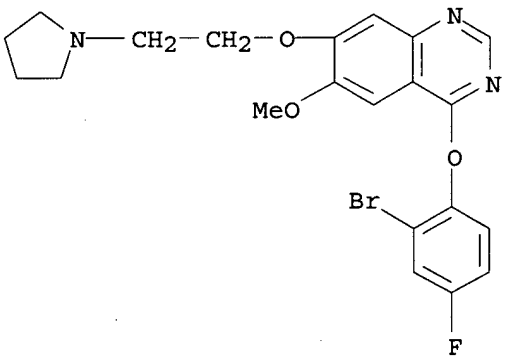
RN 320366-00-5 CAPLUS
CN 7-Quinazolinol, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-, acetate (ester) (9CI) (CA INDEX NAME)



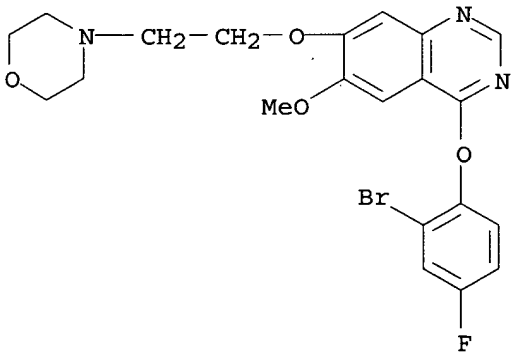
RN 320366-01-6 CAPLUS
CN 7-Quinazolinol, 4-(2-bromo-4-fluorophenoxy)-6-methoxy- (9CI) (CA INDEX NAME)



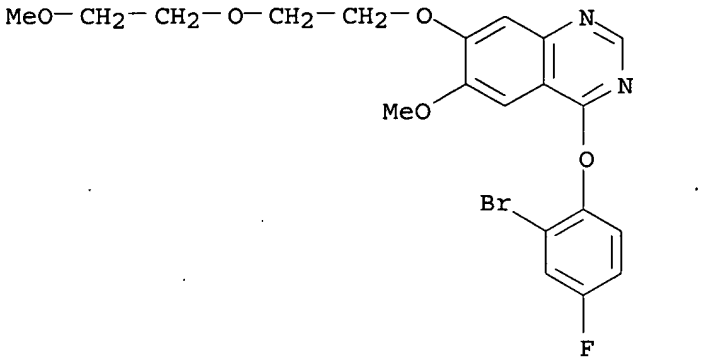
RN 320366-09-4 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



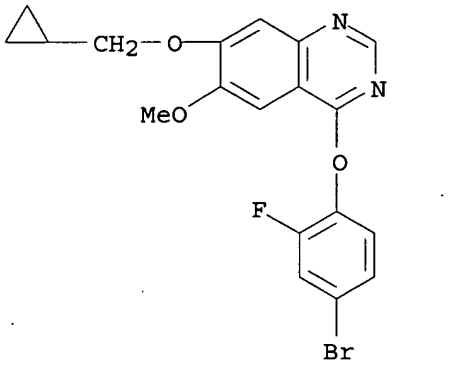
RN 320366-11-8 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



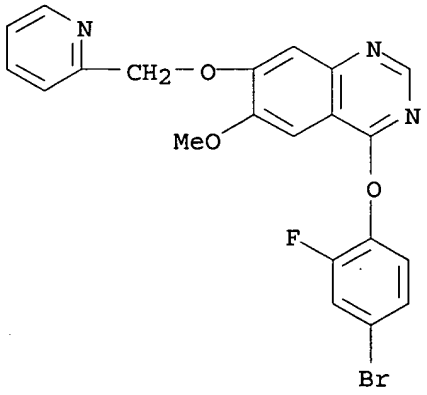
RN 320366-13-0 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]- (9CI) (CA INDEX NAME)



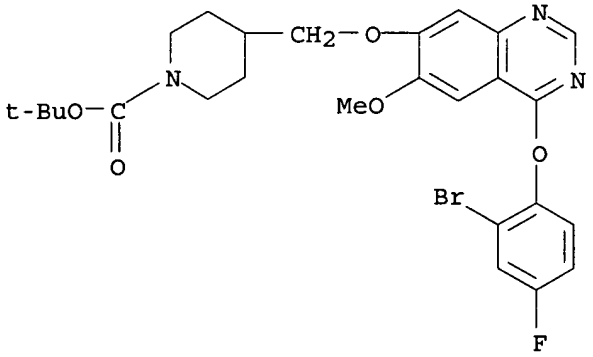
RN 320366-45-8 CAPLUS
CN Quinazoline, 4-(4-bromo-2-fluorophenoxy)-7-(cyclopropylmethoxy)-6-methoxy- (9CI) (CA INDEX NAME)



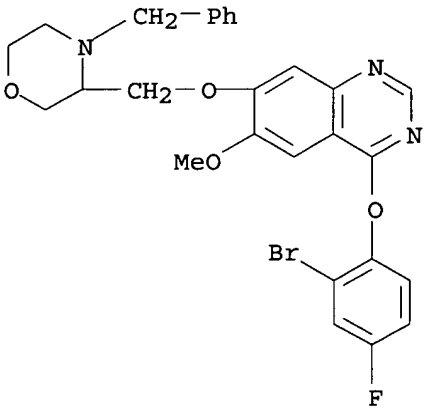
RN 385814-22-2 CAPLUS
CN Quinazoline, 4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



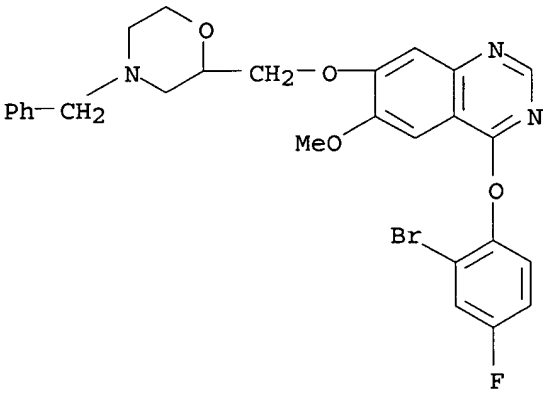
RN 385814-27-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



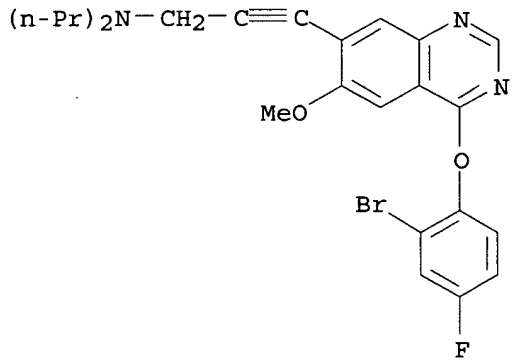
RN 385814-32-4 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[[4-(phenylmethyl)-3-morpholinyl]methoxy]- (9CI) (CA INDEX NAME)



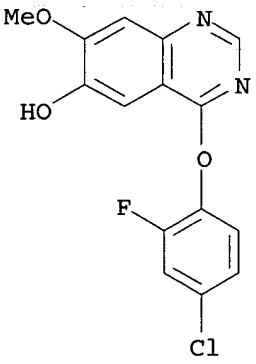
RN 385814-37-9 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[[4-(phenylmethyl)-2-morpholinyl]methoxy]- (9CI) (CA INDEX NAME)



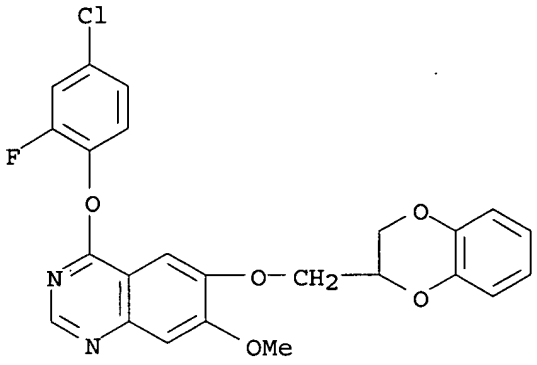
RN 385814-44-8 CAPLUS
CN 2-Propyn-1-amine, 3-[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)



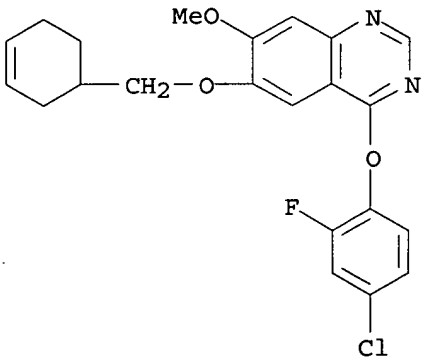
RN 385814-58-4 CAPLUS
CN 6-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-7-methoxy- (9CI) (CA INDEX NAME)



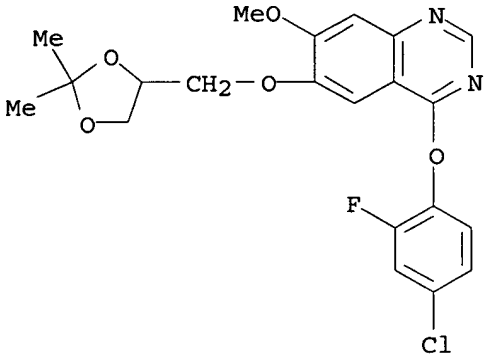
RN 385814-59-5 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-[(2,3-dihydro-1,4-benzodioxin-2-yl)methoxy]-7-methoxy- (9CI) (CA INDEX NAME)



RN 385814-61-9 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-(3-cyclohexen-1-ylmethoxy)-7-methoxy- (9CI) (CA INDEX NAME)



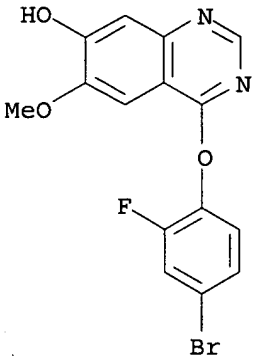
RN 385814-81-3 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-[(2,2-dimethyl-1,3-dioxolan-4-yl)methoxy]-7-methoxy- (9CI) (CA INDEX NAME)



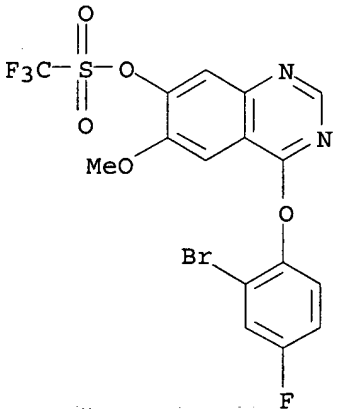
IT **288384-43-0**, 4-(4-Bromo-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline **320366-38-9**, 4-(2-Bromo-4-fluorophenoxy)-6-methoxy-7-(((trifluoromethane)sulfonyl)oxy)quinazoline

RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; synthesis of guanidine derivs. of quinazoline and quinoline for use in treatment of autoimmune diseases)

RN 288384-43-0 CAPLUS
CN 7-Quinazolinol, 4-(4-bromo-2-fluorophenoxy)-6-methoxy- (9CI) (CA INDEX NAME)



RN 320366-38-9 CAPLUS
CN Methanesulfonic acid, trifluoro-, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:676589 CAPLUS
DOCUMENT NUMBER: 135:227013
TITLE: Preparation of quinazolinylureas and analogs as VEGF receptor antagonists
INVENTOR(S): Hennequin, Laurent Francois Andre; Crawley, Graham Charles; McKerrecher, Darren; Ple, Patrick; Poyser, Jeffrey Philip; Lambert, Christine Marie Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066099	A2	20010913	WO 2001-GB863	20010301
WO 2001066099	A3	20020321		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1272185	A2	20030108	EP 2001-907938	20010301
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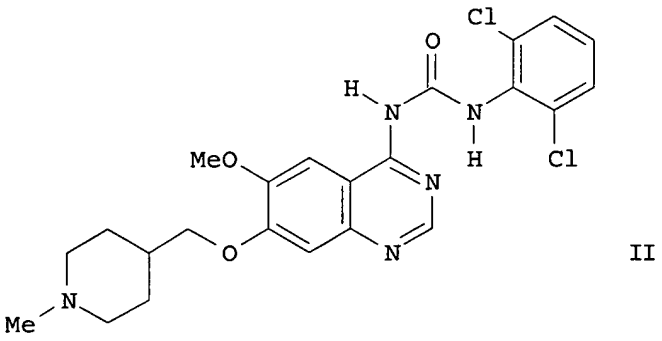
JP 2003525897	T2	20030902	JP 2001-564752	20010301
US 2003225111	A1	20031204	US 2002-220140	20020828

PRIORITY APPLN. INFO.: EP 2000-400595 A 20000306
WO 2001-GB863 W 20010301

OTHER SOURCE(S): MARPAT 135:227013
GI



II

AB Q1NR2C(:X)NR3Q2 [I; Q1 = e.g., (un)substituted 4-quinazolinyl; Q2 = (un)substituted (hetero)aryl(alkyl), cycloalkyl, etc.; R2,R3 = H or alkyl; R2R3 = (CH2)1-3; X = O, S, NCN, (alkyl)imino] were prepared Thus, Et piperidine-4-carboxylate was converted in 7 steps to Et 2-amino-5-methoxy-4-(1-methylpiperidine-4-ylmethoxy)benzoate which was cyclocondensed with HC(:NH)NH2.HOAc and the product converted in 4 steps to title compound II. Data for biol. activity of I were given.

IC ICM A61K031-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 104-16-5P 2058-49-3P, 3-Methylsulfonyl-1-propanol 4332-48-3P 5317-33-9P 5464-12-0P 7357-67-7P 13280-07-4P, 4-Chloro-2-butyne-1-ol 14597-28-5P 16229-25-7P 16234-10-9P, 3,4-Dihydrothieno[3,2-d]pyrimidin-4-one 16269-66-2P, 4-Chlorothieno[3,2-d]pyrimidine 16499-57-3P, 7-Fluoro-3,4-dihydroquinazolin-4-one 19748-66-4P, 1-Pyrrolidinepropanol

123855-51-6P, 1-tert-Butoxycarbonylpiperidine-4-methanol 142851-03-4P, Ethyl 1-tert-butoxycarbonylpiperidine-4-carboxylate 162364-72-9P, 7-Benzyloxy-4-chloro-6-methoxyquinazoline 166815-96-9P 179688-01-8P, 7-Benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one 179688-03-0P, 7-Acetoxy-4-chloro-6-methoxyquinazoline 193002-14-1P, 7-Benzyloxy-3,4-dihydroquinazolin-4-one 193002-24-3P, 7-Benzyloxy-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 193002-25-4P, 7-Hydroxy-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 199327-59-8P 199327-61-2P 205194-13-4P 205194-33-8P, 3-(1,1-Dioxotetrahydro-4H-1,4-thiazin-4-yl)propan-1-ol 220896-01-5P, 7-Benzyloxy-3,4-dihydroquinazolin-4-thione 220896-04-8P, 7-Benzyloxy-4-methylthioquinazoline 220896-07-1P, 7-Hydroxy-4-methylthioquinazoline 220896-09-3P, 4-Methylthio-7-(3-morpholinopropoxy)quinazoline 220896-44-6P 220896-53-7P, 7-[3-(1,1-Dioxotetrahydro-4H-1,4-thiazin-4-yl)propoxy]-4-methylthioquinazoline 230955-75-6P 264208-58-4P 264208-60-8P 264208-63-1P 264208-66-4P 264208-69-7P 264208-72-2P 288383-69-7P 288383-71-1P, 4-Chloro-6-methoxy-7-(3-piperidinopropoxy)quinazoline 288383-72-2P, 7-(3-Bromopropoxy)-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 288383-73-3P, 6-Methoxy-7-(3-piperidinopropoxy)-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 288383-74-4P, 6-Methoxy-7-(3-piperidinopropoxy)-3,4-dihydroquinazolin-4-one **288384-43-0P**, 4-(4-Bromo-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline 288385-51-3P, 1H-1,2,3-Triazole-1-propanol **320365-81-9P** 320365-82-0P 320365-83-1P, 4-Amino-6-methoxy-7-(3-piperidinopropoxy)quinazoline 320365-84-2P 320365-85-3P, 4-Amino-7-[3-(1,1-dioxotetrahydro-4H-1,4-thiazin-4-yl)propoxy]quinazoline 320365-86-4P 320365-87-5P 320365-88-6P 320365-89-7P 320365-90-0P, 4-Amino-7-hydroxy-6-methoxyquinazoline 320365-91-1P 320365-92-2P 320365-93-3P 320365-94-4P 320365-95-5P 320365-96-6P 320365-97-7P 320365-98-8P **320366-00-5P**, 7-Acetoxy-4-(2-bromo-4-fluorophenoxy)-6-methoxyquinazoline **320366-01-6P 320366-02-7P** **320366-03-8P** 320366-04-9P **320366-05-0P** 320366-06-1P **320366-07-2P** 320366-08-3P **320366-09-4P** 320366-10-7P **320366-11-8P** 320366-12-9P **320366-13-0P** 320366-14-1P 320366-15-2P 320366-16-3P 320366-17-4P 320366-18-5P 320366-19-6P 320366-20-9P 320366-21-0P 320366-22-1P 320366-23-2P 320366-24-3P 320366-25-4P 320366-26-5P 320366-27-6P 320366-28-7P 320366-29-8P 320366-30-1P 320366-31-2P **320366-32-3P 320366-33-4P** 320366-34-5P 320366-35-6P 320366-36-7P 320366-37-8P **320366-38-9P 320366-39-0P 320366-41-4P** **320366-42-5P 320366-43-6P 320366-44-7P** **320366-45-8P** 320366-46-9P 320366-47-0P **320366-48-1P** 320366-49-2P 320366-50-5P 320366-51-6P 320366-52-7P **320366-53-8P 320366-54-9P 320366-55-0P** **320366-56-1P** 320366-57-2P 320366-58-3P 320366-59-4P 320366-60-7P 320366-61-8P 320366-62-9P 320366-64-1P **320366-65-2P** 320366-66-3P 320366-67-4P 320366-68-5P 320366-69-6P 320366-70-9P 320366-71-0P 320366-72-1P 320366-73-2P, Methyl 4-amino-5-carbamoyl-2-hydroxybenzoate 320366-74-3P 320366-75-4P 320366-76-5P 320366-77-6P 320366-78-7P 320366-79-8P 320366-80-1P **320366-81-2P** 320366-82-3P 320366-83-4P 320366-99-2P 320367-02-0P, 4-Amino-7-Benzyloxy-6-methoxyquinazoline **359701-48-7P** 359701-50-1P

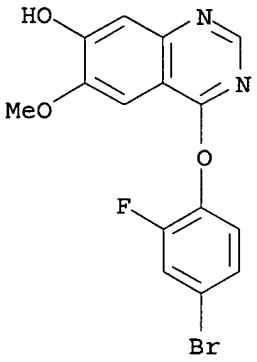
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of quinazolinylureas and analogs as VEGF receptor antagonists)

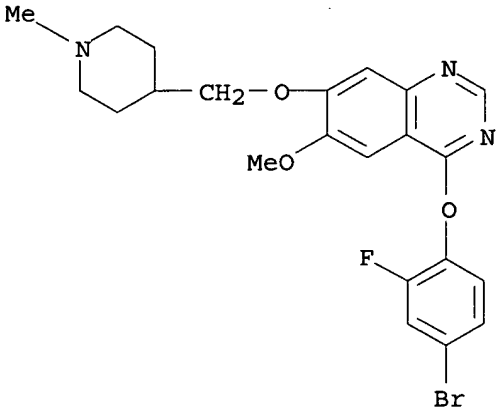
IT **288384-43-0P**, 4-(4-Bromo-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline **320365-81-9P 320366-00-5P**, 7-Acetoxy-4-(2-bromo-4-fluorophenoxy)-6-methoxyquinazoline

320366-01-6P 320366-02-7P 320366-03-8P
320366-05-0P 320366-07-2P 320366-09-4P
320366-11-8P 320366-13-0P 320366-32-3P
320366-33-4P 320366-38-9P 320366-39-0P
320366-41-4P 320366-42-5P 320366-43-6P
320366-44-7P 320366-45-8P 320366-48-1P
320366-53-8P 320366-54-9P 320366-55-0P
320366-56-1P 320366-65-2P 320366-81-2P
359701-48-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinylureas and analogs as VEGF receptor antagonists)

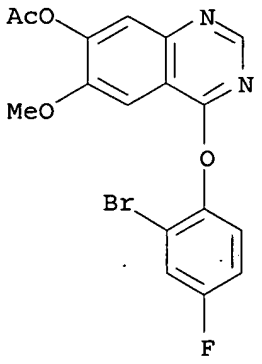
RN 288384-43-0 CAPLUS
CN 7-Quinazolinol, 4-(4-bromo-2-fluorophenoxy)-6-methoxy- (9CI) (CA INDEX NAME)



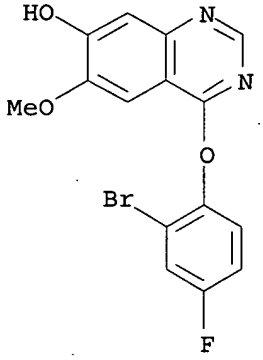
RN 320365-81-9 CAPLUS
CN Quinazoline, 4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



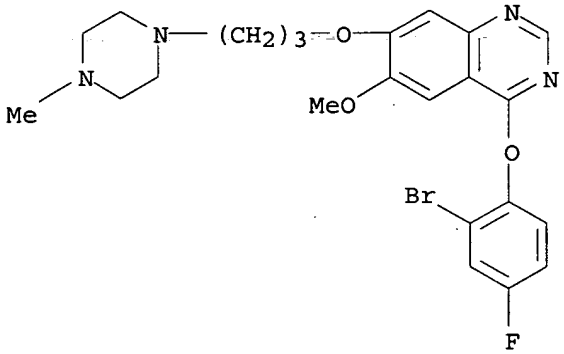
RN 320366-00-5 CAPLUS
CN 7-Quinazolinol, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-, acetate (ester) (9CI) (CA INDEX NAME)



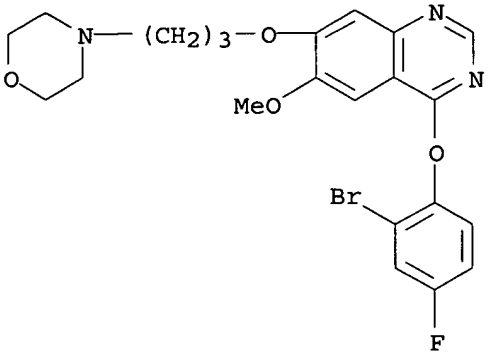
RN 320366-01-6 CAPLUS
CN 7-Quinazolinol, 4-(2-bromo-4-fluorophenoxy)-6-methoxy- (9CI) (CA INDEX NAME)



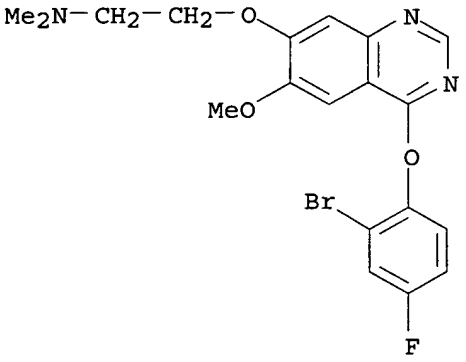
RN 320366-02-7 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



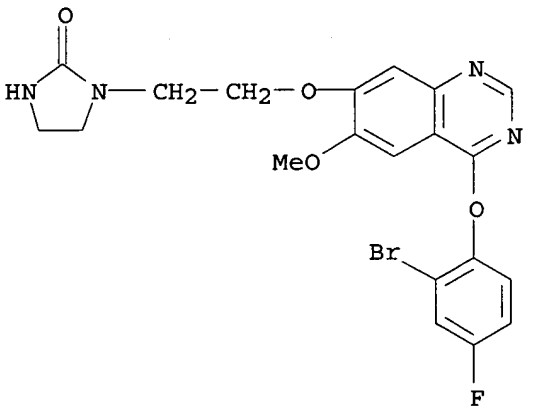
RN 320366-03-8 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320366-05-0 CAPLUS
CN Ethanamine, 2-[[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)

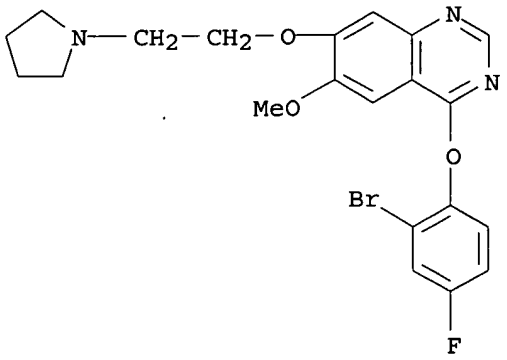


RN 320366-07-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

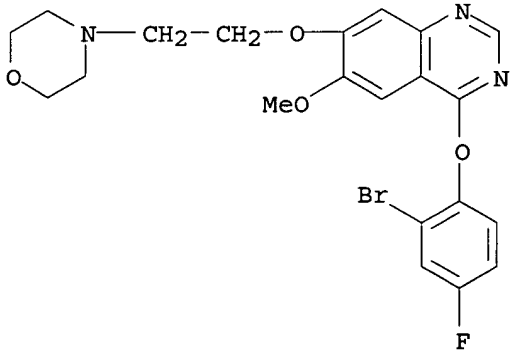


RN 320366-09-4 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[2-(1-

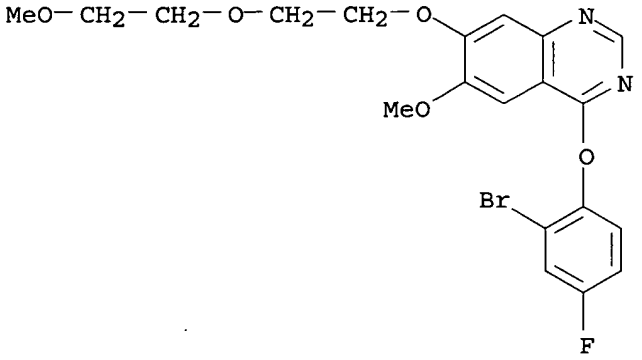
pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 320366-11-8 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)

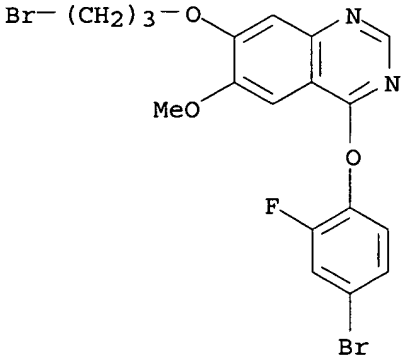


RN 320366-13-0 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]- (9CI) (CA INDEX NAME)

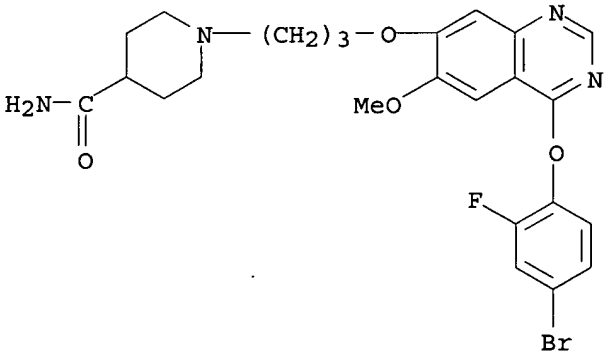


RN 320366-32-3 CAPLUS
CN Quinazoline, 4-(4-bromo-2-fluorophenoxy)-7-(3-bromopropoxy)-6-methoxy-

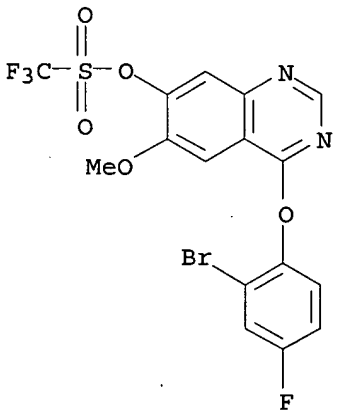
(9CI) (CA INDEX NAME)



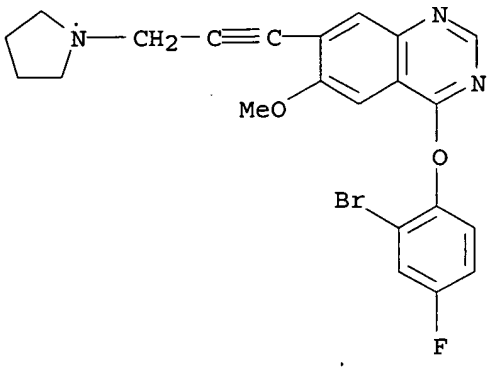
RN 320366-33-4 CAPLUS
CN 4-Piperidinecarboxamide, 1-[3-[[4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



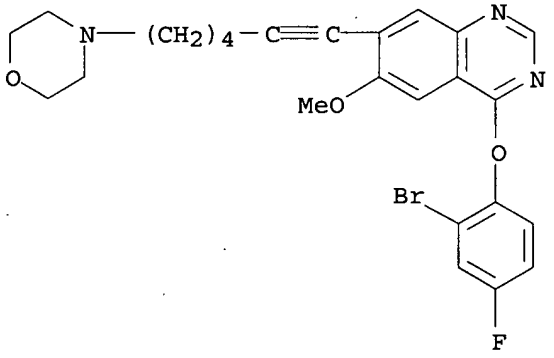
RN 320366-38-9 CAPLUS
CN Methanesulfonic acid, trifluoro-, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl ester (9CI) (CA INDEX NAME)



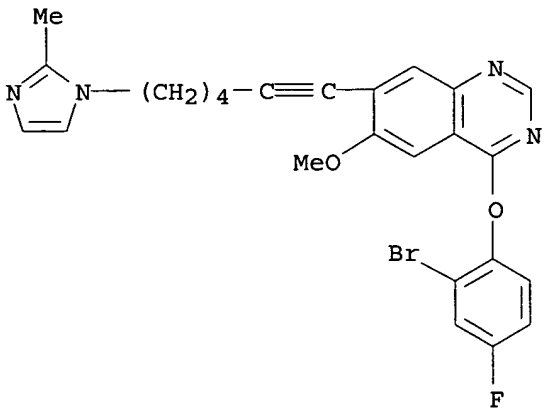
RN 320366-39-0 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[3-(1-pyrrolidinyl)-1-propynyl]- (9CI) (CA INDEX NAME)



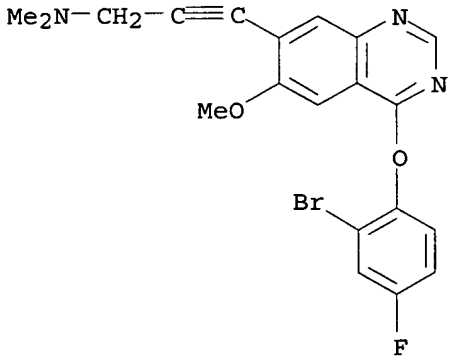
RN 320366-41-4 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[6-(4-morpholinyl)-1-hexynyl]- (9CI) (CA INDEX NAME)



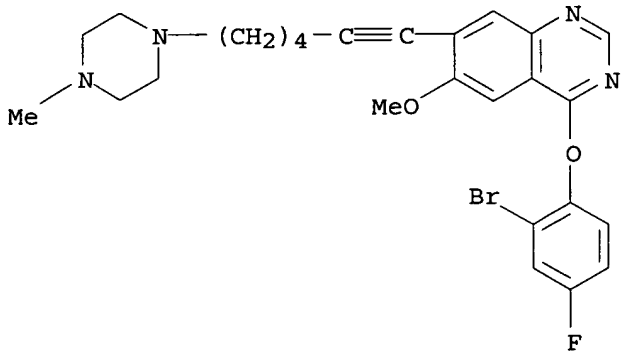
RN 320366-42-5 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[6-(2-methyl-1H-imidazol-1-yl)-1-hexynyl]- (9CI) (CA INDEX NAME)



RN 320366-43-6 CAPLUS
CN 2-Propyn-1-amine, 3-[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

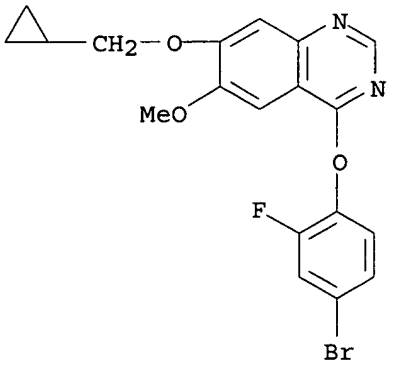


RN 320366-44-7 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[6-(4-methyl-1-piperazinyl)-1-hexynyl]- (9CI) (CA INDEX NAME)

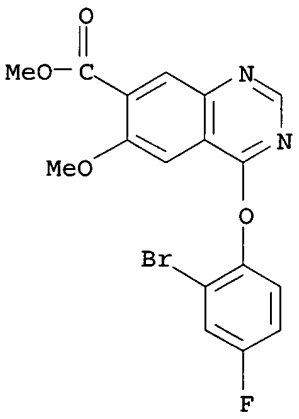


RN 320366-45-8 CAPLUS
CN Quinazoline, 4-(4-bromo-2-fluorophenoxy)-7-(cyclopropylmethoxy)-6-methoxy-

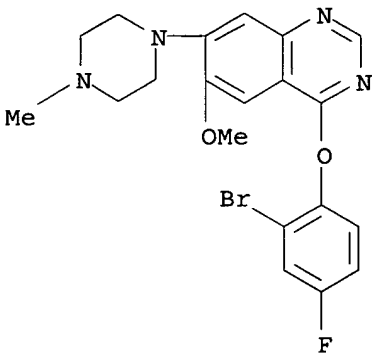
(9CI) (CA INDEX NAME)



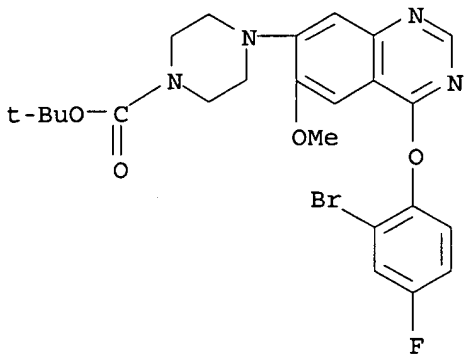
RN 320366-48-1 CAPLUS
CN 7-Quinazolinecarboxylic acid, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-, methyl ester (9CI) (CA INDEX NAME)



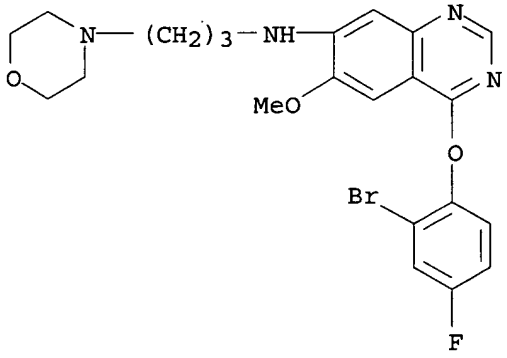
RN 320366-53-8 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



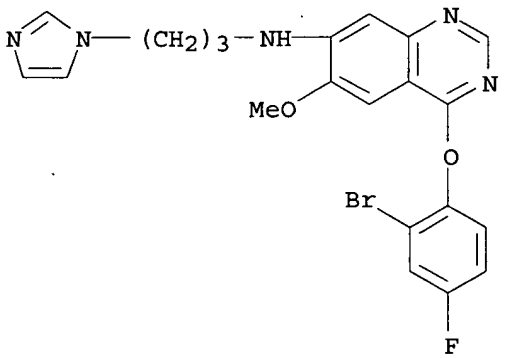
RN 320366-54-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



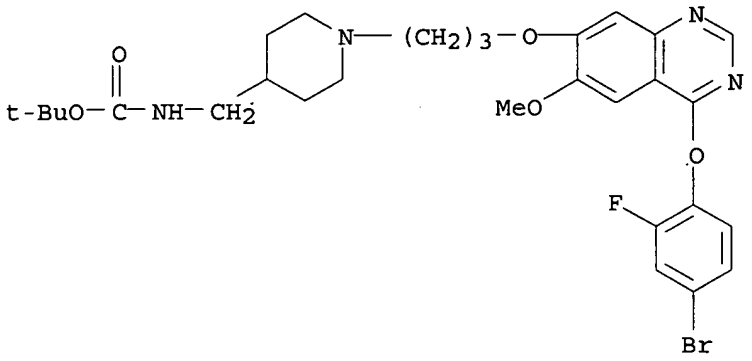
RN 320366-55-0 CAPLUS
CN 7-Quinazolinamine, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



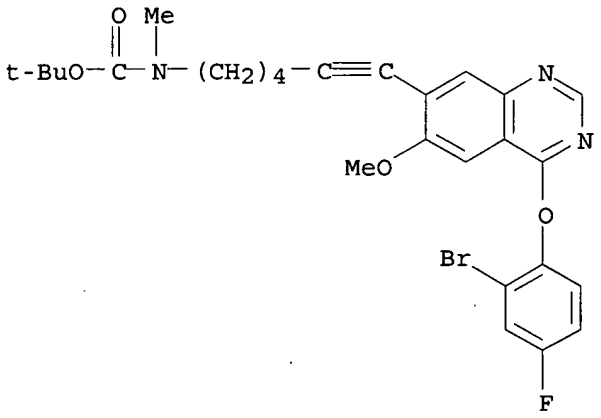
RN 320366-56-1 CAPLUS
CN 7-Quinazolinamine, 4-(2-bromo-4-fluorophenoxy)-N-[3-(1H-imidazol-1-yl)propyl]-6-methoxy- (9CI) (CA INDEX NAME)



RN 320366-65-2 CAPLUS
CN Carbamic acid, [[1-[3-[[4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]propyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 320366-81-2 CAPLUS
CN Carbamic acid, [6-[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]-5-hexynyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 359701-48-7 CAPLUS
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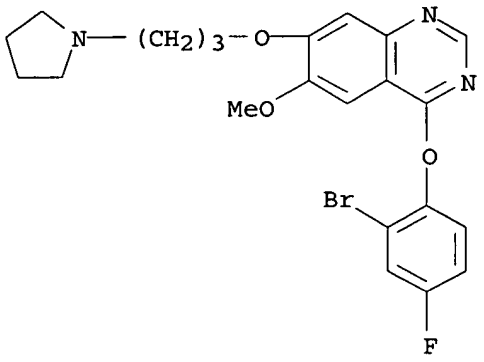
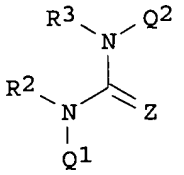


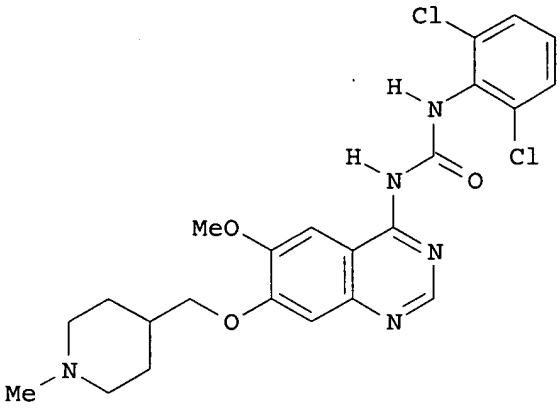
L12 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:50631 CAPLUS
DOCUMENT NUMBER: 134:100885
TITLE: Preparation of quinazolinyl ureas, thioureas and guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions
INVENTOR(S): Crawley, Graham Charles; McKerrecher, Darren; Poyser, Jeffrey Philip; Hennequin, Laurent Francois Andre; Ple, Patrick; Lambert, Christine Marie-Paul
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK; Zeneca Pharma S.A.
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001004102	A1	20010118	WO 2000-GB2566	20000704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000012157	A	20020402	BR 2000-12157	20000704
EP 1218353	A1	20020703	EP 2000-953271	20000704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003504360	T2	20030204	JP 2001-509712	20000704
ZA 2001009864	A	20030228	ZA 2001-9864	20011129
NO 2002000042	A	20020304	NO 2002-42	20020104

PRIORITY APPLN. INFO.: EP 1999-401692 A 19990707
EP 2000-401221 A 20000504
WO 2000-GB2566 W 20000704
OTHER SOURCE(S): MARPAT 134:100885
GI



I



II

AB The title compds. [I; Q1 = quinazoline ring optionally substituted with halo, CF3 or CN, or a group X1Q3 (wherein X1 = a direct bond, O; Q3 = aryl, arylalkyl, heterocyclyl, (heterocyclyl)alkyl); R2, R3 = H, alkyl; Z = O, S, NH; Q2 = aryl, arylalkyl] and their pharmaceutically-acceptable salts, useful in the prevention or treatment of T cell mediated diseases or medical conditions such as transplant rejection or rheumatoid arthritis, were prepared and formulated. E.g., a multi-step synthesis of the urea II was given. In general, activity possessed by compds. I may be demonstrated at IC50 of 0.0001- 5 μM against enzyme p56lck binding and IC50 of 0.001-10 μM in in vitro T cell proliferation assay (T cell receptor stimulation).

IC ICM C07D239-94
ICS A61K031-505; C07D401-12; C07D403-12; C07D495-04; A61P037-06

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 77-77-0, Divinyl sulfone 104-16-5, 3-(4-Methylpiperazin-1-yl)propyl chloride 107-99-3, 2-Dimethylaminoethyl chloride 109-01-3, N-Methylpiperazine 109-64-8, 1,3-Dibromopropane 109-70-6, 1-Bromo-3-chloropropane 109-83-1, 2-Methylaminoethanol 110-65-6, 2-Butyne-1,4-diol 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 123-00-2, 3-Morpholinopropylamine 123-75-1, Pyrrolidine, reactions 140-88-5, Ethyl acrylate 156-87-6, 3-Aminopropan-1-ol 288-36-8, 1,2,3-Triazole 446-32-2, 2-Amino-4-fluorobenzoic acid 496-69-5, 2-Bromo-4-fluorophenol 505-10-2, 3-Methylthiopropanol 617-05-0, Ethyl 4-hydroxy-3-methoxybenzoate 627-18-9 627-30-5, 3-Chloropropanol 1013-88-3 1126-09-6, Ethyl piperidine-4-carboxylate 1458-63-5, 3-Piperidinopropyl chloride 1943-82-4, Phenethyl isocyanate 2105-94-4, 4-Bromo-2-fluorophenol 2387-20-4 2955-88-6, N-(2-Hydroxyethyl)pyrrolidine 3040-44-6, N-(2-Hydroxyethyl)piperidine 3173-56-6, Benzyl isocyanate 3240-94-6, 2-Morpholinoethyl chloride 3320-86-3, 2-Nitrophenyl isocyanate 4441-30-9, 4-(3-Hydroxypropyl)morpholine 4480-49-3, 4-Morpholinobut-2-yn-1-ol 4572-03-6 5036-48-6, 3-(Imidazol-1-yl)propylamine 5050-41-9, 2-(Pyrrolidin-1-yl)ethyl chloride 5344-27-4, 4-(2-Hydroxyethyl)pyridine 5911-08-0, Cyclopropylmethyl chloride 6482-24-2, 2-Bromoethyl methyl ether 7223-38-3, 3-Dimethylamino-1-propyne 7223-42-9 7583-53-1 14649-03-7, (S)-α-Methylbenzyl isocyanate 16285-74-8, Thieno[3,2-d]pyrimidin-4-amine 18997-19-8, Chloromethyl pivalate 19241-16-8, 2,6-Dimethylphenyl isothiocyanate 21575-13-3, 4-Amino-6,7-dimethoxyquinazoline 22288-78-4, Methyl 3-aminothiophene-2-

carboxylate 24277-44-9 27578-60-5, 2-Piperidinoethylamine 33375-06-3
35000-38-5, tert-Butoxycarbonylmethylenetriphenylphosphorane 35019-96-6
35956-52-6 39546-32-2, Piperidine-4-carboxamide 39743-20-9,
3-(Pyrrolidin-1-yl)propyl chloride 39920-37-1, 2,6-Dichlorophenyl
isocyanate 50586-80-6 52808-36-3, 2-(2-Methoxyethoxy)ethyl chloride
56651-58-2, 2-Methylbenzyl isocyanate 57260-71-6, 1-(tert-
Butoxycarbonyl)piperazine 60547-98-0, 2-Amino-4-benzyloxy-5-
methoxybenzamide 71408-00-9 77648-20-5, 2,4,6-Trimethoxybenzylamine
88442-63-1, 1-(1-Naphthyl)ethyl isocyanate 135632-53-0 179688-02-9
179688-53-0 184475-35-2 214470-55-0 320366-83-4 **320366-84-5**
320366-85-6 320366-86-7 320366-87-8 320366-88-9 320366-89-0
320366-90-3 320366-91-4 320366-92-5 320366-93-6 320366-94-7
320366-95-8 320366-96-9 320366-97-0 320366-98-1 320366-99-2
320367-00-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinazolinyl ureas, thioureas and guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions)

IT 2058-49-3P 4332-48-3P 5317-33-9P, 1-(3-Hydroxypropyl)-4-
methylpiperazine 5464-12-0P 7357-67-7P 13280-07-4P 14597-28-5P
16229-25-7P 16234-10-9P, Thieno[3,2-d]pyrimidin-4(1H)-one 16269-66-2P
16499-57-3P 19748-66-4P, 1-Pyrrolidinepropanol 123855-51-6P
142851-03-4P 162364-72-9P 166815-96-9P 179688-01-8P,
7-Benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one 179688-03-0P
193002-14-1P 193002-24-3P 193002-25-4P 199327-59-8P 199327-61-2P
205194-13-4P 205194-33-8P 220896-01-5P 220896-04-8P 220896-07-1P
220896-09-3P 220896-44-6P 220896-53-7P 230955-75-6P 264208-58-4P
264208-60-8P 264208-63-1P 264208-66-4P 264208-69-7P 264208-72-2P
288383-69-7P 288383-71-1P 288383-72-2P 288383-73-3P 288383-74-4P
288384-43-0P 288385-51-3P, 1H-1,2,3-Triazole-1-propanol
320365-81-9P 320365-82-0P 320365-83-1P 320365-84-2P
320365-85-3P 320365-86-4P 320365-87-5P 320365-88-6P 320365-89-7P
320365-90-0P 320365-91-1P 320365-92-2P 320365-93-3P 320365-94-4P
320365-95-5P 320365-96-6P 320365-97-7P 320365-98-8P
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320366-32-3P 320366-33-4P 320366-34-5P 320366-35-6P
320366-36-7P 320366-37-8P **320366-38-9P 320366-39-0P**
320366-40-3P **320366-41-4P 320366-42-5P**
320366-43-6P 320366-44-7P 320366-45-8P
320366-46-9P 320366-47-0P **320366-48-1P** 320366-49-2P
320366-50-5P 320366-51-6P 320366-52-7P **320366-53-8P**
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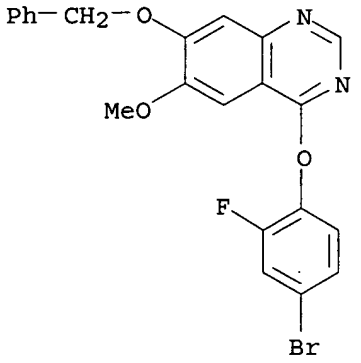
320366-66-3P 320366-67-4P 320366-68-5P 320366-69-6P 320366-70-9P
320366-71-0P 320366-72-1P 320366-73-2P 320366-74-3P 320366-75-4P
320366-76-5P 320366-77-6P 320366-78-7P 320366-79-8P 320366-80-1P
320366-81-2P 320366-82-3P 320367-02-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinyl ureas, thioureas and guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions)

IT **320366-84-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinazolinyl ureas, thioureas and guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions)
RN 320366-84-5 CAPLUS
CN Quinazoline, 4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



IT **288384-43-0P 320365-81-9P 320365-99-9P**
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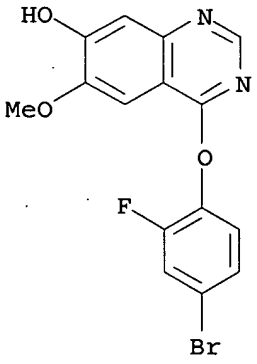
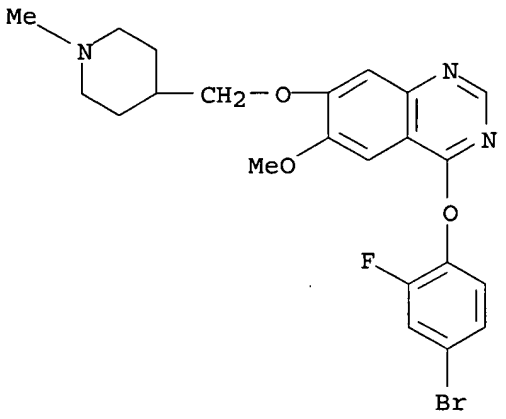
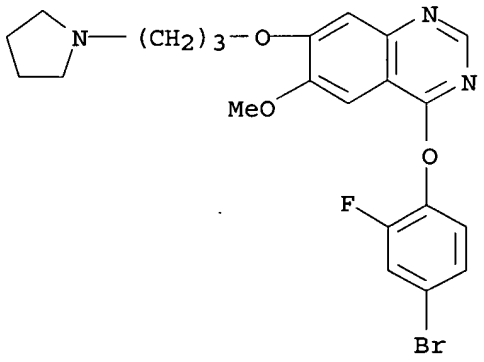
320366-03-8P 320366-05-0P 320366-07-2P
320366-09-4P 320366-11-8P 320366-13-0P
320366-32-3P 320366-33-4P 320366-38-9P
320366-39-0P 320366-41-4P 320366-42-5P
320366-43-6P 320366-44-7P 320366-45-8P
320366-48-1P 320366-53-8P 320366-54-9P
320366-55-0P 320366-56-1P 320366-65-2P
320366-81-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinyl ureas, thioureas and guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions)
RN 288384-43-0 CAPLUS
CN 7-Quinazolinol, 4-(4-bromo-2-fluorophenoxy)-6-methoxy- (9CI) (CA INDEX NAME)



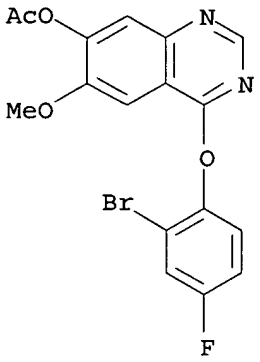
RN 320365-81-9 CAPLUS
CN Quinazoline, 4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



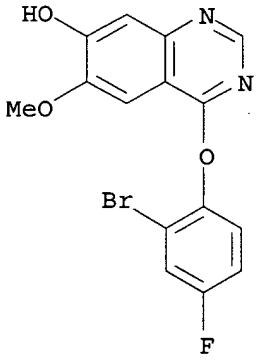
RN 320365-99-9 CAPLUS
CN Quinazoline, 4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



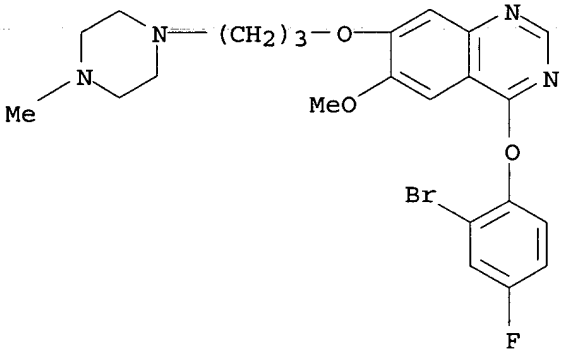
RN 320366-00-5 CAPLUS
CN 7-Quinazolinol, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-, acetate (ester) (9CI) (CA INDEX NAME)



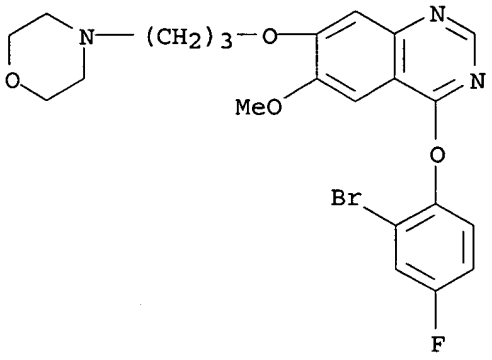
RN 320366-01-6 CAPLUS
CN 7-Quinazolinol, 4-(2-bromo-4-fluorophenoxy)-6-methoxy- (9CI) (CA INDEX NAME)



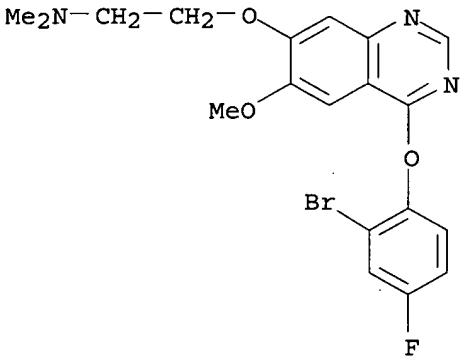
RN 320366-02-7 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



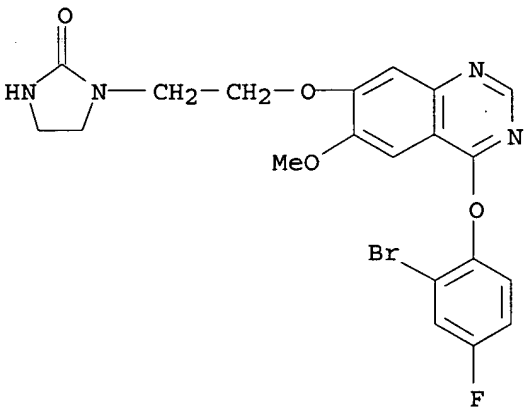
RN 320366-03-8 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320366-05-0 CAPLUS
CN Ethanamine, 2-[[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)

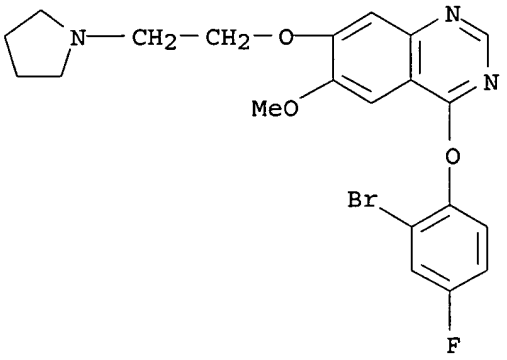


RN 320366-07-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

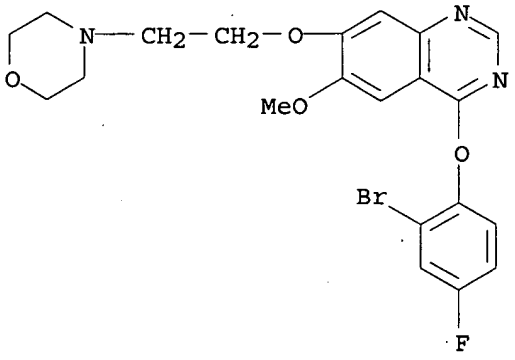


RN 320366-09-4 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[2-(1-

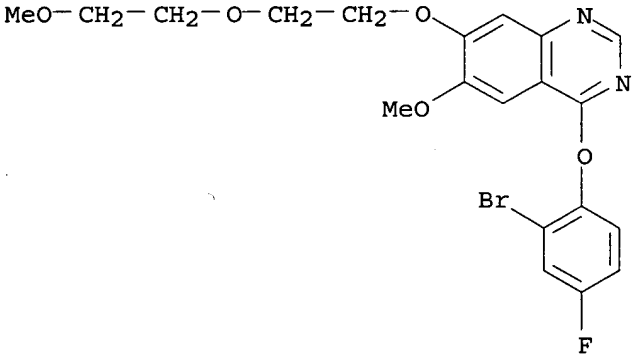
pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 320366-11-8 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)

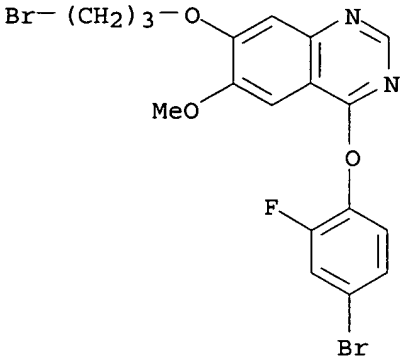


RN 320366-13-0 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]- (9CI) (CA INDEX NAME)

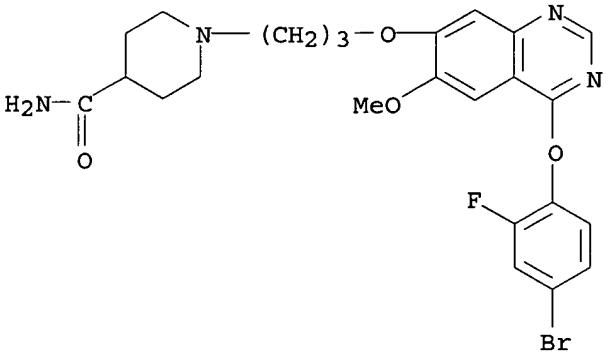


RN 320366-32-3 CAPLUS
CN Quinazoline, 4-(4-bromo-2-fluorophenoxy)-7-(3-bromopropoxy)-6-methoxy-

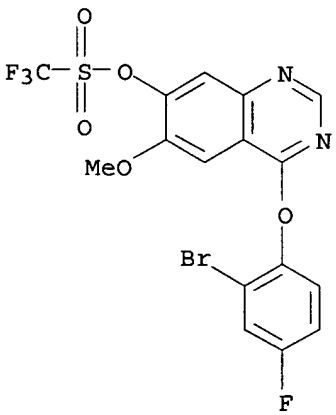
(9CI) (CA INDEX NAME)



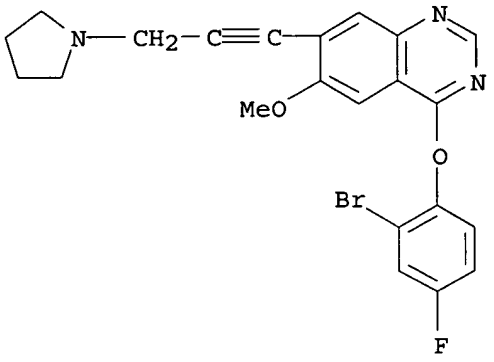
RN 320366-33-4 CAPLUS
CN 4-Piperidinecarboxamide, 1-[3-[[4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



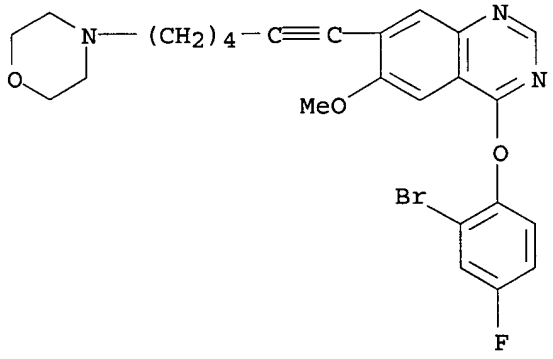
RN 320366-38-9 CAPLUS
CN Methanesulfonic acid, trifluoro-, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl ester (9CI) (CA INDEX NAME)



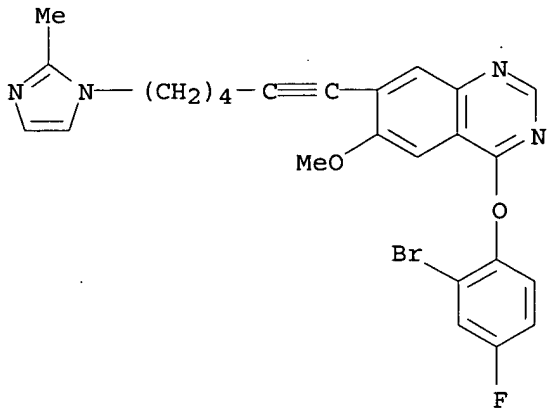
RN 320366-39-0 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[3-(1-pyrrolidinyl)-1-propynyl]- (9CI) (CA INDEX NAME)



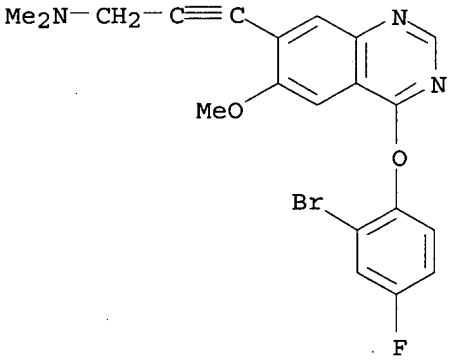
RN 320366-41-4 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[6-(4-morpholinyl)-1-hexynyl]- (9CI) (CA INDEX NAME)



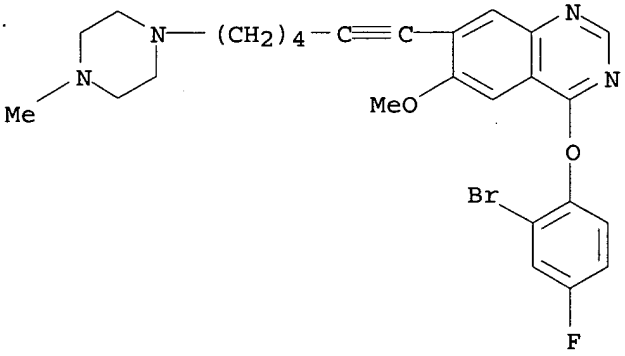
RN 320366-42-5 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[6-(2-methyl-1H-imidazol-1-yl)-1-hexynyl]- (9CI) (CA INDEX NAME)



RN 320366-43-6 CAPLUS
CN 2-Propyn-1-amine, 3-[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

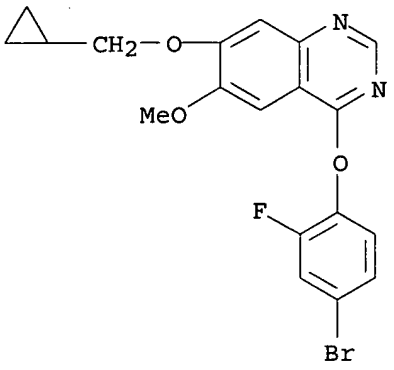


RN 320366-44-7 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-[6-(4-methyl-1-piperazinyl)-1-hexynyl]- (9CI) (CA INDEX NAME)

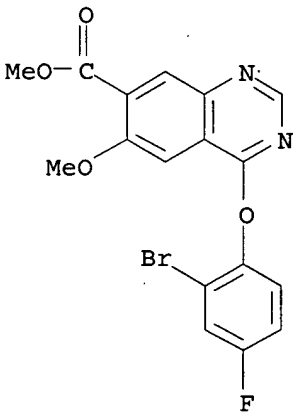


RN 320366-45-8 CAPLUS
CN Quinazoline, 4-(4-bromo-2-fluorophenoxy)-7-(cyclopropylmethoxy)-6-methoxy-

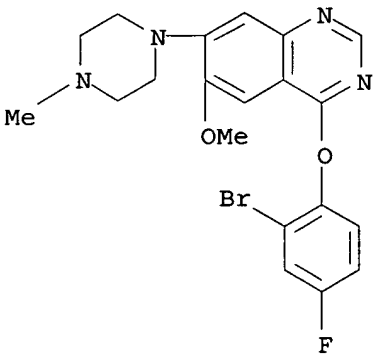
(9CI) (CA INDEX NAME)



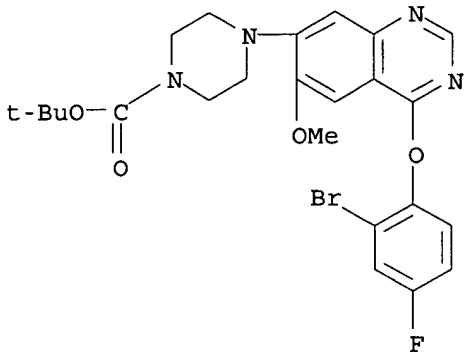
RN 320366-48-1 CAPLUS
CN 7-Quinazolinecarboxylic acid, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-, methyl ester (9CI) (CA INDEX NAME)



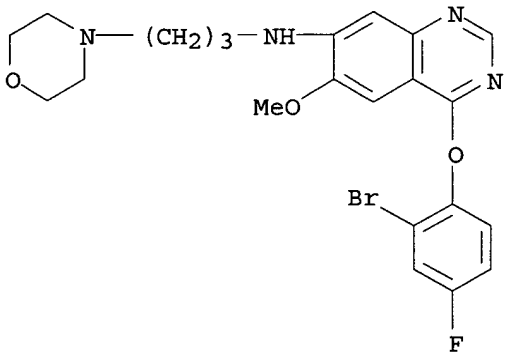
RN 320366-53-8 CAPLUS
CN Quinazoline, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



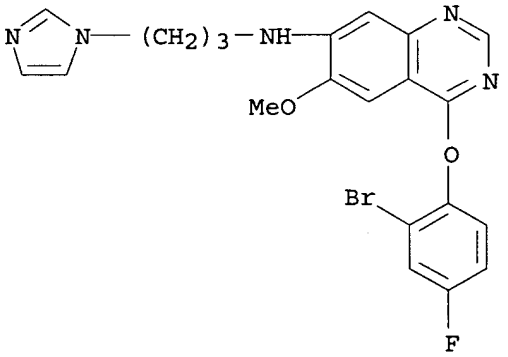
RN 320366-54-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



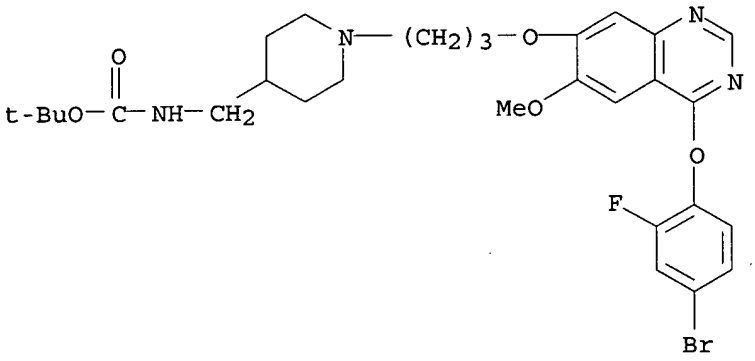
RN 320366-55-0 CAPLUS
CN 7-Quinazolinamine, 4-(2-bromo-4-fluorophenoxy)-6-methoxy-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



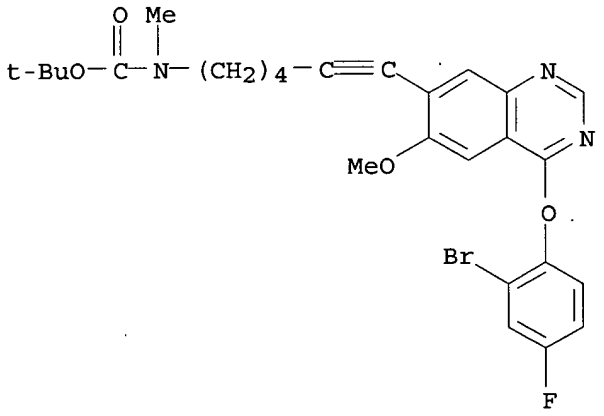
RN 320366-56-1 CAPLUS
CN 7-Quinazolinamine, 4-(2-bromo-4-fluorophenoxy)-N-[3-(1H-imidazol-1-yl)propyl]-6-methoxy- (9CI) (CA INDEX NAME)



RN 320366-65-2 CAPLUS
CN Carbamic acid, [[1-[3-[[4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]propyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 320366-81-2 CAPLUS
CN Carbamic acid, [6-[4-(2-bromo-4-fluorophenoxy)-6-methoxy-7-quinazolinyl]-5-hexynyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:573671 CAPLUS
DOCUMENT NUMBER: 133:177183
TITLE: Preparation of quinazoline derivatives as angiogenesis inhibitors
INVENTOR(S): Hennequin, Laurent Francois Andre; Ple, Patrick; Stokes, Elaine Sophie Elizabeth; Mckerrecher, Darren
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK; Zeneca-Pharma S.A.
SOURCE: PCT Int. Appl., 346 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047212	A1	20000817	WO 2000-GB373	20000208
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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EP 1154774	A1	20011121	EP 2000-902730	20000208
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JP 2002536414	T2	20021029	JP 2000-598164	20000208
EE 200100409	A	20021216	EE 2001-409	20000208
AU 763618	B2	20030731	AU 2000-24475	20000208
ZA 2001006340	A	20021101	ZA 2001-6340	20010801
NO 2001003882	A	20011009	NO 2001-3882	20010809

PRIORITY APPLN. INFO.: EP 1999-400305 A 19990210
WO 2000-GB373 W 20000208

OTHER SOURCE(S): MARPAT 133:177183

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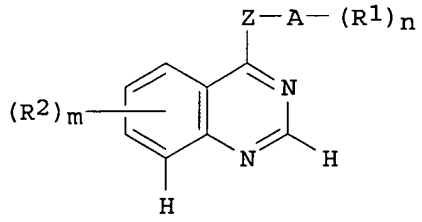

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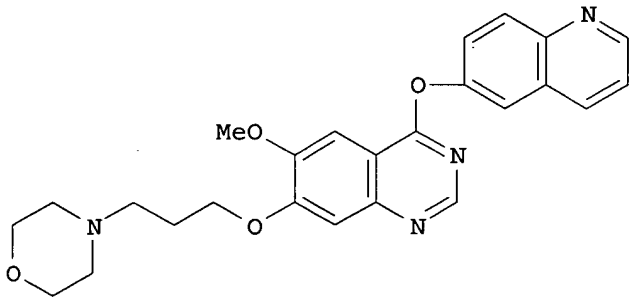


II

AB The title compds. (I) [wherein A = an 8-, 9-, 10-, 12- or 13-membered bicyclic or tricyclic ring optionally containing 1-3 O, N, and/or S heteroatoms; Z = O, NH, S, CH2, or a bond; n = 0-5; m = 0-3; R2 = H, OH, halo, CN, NO2, CF3, alkyl(sulfanyl), alkoxy, NR3N4, or R5X1; R3 and R4 = independently H or alkyl; X1 = a bond, O, CH2, OC(O), CO, S, SO, SO2, NR6CO, CONR7, SO2R8, NR9SO2, or NR10; R5 = H or (un)substituted alkyl, alkenyl, alkynyl, or heterocyclyl, etc.; R6-R10 = independently H or (alkoxy)alkyl] were prepared for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals. For

instance, II was synthesized in a 9-step sequence starting with the cyclization of 2-amino-4-benzyloxy-5-methoxybenzamide using Gold's reagent in dioxane to form 7-benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one (84%). I and the pharmaceutically acceptable salts thereof inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis (no data).

IC ICM A61K031-505
ICS C07D401-14; C07D413-14; C07D417-12; C07D405-12; C07D401-12

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 622-26-4P, 2-(Piperidin-4-yl)-1-ethanol 2058-49-3P, 3-(Methylsulfonyl)-1-propanol 2380-83-8P, 5-Hydroxy-6-methoxyindole 3373-00-0P, 6-Hydroxy-1,2,3,4-tetrahydroquinoline 3603-45-0P, 2-(2-Morpholinoethoxy)ethanol 4332-48-3P, Ethyl 3-(1H-1,2,3-triazol-1-yl)propanoate 4441-30-9P, 4-(3-Hydroxypropyl)morpholine 4887-81-4P, 5-Methoxy-2-methylbenzimidazole 5317-33-9P, 1-(3-Hydroxypropyl)-4-methylpiperazine 5318-27-4P, 6-Aminoindole 5464-12-0P, 4-(2-Hydroxyethyl)-1-methylpiperazine 7357-67-7P, 1-Chloro-3-morpholinopropane 7556-97-0P, 7-Hydroxyquinazoline 10312-83-1P, Methoxyacetaldehyde 13280-07-4P, 4-Chlorobut-2-yn-1-ol 13314-85-7P, 5-Hydroxy-2-methylindole 13523-92-7P, 5-Hydroxy-1-methylindole 13790-39-1P, 4-Chloro-6,7-dimethoxyquinazoline 13794-72-4P, 6,7-Dimethoxy-3,4-dihydroquinazolin-4-one 14597-28-5P, 4-(Pyrrolidin-1-yl)but-2-yn-1-ol 36729-22-3P, 2,3-Dimethyl-5-hydroxyindole 39062-69-6P, 2-Benzyloxy-5-nitrotrifluoromethylbenzene 41292-66-4P, 5-Hydroxy-2-methylbenzimidazole 56058-21-0P, 1-(3-Hydroxypropyl)pyrrolidin-2,5-dione 63762-83-4P, 6-Fluoro-5-methoxyindole 71082-46-7P, 3-Ethoxycarbonyl-7-methoxyquinoline 71083-05-1P, Ethyl 7-methoxy-4-oxo-1,4-dihydroquinoline-3-carboxylate 71083-35-7P, 3-Carbamoyl-7-methoxyquinoline 71083-49-3P, 3-Cyano-7-methoxyquinoline 76243-24-8P, 2-Fluoro-4-nitrobenzyloxybenzene 77156-85-5P, 4-Chloro-3-ethoxycarbonyl-7-methoxyquinoline 84497-70-1P, 3-(1H-1,2,4-Triazol-1-yl)propan-1-ol 84497-72-3P, 3-(5-Methyl-[1,2,4]triazol-1-yl)propan-1-ol 89151-44-0P, 4-(2-Hydroxyethyl)-1-(tert-butoxycarbonyl)piperidine 89151-45-1P, 4-[2-(4-Methylphenylsulfonyloxy)ethyl]-1-tert-butoxycarbonylpiperidine 90858-86-9P, 4-Bromo-5-methoxyindole 92622-97-4P, 4-Bromo-5-methoxyindole-2-carboxylic acid 121247-16-3P, 3-Acetylmethyl-1,2-difluoro-4-nitrobenzene 123387-51-9P, 4,4-(Ethylenedioxy)-1-tert-butoxycarbonylpiperidine 123855-51-6P, 4-Hydroxymethyl-1-tert-butoxycarbonylpiperidine 135531-89-4P, 5-Hydroxy-4-nitroindole 135531-92-9P, 5-Methoxy-4-nitroindole 135716-09-5P, Ethyl 1-tert-butyloxycarbonyl-4-piperidinecarboxylate 162364-72-9P, 7-Benzyloxy-4-chloro-6-methoxyquinazoline 163210-40-0P, 2-[[1-(tert-Butoxycarbonyl)piperidin-4-yl]oxy]ethanol 174734-34-0P, 5-Methoxy-2-trifluoromethylindole 179688-01-8P, 7-Benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one 181950-57-2P, 4-Chloro-7-hydroxyquinoline 193001-44-4P, 7-Benzyloxy-4-chloro-6-methoxyquinazoline hydrochloride 193001-55-7P, 7-Benzyloxy-6-methoxy-4-phenoxyquinazoline 193001-56-8P, 7-Hydroxy-6-methoxy-4-phenoxyquinazoline **193001-79-5P**, 4-(4-Chloro-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline **193001-80-8P**, 7-Benzyloxy-4-(4-chloro-2-fluorophenoxy)-6-methoxyquinazoline 193002-14-1P, 7-Benzyloxy-3,4-dihydroquinazolin-4-one 193002-18-5P, 2-[N-Methyl-N-(pyridazin-4-yl)amino]ethanol 193002-19-6P, 2-[N-(3,6-Dichloropyridazin-4-yl)-N-methylamino]ethanol 193002-24-3P, 7-Benzyloxy-6-methoxy-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one 193002-25-4P, 7-Hydroxy-6-methoxy-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one 193002-30-1P, 4-Chloro-7-[2-(imidazol-1-yl)ethoxy]-6-methoxyquinazoline 193002-31-2P, 7-[2-(Imidazol-1-yl)ethoxy]-6-methoxy-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one

193002-32-3P, 7-[2-(Imidazol-1-yl)ethoxy]-6-methoxy-3,4-dihydroquinazolin-4-one 196194-61-3P, 6-Methoxy-7-(3-morpholinopropoxy)-4-phenoxyquinazoline 196194-62-4P, 6-Methoxy-7-(3-morpholinopropoxy)-3,4-dihydroquinazolin-4-one 196194-78-2P, 4-Chloro-6-methoxy-7-(2-piperidinoethoxy)quinazoline hydrochloride 196194-79-3P, 6-Methoxy-4-phenoxy-7-(2-piperidinoethoxy)quinazoline 196194-80-6P, 6-Methoxy-7-(2-piperidinoethoxy)-3,4-dihydroquinazolin-4-one 196195-13-8P, 4-Chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline 199327-69-0P, 4-Chloro-6-methoxy-7-[3-(pyrrolidin-1-yl)propoxy]quinazoline 199327-71-4P, 3-Methoxy-4-[3-(pyrrolidin-1-yl)propoxy]benzoic acid hydrochloride 199327-72-5P, 5-Methoxy-2-nitro-4-[3-(pyrrolidin-1-yl)propoxy]benzoic acid hydrochloride 199327-73-6P, 5-Methoxy-2-nitro-4-[3-(pyrrolidin-1-yl)propoxy]benzamide 199327-74-7P, 2-Amino-5-methoxy-4-[3-(pyrrolidin-1-yl)propoxy]benzamide hydrochloride 199327-75-8P, 4-Hydroxy-6-methoxy-7-[3-(pyrrolidin-1-yl)propoxy]quinazoline 199328-74-0P, 4-Chloro-6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]quinazoline 199328-77-3P, 6-Methoxy-7-[2-(2-methoxyethoxy)ethoxy]-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one 205194-11-2P, (R)-(1-Methylpiperidin-3-yl)methanol 205194-12-3P, (R)-Ethyl 1-methylpiperidine-3-carboxylate 205194-13-4P, (E)-4-(Pyrrolidin-1-yl)but-2-en-1-ol 205194-33-8P, 3-(1,1-Dioxothiomorpholino)-1-propanol 263400-83-5P, 4-Chloro-6-methoxy-7-[(1-methylpiperidin-3-yl)methoxy]quinazoline **263400-84-6P**, 4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-[(1-methylpiperidin-3-yl)methoxy]quinazoline 263400-85-7P, 6-Methoxy-7-[(1-methylpiperidin-3-yl)methoxy]-3,4-dihydroquinazolin-4-one 264208-51-7P, 7-[3-(4-Methylpiperazin-1-yl)propoxy]-6-methoxy-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one 264208-53-9P, 6-Methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]-3,4-dihydroquinazolin-4-one 264208-55-1P, 4-Chloro-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline 264208-58-4P, Ethyl 3-methoxy-4-((1-tert-butyloxycarbonylpiperidin-4-yl)methoxy)benzoate 264208-60-8P, Ethyl 3-methoxy-4-((1-methylpiperidin-4-yl)methoxy)benzoate 264208-63-1P, Ethyl 3-methoxy-4-((1-methylpiperidin-4-yl)methoxy)-6-nitrobenzoate 264208-66-4P, Ethyl 6-amino-3-methoxy-4-((1-methylpiperidin-4-yl)methoxy)benzoate 264208-69-7P, 6-Methoxy-7-[(1-methylpiperidin-4-yl)methoxy]-3,4-dihydroquinazolin-4-one 264208-72-2P, 4-Chloro-6-methoxy-7-[(1-methylpiperidin-4-yl)methoxy]quinazoline 264208-86-8P, 6-Methoxy-3-[(pivaloyloxy)methyl]-7-[(1-tert-butyloxycarbonylpiperidin-4-yl)methoxy]-3,4-dihydroquinazolin-4-one 264208-92-6P, 6-Methoxy-7-[[1-(2-methylsulfonylethyl)piperidin-4-yl]methoxy]-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one 264208-95-9P, 6-Methoxy-7-[[1-(2-methylsulfonylethyl)piperidin-4-yl]methoxy]-3,4-dihydroquinazolin-4-one 264208-98-2P, 4-Chloro-6-methoxy-7-((1-(2-methylsulfonylethyl)piperidin-4-yl)methoxy)quinazoline 264209-07-6P, 6-Methoxy-7-(3-methylsulfonylpropoxy)-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one 264209-09-8P, 6-Methoxy-7-(3-methylsulfonylpropoxy)-3,4-dihydroquinazolin-4-one 264209-11-2P, 4-Chloro-6-methoxy-7-(3-methylsulfonylpropoxy)quinazoline 288383-30-2P, 4-Chloro-7-[3-(1,1-dioxothiomorpholino)propoxy]-6-methoxyquinazoline **288383-31-3P**, 4-(4-Chloro-2-fluorophenoxy)-7-[3-(1,1-dioxothiomorpholino)propoxy]-6-methoxyquinazoline 288383-32-4P, 7-[3-(1,1-Dioxothiomorpholino)propoxy]-6-methoxy-3,4-dihydroquinazolin-4-one 288383-36-8P, 6-Methoxy-7-[(piperidin-4-yl)methoxy]-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one hydrochloride 288383-62-0P, 5-Hydroxy-2-trifluoromethylindole 288383-69-7P, 2-[N-(2-Methoxyethyl)-N-methylamino]ethanol 288383-71-1P, 4-Chloro-6-methoxy-7-(3-piperidinopropoxy)quinazoline 288383-72-2P, 7-(3-Bromopropoxy)-6-methoxy-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one 288383-73-3P, 6-Methoxy-7-(3-piperidinopropoxy)-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one 288383-74-4P,

6-Methoxy-7-(3-piperidinopropoxy)-3,4-dihydroquinazolin-4-one 288383-77-7P, 7-(2-Carboxyvinyl)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline **288383-78-8P**, 4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-(trifluoromethylsulfonyloxy)quinazoline **288383-79-9P**, 4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-[2-(tert-butoxycarbonyl)vinyl]quinazoline **288383-80-2P**, 7-(2-Carboxyvinyl)-4-(4-chloro-2-fluorophenoxy)-6-methoxyquinazoline 288383-85-7P, 7-Hydroxy-4-(2-methylindol-5-yloxy)quinazoline 288383-86-8P, 7-Benzyloxy-4-chloroquinazoline 288383-87-9P, 7-Benzyloxy-4-(2-methylindol-5-yloxy)quinazoline 288383-91-5P, 4-(2,3-Dimethylindol-5-yloxy)-7-hydroxy-6-methoxyquinazoline 288383-93-7P, 7-Benzyloxy-4-(2,3-dimethylindol-5-yloxy)-6-methoxyquinazoline 288384-13-4P, 3-(Ethylsulfonyl)-1-propanol 288384-18-9P, 4-(2,3-Dimethylindol-5-ylamino)-7-hydroxy-6-methoxyquinazoline 288384-20-3P, 7-Benzyloxy-4-(2,3-dimethylindol-5-ylamino)-6-methoxyquinazoline 288384-22-5P, 3-(tert-Butyldimethylsilyloxy)-1-(1H-1,2,4-triazolyl)propane 288384-23-6P 288384-41-8P, 4-Chloro-6-methoxy-7-[3-(N-methyl-N-methylsulfonylamino)propoxy]quinazoline **288384-44-1P**, 4-(4-Bromo-2-fluorophenoxy)-7-[3-(N-tert-butoxycarbonylamino)propoxy]-6-methoxyquinazoline **288384-45-2P**, 7-(3-Aminopropoxy)-4-(4-bromo-2-fluorophenoxy)-6-methoxyquinazoline **288384-46-3P**, 4-(4-Bromo-2-fluorophenoxy)-6-methoxy-7-[3-(N-methylsulfonylamino)propoxy]quinazoline **288384-47-4P**, 4-(4-Bromo-2-fluorophenoxy)-6-methoxy-7-[3-(N-methyl-N-methylsulfonylamino)propoxy]quinazoline 288384-48-5P 288384-53-2P, 2-Chloro-3-fluoro-7-methoxyquinoline 288384-54-3P, 3-Fluoro-7-methoxyquinoline 288384-55-4P, 3-Fluoro-7-hydroxyquinoline 288384-56-5P, 3-Fluoro-7-hydroxy-2-methylquinoline 288384-58-7P, 3-Fluoro-7-methoxy-2-methylquinoline 288384-60-1P, 7-(2,3-Epoxypropoxy)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline 288384-61-2P, 5-Hydroxy-6-trifluoromethylindole 288384-62-3P, 5-Benzyloxy-2-nitro-4-(trifluoromethyl)benzeneacetonitrile 288384-66-7P, Ethyl 7-chloro-5-hydroxyindole-2-carboxylate 288384-68-9P, Ethyl 7-chloro-5-methoxyindole-2-carboxylate 288384-72-5P **288384-74-7P** , 4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline 288384-77-0P, 4-Chloro-6-methoxy-7-(2-piperidinoethoxy)quinazoline 288384-91-8P, 2-Chloro-5-hydroxybenzimidazole 288384-98-5P, 3-Cyano-7-hydroxyquinoline 288385-08-0P, 6-Methoxy-7-(3-morpholinopropoxy)-4-[(1-tert-butoxycarbonyl-1,2,3,4-tetrahydroquinolin-6-yl)oxy]quinazoline 288385-13-7P, 6-Hydroxy-4-(1-tert-butoxycarbonyl)-1,2,3,4-tetrahydroquinoline 288385-15-9P, 4-((1-tert-Butoxycarbonyl-2,3-dihydroindol-5-yl)oxy)-6-methoxy-7-[3-(pyrrolidin-1-yl)propoxy]quinazoline 288385-24-0P, 4-((1-tert-Butoxycarbonyl-2,3-dihydroindol-5-yl)oxy)-6-methoxy-7-((1-methylpiperidin-4-yl)methoxy)quinazoline 288385-40-0P, 7-Hydroxy-4-(indol-5-ylamino)-6-methoxyquinazoline 288385-42-2P, 7-Benzyloxy-4-(indol-5-ylamino)-6-methoxyquinazoline hydrochloride 288385-46-6P, 7-Hydroxy-6-methoxy-4-(2-methylindol-5-ylamino)quinazoline 288385-48-8P, 7-Benzyloxy-6-methoxy-4-(2-methylindol-5-ylamino)quinazoline hydrochloride 288385-56-8P, 3-[(4-Methyl-4H-1,2,4-triazol-3-yl)sulfanyl]propan-1-ol 288385-69-3P, 3-[[N-(2,6-Dimethyl-4-pyridyl)-N-methyl]amino]propan-1-ol 288385-85-3P, 4-Chloro-6-methoxy-7-[(1-(cyanomethyl)piperidin-4-yl)methoxy]quinazoline 288385-87-5P, 6-Methoxy-7-(piperidin-4-ylmethoxy)-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one 288385-88-6P, 4-Fluoro-5-hydroxy-2-methylindole 288385-89-7P, 4-Fluoro-5-methoxyindole 288385-90-0P, 4-Fluoro-5-methoxy-1-tert-butoxycarbonylindole 288385-91-1P, 6-Fluoro-5-methoxy-1-tert-butoxycarbonylindole 288385-92-2P, 6-Fluoro-5-methoxy-2-methylindole 288385-93-3P, 4-Fluoro-5-methoxy-2-

methylindole 288385-96-6P, 1,2-Difluoro-3-(2,2-dimethoxypropyl)-4-nitrobenzene 288385-98-8P, 3-Acetylmethyl-1-benzyloxy-2-fluoro-4-nitrobenzene 288385-99-9P, 3-Acetylmethyl-2-fluoro-1-methoxy-4-nitrobenzene 288386-02-7P, 4-Chloro-6-methoxy-7-[2-(1-methylpiperidin-4-yl)ethoxy]quinazoline 288386-04-9P, 4-Fluoro-5-hydroxyindole 288386-07-2P, 7-[2-(1-(tert-Butoxycarbonyl)piperidin-4-yl)ethoxy]-6-methoxy-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one 288386-09-4P, 7-[2-(Piperidin-4-yl)ethoxy]-6-methoxy-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one 288386-11-8P, 7-[2-(1-Methylpiperidin-4-yl)ethoxy]-6-methoxy-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one 288386-13-0P, 7-[2-(1-Methylpiperidin-4-yl)ethoxy]-6-methoxy-3,4-dihydroquinazolin-4-one 288386-15-2P, 6-Fluoro-5-hydroxyindole 288386-20-9P 288386-22-1P, 5-Cyanomethyl-2-fluoro-4-nitrobenzyloxybenzene 288386-29-8P, 6-Fluoro-5-hydroxy-2-methylindole 288386-37-8P, (R)-7-[2-Acetoxy-3-(pyrrolidin-1-yl)propoxy]-4-(4-fluoro-2-methylindol-5-yloxy)-6-methoxyquinazoline 288386-39-0P, (R)-7-(Oxiran-2-ylmethoxy)-6-methoxy-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one 288386-41-4P, (R)-7-(2-Hydroxy-3-(pyrrolidin-1-yl)propoxy)-6-methoxy-3-((pivaloyloxy)methyl)-3,4-dihydroquinazolin-4-one 288386-43-6P, (R)-7-[2-Hydroxy-3-(pyrrolidin-1-yl)propoxy]-6-methoxy-3,4-dihydroquinazolin-4-one 288386-44-7P, (R)-7-[2-Acetoxy-3-(pyrrolidin-1-yl)propoxy]-6-methoxy-3,4-dihydroquinazolin-4-one 288386-46-9P, (R)-7-[2-Acetoxy-3-(pyrrolidin-1-yl)propoxy]-4-chloro-6-methoxyquinazoline 288386-66-3P 288386-71-0P, (R)-6-Methoxy-4-(2-methylindol-5-yloxy)-7-(oxiran-2-ylmethoxy)quinazoline 288386-75-4P, 7-Benzyloxy-6-methoxy-4-(3-methylindol-5-yloxy)quinazoline 288387-15-5P, 4-Bromo-5-hydroxyindole 288387-21-3P, (R)-4-(Indol-5-yloxy)-6-methoxy-7-(oxiran-2-ylmethoxy)quinazoline 288387-27-9P, (S)-4-(Indol-5-yloxy)-6-methoxy-7-(oxiran-2-ylmethoxy)quinazoline 288387-39-3P, (S)-6-Methoxy-4-(2-methylindol-5-yloxy)-7-(oxiran-2-ylmethoxy)quinazoline 288387-48-4P, Ethyl 5-hydroxy-4-nitroindole-2-carboxylate 288387-49-5P, 5-Methoxy-4-nitroindole-2-carboxylic acid 288387-52-0P, 7-(3-Bromopropoxy)-4-(1H-indol-5-yloxy)-6-methoxyquinazoline 288387-54-2P, (S)-5-(p-Toluenesulfonylmethyl)-1-methyl-2-pyrrolidinone 288387-58-6P, (R)-5-(p-Toluenesulfonylmethyl)-2-pyrrolidinone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinazolines as angiogenesis inhibitors by cyclization of 2-aminobenzamides and subsequent derivatization)

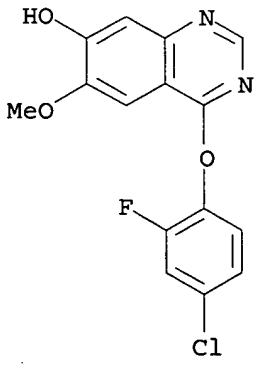
IT 59-31-4, 2-Hydroxyquinoline 86-79-3, 2-Hydroxycarbazole 87-13-8, Diethyl ethoxymethylenemalonate 90-15-3, 1-Naphthol 98-00-0, 2-Furanmethanol 100-37-8, N,N-Diethylethanolamine 100-55-0, 3-Hydroxymethyl pyridine 102-51-2, 4-Methoxy-1,2-phenylenediamine 104-58-5, 1-(3-Hydroxypropyl)piperidine 107-13-1, 2-Propenenitrile, reactions 108-01-0, N,N-Dimethylethanolamine 109-01-3, 1-Methylpiperazine 109-64-8, 1,3-Dibromopropane 109-70-6, 1-Bromo-3-chloropropane 109-83-1, 2-(Methylamino)ethanol 110-65-6, 2-Butyne-1,4-diol 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 111-77-3, 2-(2-Methoxyethoxy)ethanol 112-35-6, Triethylene glycol monomethyl ether 121-34-6, 4-Hydroxy-3-methoxybenzoic acid 123-00-2, 4-(3-Aminopropyl)morpholine 123-56-8, Pyrrolidine-2,5-dione 135-19-3, 2-Hydroxynaphthalene, reactions 137-00-8, 5-(2-Hydroxyethyl)-4-methylthiazole 140-53-4, 4-Chlorophenylacetonitrile 140-88-5 141-97-9, Ethyl acetoacetate 156-87-6, 3-Amino-1-propanol 177-11-7, 4,4-(Ethylenedioxy)piperidine 288-36-8, 1,2,3-Triazole 288-88-0, 1H-1,2,4-Triazole 348-62-9, 4-Chloro-2-fluorophenol 403-19-0, 2-Fluoro-4-nitrophenol 455-93-6, 2-Fluoro-4-nitroanisole 505-10-2, 3-(Methylthio)-1-propanol 533-30-2, 6-Aminobenzothiazole 536-90-3 578-67-6, 5-Hydroxyquinoline 580-16-5,

6-Hydroxyquinoline 580-20-1, 7-Hydroxyquinoline 582-17-2, 2,7-Dihydroxynaphthalene 617-05-0, Ethyl 3-methoxy-4-hydroxybenzoate 622-40-2, 4-(2-Hydroxyethyl)morpholine 622-93-5, 3-(N,N-Diethylamino)-1-propanol 627-18-9, 3-Bromo-1-propanol 627-30-5, 3-Chloropropan-1-ol 628-89-7, 2-(2-Chloroethoxy)ethanol 771-69-7, 1,2,3-Trifluoro-4-nitrobenzene 777-37-7, 2-Chloro-5-nitrotrifluoromethylbenzene 828-94-4, 2,3-Dimethyl-5-methoxyindole 1076-74-0, 5-Methoxy-2-methylindole 1122-58-3, 4-Dimethylaminopyridine 1125-40-2, 3-Methyl-5-hydroxyindole 1126-09-6, Ethyl 4-piperidinecarboxylate 1458-63-5, 1-(3-Chloropropyl)piperidine 1484-84-0, 2-(2-Hydroxyethyl)piperidine 1615-14-1, 2-(Imidazol-1-yl)ethanol 1663-39-4 1953-54-4, 5-Hydroxyindole 2008-75-5, 1-(2-Chloroethyl)piperidine hydrochloride 2380-86-1, 6-Hydroxyindole 2380-94-1, 4-Hydroxyindole 2439-68-1, 5-Benzyloxy-1-methylindole 2941-62-0, 6-Amino-2-methylbenzothiazole 2955-88-6, 1-(2-Hydroxyethyl)pyrrolidine 3040-44-6, 1-(2-Hydroxyethyl)piperidine 3132-64-7, Epibromohydrin 3179-63-3, 3-(N,N-Dimethylamino)propanol 3273-14-1, 2-(1H-1,2,4-Triazol-1-yl)ethanol 3445-11-2, 1-(2-Hydroxyethyl)-2-pyrrolidinone 3512-75-2, 4-Chloro-2,6-dimethylpyridine 3598-13-8, 4-Chlorophenoxyacetonitrile 3647-69-6, 4-(2-Chloroethyl)morpholine hydrochloride 3680-02-2, Methyl vinyl sulfone 4076-31-7, 2-[2-(4-Methylpiperazin-1-yl)ethoxy]ethanol 4572-03-6, 1-(3-Aminopropyl)-4-methylpiperazine 4769-96-4, 6-Nitroindole 4790-04-9, 5-Benzyloxy-6-methoxyindole 4792-58-9, Ethyl 5-methoxyindole-2-carboxylate 4897-50-1, 4-Piperidinopiperidine 5050-41-9, 1-(2-Chloroethyl)pyrrolidine 5192-03-0, 5-Aminoindole 5344-27-4, 4-(2-Hydroxyethyl)pyridine 5653-40-7, 4,5-Dimethoxyanthranilic acid 6293-56-7, 3-(2-Hydroxyethyl)pyridine 6320-42-9, 7-Hydroxy-2-methylchromone 6482-24-2, 2-Bromoethyl methyl ether 6967-12-0, 6-Aminoindazole 7154-73-6, 1-(2-Aminoethyl)pyrrolidine 7384-07-8, 3-Hydroxycarbazole 7570-47-0, 2-Methyl-5-nitroindole 7570-49-2, 5-Amino-2-methylindole 7583-53-1, (1-Methylpiperidin-3-yl)methanol 10344-42-0, 4-Bromo-3,6-dichloropyridazine 14268-66-7, 3,4-(Methylenedioxy)aniline 15463-09-9, 7-Hydroxy-4-methylquinoline 15965-54-5, 2-Chloro-5-methoxybenzimidazole 16499-57-3, 7-Fluoro-3,4-dihydroquinazolin-4-one 16712-58-6, 5-Amino-2,3-dimethylindole 17342-08-4 18190-44-8, 1-(2-Hydroxyethyl)pyrrolidin-2,5-dione 18721-61-4, 3-(Ethylthio)propanol 18994-70-2, 2-(1-Methylimidazol-2-yl)ethanol 19335-11-6, 5-Aminoindazole 19748-66-4, 3-Pyrrolidinopropan-1-ol 20845-32-3, 4-Hydroxymethyl-1-methyl-2-piperidone 21598-06-1, 5-Hydroxy-2-indolecarboxylic acid 22159-27-9, 1-(3-Hydroxypropyl)-2-methylimidazole 23159-07-1, 1-(3-Aminopropyl)pyrrolidine 24332-20-5, 1,1,2-Trimethoxyethane 24425-40-9, 5-Aminoindan 24854-43-1, 4-Methyl-4H-1,2,4-triazole-3-thiol 25137-01-3, (R)-Ethyl nipecotate 25888-06-6, 1,2-Dimethyl-5-hydroxyindole 26438-50-6, 3,4-Dihydro-4-methyl-2H-1,4-benzoxazin-6-ol 29242-84-0, 2-Chloro-4-methoxyaniline 34381-71-0, (S)-2-(Hydroxymethyl)-1-methylpyrrolidine 39743-20-9, 3-(Pyrrolidin-1-yl)propyl chloride 42055-15-2, 3-(N-Methylamino)propan-1-ol 51086-19-2 53412-38-7, 6-Hydroxy-3,4-dihydro-2H-1,4-benzoxazin-3-one 54584-22-4, 6-Hydroxy-2-methylindole 57561-39-4 58885-58-8, 3-(N-tert-Butoxycarbonylamino)propanol 60547-98-0, 2-Amino-4-benzyloxy-5-methoxybenzamide 62012-15-1, 1-(3-Hydroxypropyl)pyrrolidin-2-one 66673-40-3, (R)-5-Hydroxymethyl-2-pyrrolidinone 68867-14-1, 5-Hydroxy-2-methylbenzothiazole 72107-05-2, 2,2,4-Trimethyl-1,2-dihydroquinolin-6-ol 72365-58-3, 2,4-Dimethyl-7-hydroxyquinoline 86450-38-6, 4-(2-Hydroxyethoxy)pyridine 98549-88-3, 5-Hydroxy-1H-pyrrolo[2,3-b]pyridine 104863-67-4, N-Methyl-N-methoxytrifluoroacetamide 109736-44-9, 2-[N-Methyl-N-(4-pyridyl)amino]ethanol 116141-68-5, 5,5-Dimethyl-1,3-dioxane-2-ethanol 129822-42-0, (4-Methoxy-2-methylphenyl)carbamic acid 1,1-dimethylethyl ester 151782-79-5,

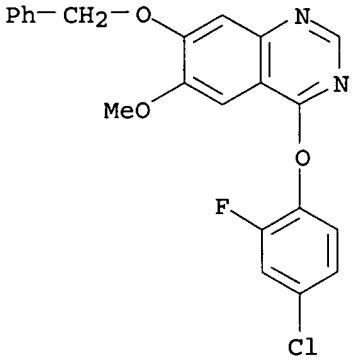
7-Hydroxy-4-trifluoromethylquinoline 165112-03-8, 7-Hydroxy-2-methylquinoline 175609-41-3, 3-Fluoro-7-methoxyquinolin-2(1H)-one 178984-56-0, 7-Benzyloxy-4-chloroquinoline 183208-36-8, 5-Methoxy-1H-pyrrolo[2,3-b]pyridine 288383-51-7, 4-Chloro-6-methoxy-7-(1-methylpiperidin-4-yloxy)quinazoline 288383-83-5, 6-Methoxy-4-((2-methylindol-5-yl)oxy)-7-[2-(N-methyl-N-tert-butoxycarbonylamino)ethoxy]quinazoline **288384-43-0**, 4-(4-Bromo-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline **288384-73-6**, 4-(4-Chloro-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline trifluoroacetate 288385-51-3, 3-(1H-1,2,3-Triazol-1-yl)propan-1-ol 288386-62-9, 4-Chloro-6-methoxy-7-[3-(1H-1,2,4-triazol-1-yl)propoxy]quinazoline 288386-86-7, 3-(1,1-Dioxothiomorpholino)propoxy tosylate 288387-56-4, (S)-5-(p-Toluenesulfonylmethyl)-2-pyrrolidinone 288387-63-3, 7-Hydroxy-4-thiomethylquinazoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of quinazolines as angiogenesis inhibitors by cyclization of 2-aminobenzamides and subsequent derivatization)

IT **193001-79-5P**, 4-(4-Chloro-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline **193001-80-8P**, 7-Benzyloxy-4-(4-chloro-2-fluorophenoxy)-6-methoxyquinazoline **263400-84-6P**, 4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-[(1-methylpiperidin-3-yl)methoxy]quinazoline **288383-31-3P**, 4-(4-Chloro-2-fluorophenoxy)-7-[3-(1,1-dioxothiomorpholino)propoxy]-6-methoxyquinazoline **288383-78-8P**, 4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-(trifluoromethylsulfonyloxy)quinazoline **288383-79-9P**, 4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-[2-(tert-butoxycarbonyl)vinyl]quinazoline **288383-80-2P**, 7-(2-Carboxyvinyl)-4-(4-chloro-2-fluorophenoxy)-6-methoxyquinazoline **288384-44-1P**, 4-(4-Bromo-2-fluorophenoxy)-7-[3-(N-tert-butoxycarbonylamino)propoxy]-6-methoxyquinazoline **288384-45-2P**, 7-(3-Aminopropoxy)-4-(4-bromo-2-fluorophenoxy)-6-methoxyquinazoline **288384-46-3P**, 4-(4-Bromo-2-fluorophenoxy)-6-methoxy-7-[3-(N-methylsulfonylamino)propoxy]quinazoline **288384-47-4P**, 4-(4-Bromo-2-fluorophenoxy)-6-methoxy-7-[3-(N-methyl-N-methylsulfonylamino)propoxy]quinazoline **288384-74-7P**, 4-(4-Chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinazolines as angiogenesis inhibitors by cyclization of 2-aminobenzamides and subsequent derivatization)

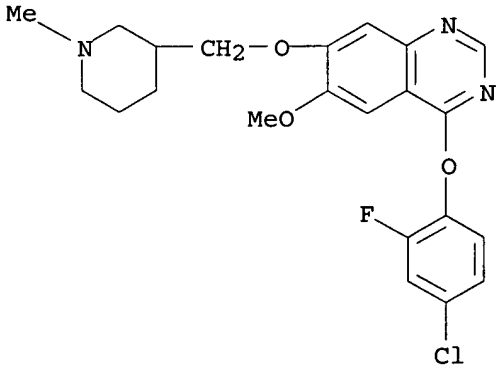
RN 193001-79-5 CAPLUS
CN 7-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-6-methoxy- (9CI) (CA INDEX NAME)



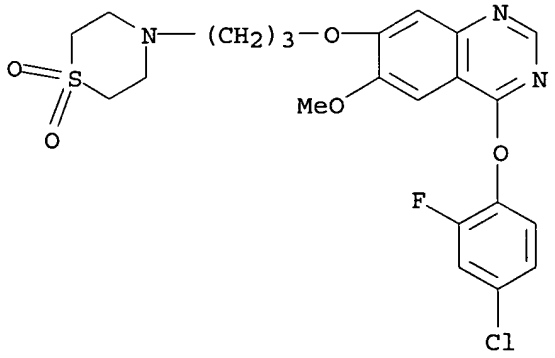
RN 193001-80-8 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-(phenylmethoxy)-(9CI) (CA INDEX NAME)



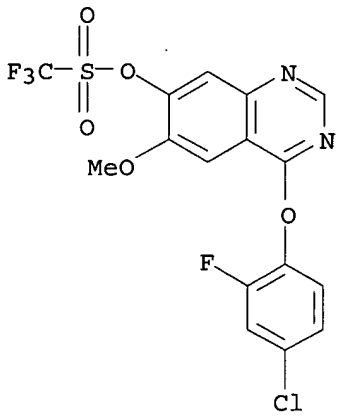
RN 263400-84-6 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-[(1-methyl-3-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



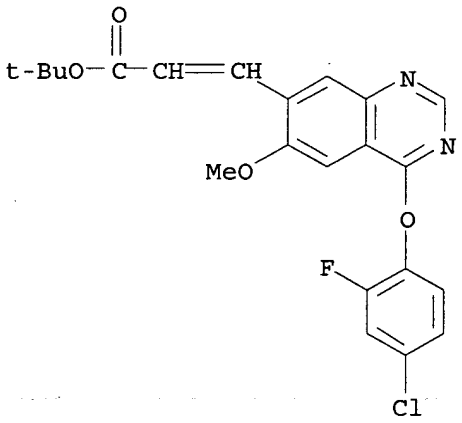
RN 288383-31-3 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-7-[3-(1,1-dioxido-4-thiomorpholinyl)propoxy]-6-methoxy- (9CI) (CA INDEX NAME)



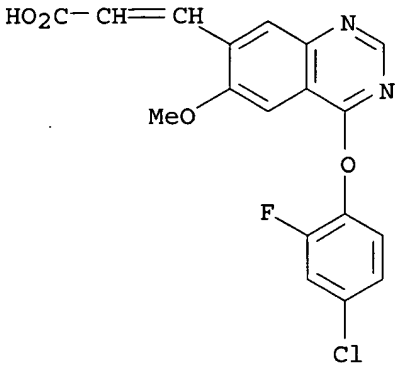
RN 288383-78-8 CAPLUS
CN Methanesulfonic acid, trifluoro-, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-quinazolinyl ester (9CI) (CA INDEX NAME)



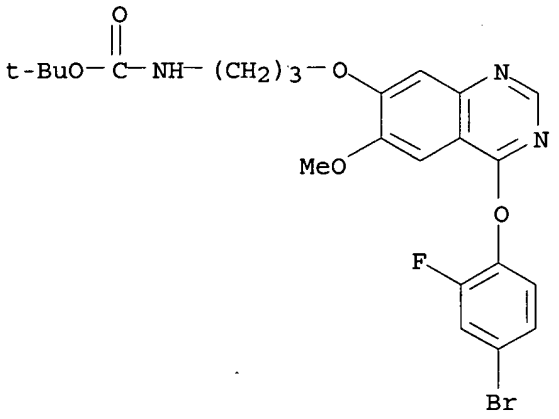
RN 288383-79-9 CAPLUS
CN 2-Propenoic acid, 3-[4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-quinazolinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



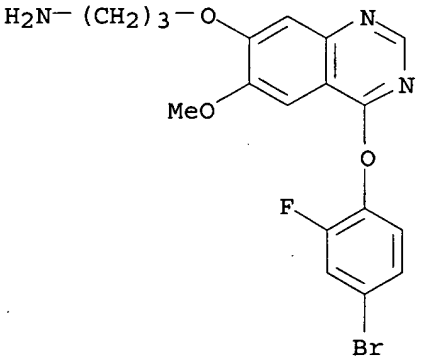
RN 288383-80-2 CAPLUS
CN 2-Propenoic acid, 3-[4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 288384-44-1 CAPLUS
CN Carbamic acid, [3-[[4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

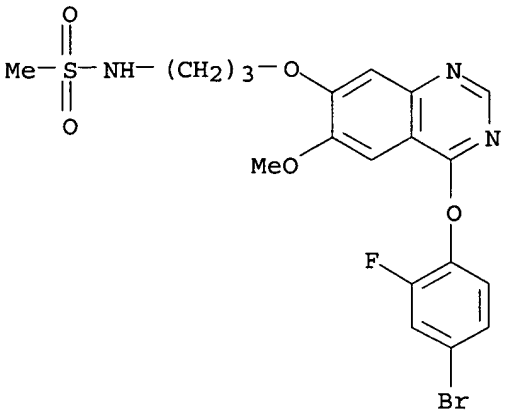


RN 288384-45-2 CAPLUS
CN 1-Propanamine, 3-[[4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]- (9CI) (CA INDEX NAME)

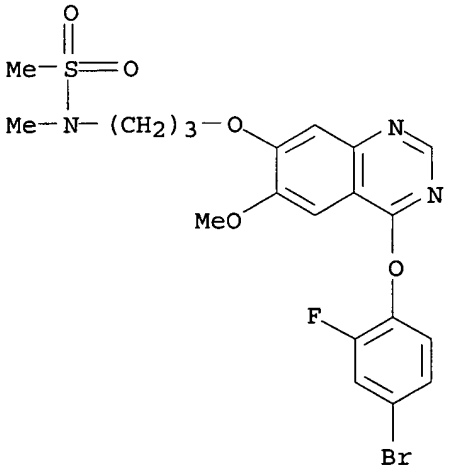


RN 288384-46-3 CAPLUS
CN Methanesulfonamide, N-[3-[[4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-

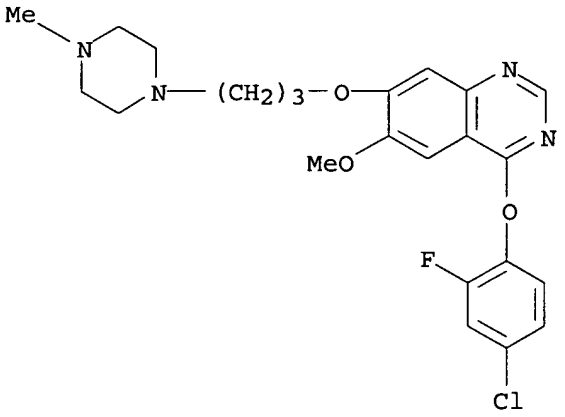
quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



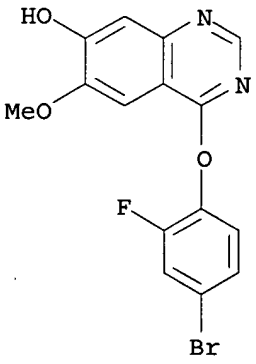
RN 288384-47-4 CAPLUS
CN Methanesulfonamide, N-[3-[[4-(4-bromo-2-fluorophenoxy)-6-methoxy-7-quinazolinyl]oxy]propyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 288384-74-7 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



IT **288384-43-0**, 4-(4-Bromo-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline **288384-73-6**, 4-(4-Chloro-2-fluorophenoxy)-7-hydroxy-6-methoxyquinazoline trifluoroacetate
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of quinazolines as angiogenesis inhibitors by cyclization of 2-aminobenzamides and subsequent derivatization)
RN 288384-43-0 CAPLUS
CN 7-Quinazolinol, 4-(4-bromo-2-fluorophenoxy)-6-methoxy- (9CI) (CA INDEX NAME)



RN 288384-73-6 CAPLUS
CN 7-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

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CRN 193001-79-5
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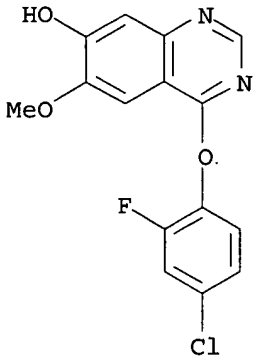

CM 2

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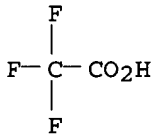

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:513673 CAPLUS
DOCUMENT NUMBER: 133:135235
TITLE: Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines
INVENTOR(S): Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki
PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000043366	A1	20000727	WO 2000-JP255	20000120

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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PRIORITY APPLN. INFO.:

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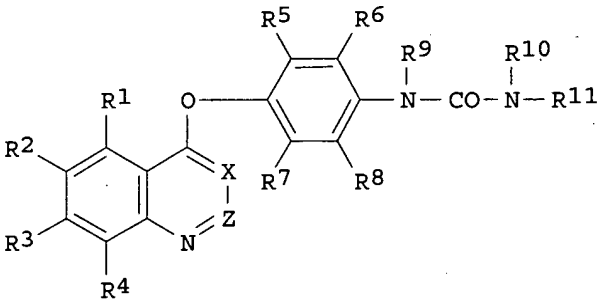
OTHER SOURCE(S): MARPAT 133:135235

GI



I

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. containing the same are prepared and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compound I (X = CH; Z = CH; R1, R4, R5,R7-R10 each an H; R11 = 3,5-F2C6H3) was prepared and tested.

IC ICM C07D215-22
ICS C07D239-96; C07D401-12; C07D403-12; A61P035-00; A61K031-47; A61K031-4725; A61K031-496; A61K031-517; A61K031-5355

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 28, 63

IT 190727-98-1P 190728-01-9P 286369-52-6P 286369-55-9P 286369-56-0P
286369-58-2P 286369-59-3P 286369-60-6P 286369-61-7P 286369-62-8P
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286371-35-5P 286371-36-6P 286371-37-7P
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286371-41-3P 286371-42-4P 286371-43-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of quinolines and quinazolines)

IT 86-84-0, 1-Naphthyl isocyanate 95-69-2, 4-Chloro-2-methylaniline 100-39-0, Benzyl bromide 100-52-7, Benzaldehyde, reactions 104-58-5, 3-Piperidinopropanol 105-36-2, Bromoacetic acid ethyl ester 106-93-4, 1,2-Dibromoethane 107-10-8, n-Propylamine, reactions 108-90-7, Chlorobenzene, reactions 109-01-3, 1-Methylpiperazine 109-64-8, 1,3-Dibromopropane 109-70-6, 1-Bromo-3-chloropropane 109-83-1, 2-(Methylamino)ethanol 110-52-1, 1,4-Dibromobutane 110-68-9, N-Methylbutylamine 111-24-0, Pentamethylene bromide 111-42-2, Diethanolamine, reactions 142-84-7, Dipropylamine 288-32-4, Imidazole, reactions 311-28-4, Tetra-n-butyl ammonium iodide 367-25-9, 2,4-Difluoroaniline 394-41-2, 3-Fluoro-4-nitrophenol 437-83-2 452-71-1, 4-Fluoro-2-methylaniline 460-08-2, 2-Fluoroethylamine hydrochloride 498-02-2 540-51-2, Ethylene bromohydrin 598-74-3, 1,2-Dimethylpropylamine 603-35-0, Triphenylphosphine, reactions 610-81-1, 4-Amino-3-nitrophenol 614-68-6 627-18-9, 3-Bromo-1-propanol 627-35-0, N-Methylpropylamine 700-87-8, 2-Methoxyphenyl isocyanate 932-96-7, 4-Chloro-N-methylaniline 1072-97-5, 2-Amino-5-bromopyridine 1072-98-6, 2-Amino-5-chloropyridine 1195-45-5, p-Fluorophenyl isocyanate 1603-41-4, 2-Amino-5-picoline 1822-51-1, 4-Chloromethylpyridine hydrochloride 1824-81-3, 6-Amino-2-picoline 1972-28-7, Diethylazodicarboxylate 3096-69-3, 4-Amino-2,3-dimethylphenol 3096-71-7, 4-Amino-2,5-dimethylphenol 3647-69-6, N-(2-Chloroethyl)morpholine hydrochloride 3731-51-9, 2-(Aminomethyl)pyridine 4556-23-4, 4-Mercaptopyridine 4597-87-9, 2-(Methylamino)pyridine 5416-93-3, 4-Methoxyphenyl isocyanate 5930-28-9, 4-Amino-2,6-

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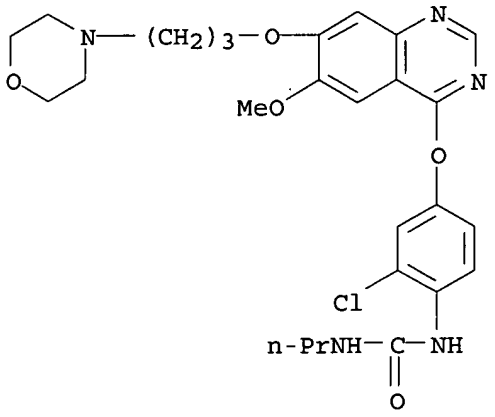
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antitumor activity of quinolines and quinazolines)

IT 13790-39-1P, 4-Chloro-6,7-dimethoxyquinazoline 13794-72-4P, 6,7-Dimethoxy-4-quinazolone 53710-78-4P 61032-41-5P 73828-78-1P 75665-73-5P 123330-55-2P 162364-72-9P, 7-(Benzyloxy)-4-chloro-6-methoxyquinazoline 164161-49-3P 179688-01-8P 205448-29-9P 205448-31-3P 286371-44-6P 286371-45-7P 286371-46-8P 286371-47-9P 286371-48-0P 286371-49-1P 286371-50-4P 286371-51-5P 286371-52-6P 286371-53-7P 286371-54-8P 286371-55-9P 286371-56-0P 286371-57-1P 286371-58-2P 286371-59-3P 286371-60-6P 286371-61-7P 286371-62-8P 286371-63-9P 286371-64-0P 286371-65-1P **286371-66-2P** **286371-67-3P** **286371-68-4P** **286371-76-4P** **286371-77-5P** **286371-78-6P** **286371-80-0P** **286371-81-1P** **286371-83-3P** 286371-87-7P 286371-89-9P 286371-90-2P **286371-92-4P** **286371-97-9P** **286371-99-1P** 286372-02-9P 286372-04-1P **286372-07-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antitumor activity of quinolines and quinazolines)

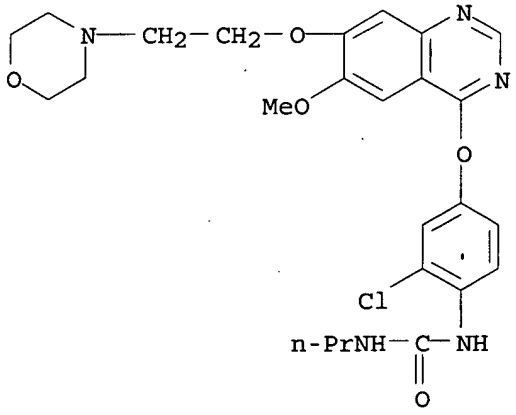
IT 286369-53-7P 286369-54-8P 286369-57-1P 286369-63-9P 286369-66-2P 286369-80-0P 286370-32-9P 286370-42-1P 286370-54-5P 286370-64-7P **286370-83-0P** **286370-84-1P** **286370-85-2P** **286371-28-6P** **286371-29-7P** 286371-30-0P 286371-31-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of quinolines and quinazolines)

IT **286370-74-9P** **286370-75-0P** **286370-76-1P** **286370-77-2P** **286370-78-3P** **286370-79-4P** **286370-80-7P** **286370-81-8P** **286370-82-9P** **286370-86-3P** **286370-87-4P** **286370-88-5P** **286370-89-6P** **286370-91-0P** **286370-93-2P** **286370-94-3P** **286370-95-4P** **286370-96-5P** **286370-97-6P** **286371-18-4P** **286371-19-5P** **286371-20-8P** **286371-21-9P** **286371-22-0P** **286371-35-5P** **286371-36-6P** **286371-37-7P** **286371-38-8P** **286371-39-9P** **286371-40-2P** **286371-41-3P** **286371-42-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of quinolines and quinazolines)

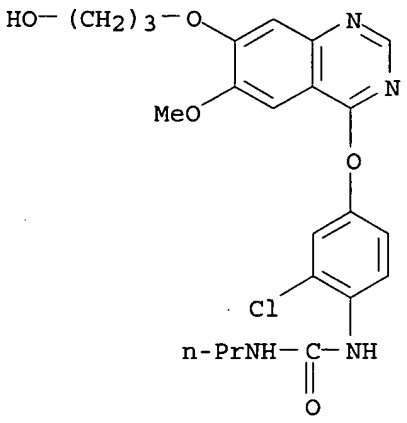
RN 286370-74-9 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



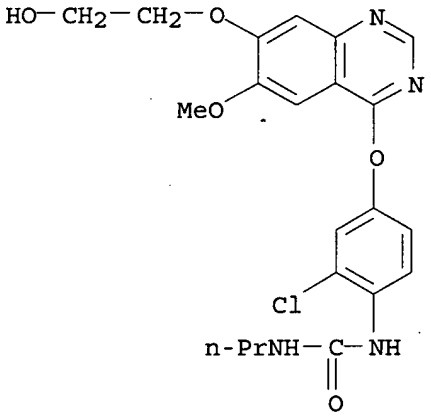
RN 286370-75-0 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[2-(4-morpholinyl)ethoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



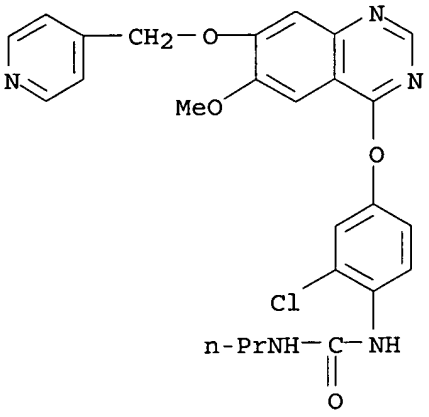
RN 286370-76-1 CAPLUS
CN Urea, N-[2-chloro-4-[[7-(3-hydroxypropoxy)-6-methoxy-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



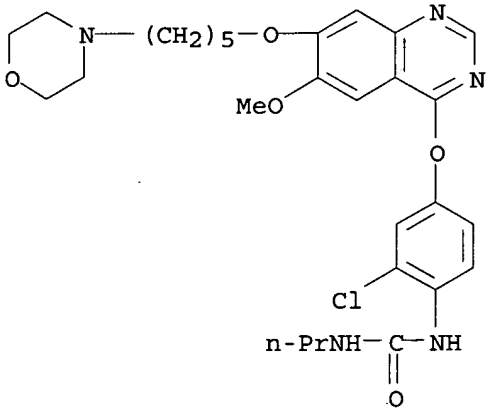
RN 286370-77-2 CAPLUS
CN Urea, N-[2-chloro-4-[[7-(2-hydroxyethoxy)-6-methoxy-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



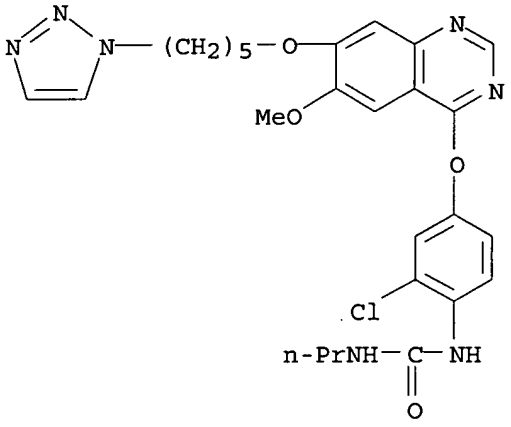
RN 286370-78-3 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-(4-pyridinylmethoxy)-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



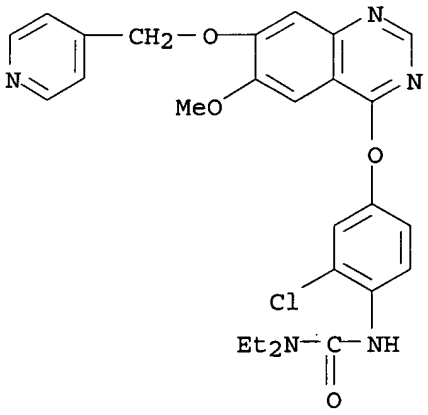
RN 286370-79-4 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[[5-(4-morpholinyl)pentyl]oxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



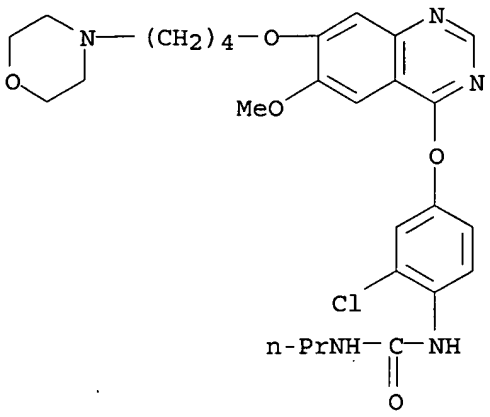
RN 286370-80-7 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[[5-(1H-1,2,3-triazol-1-yl)pentyl]oxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



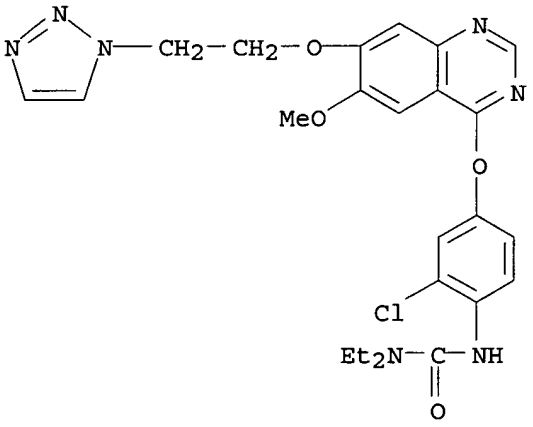
RN 286370-81-8 CAPLUS
CN Urea, N'-[2-chloro-4-[[6-methoxy-7-(4-pyridinylmethoxy)-4-quinazolinyl]oxy]phenyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



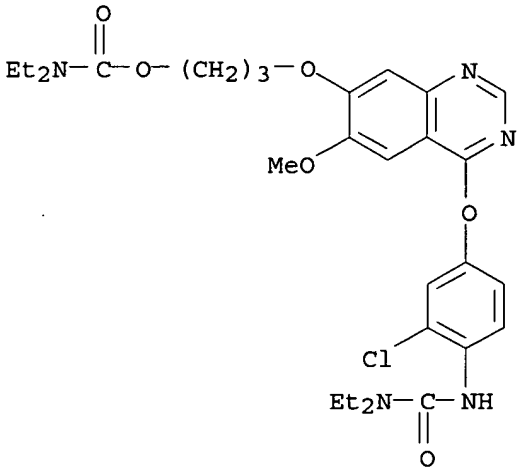
RN 286370-82-9 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[4-(4-morpholinyl)butoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



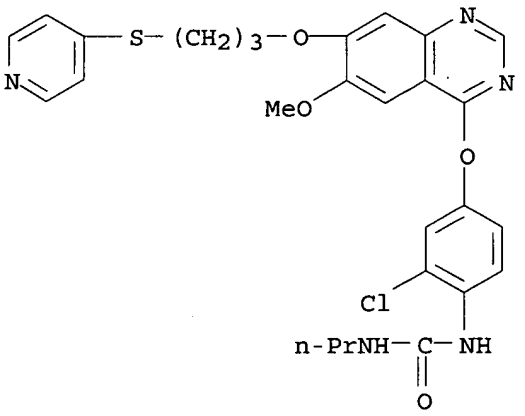
RN 286370-86-3 CAPLUS
CN Urea, N'-[2-chloro-4-[[6-methoxy-7-[2-(1H-1,2,3-triazol-1-yl)ethoxy]-4-quinazolinyl]oxy]phenyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



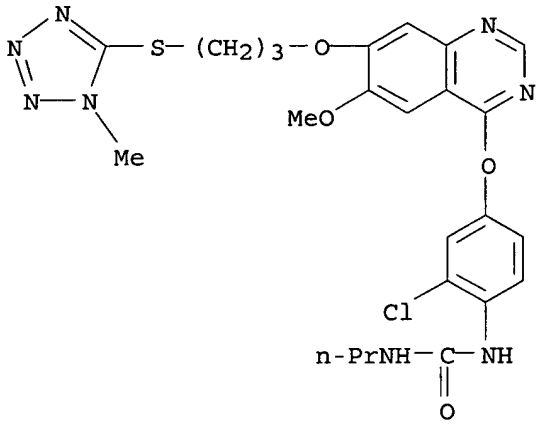
RN 286370-87-4 CAPLUS
CN Carbamic acid, diethyl-, 3-[[4-[3-chloro-4-[[(diethylamino)carbonyl]amino]phenoxy]-6-methoxy-7-quinazolinyl]oxy]propyl ester (9CI) (CA INDEX NAME)



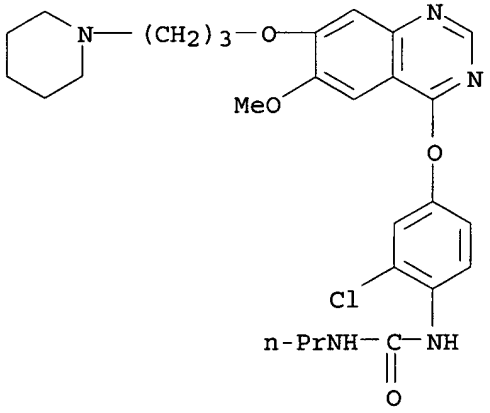
RN 286370-88-5 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(4-pyridinylthio)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



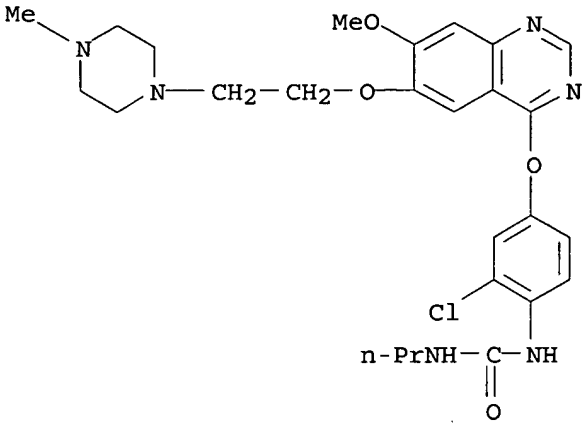
RN 286370-89-6 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-[(1-methyl-1H-tetrazol-5-yl)thio]propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



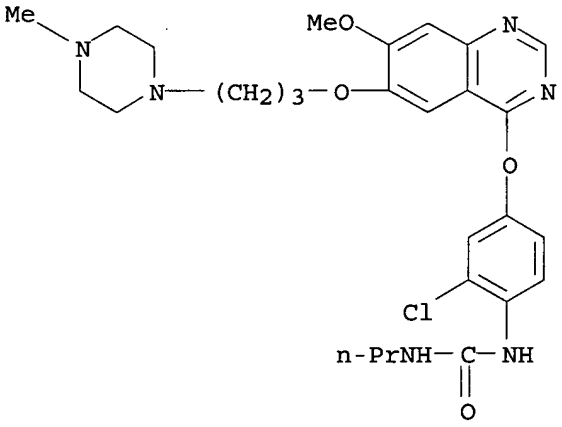
RN 286370-91-0 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(1-piperidinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



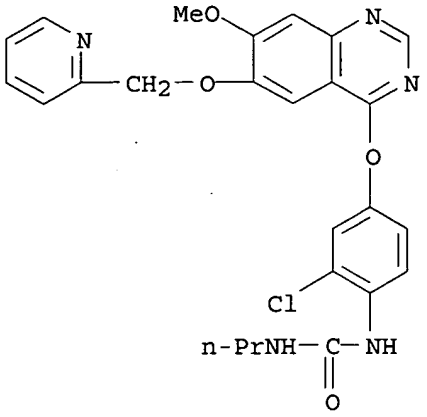
RN 286370-93-2 CAPLUS
CN Urea, N-[2-chloro-4-[[7-methoxy-6-[2-(4-methyl-1-piperazinyl)ethoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



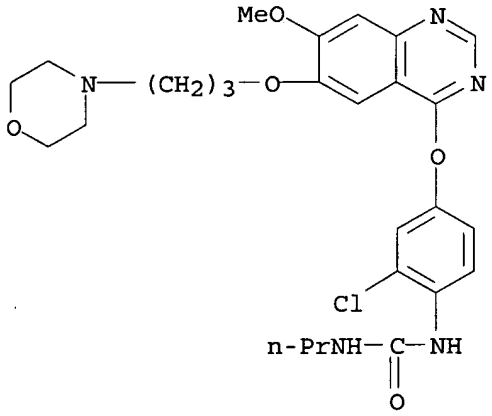
RN 286370-94-3 CAPLUS
CN Urea, N-[2-chloro-4-[[7-methoxy-6-[3-(4-methyl-1-piperazinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



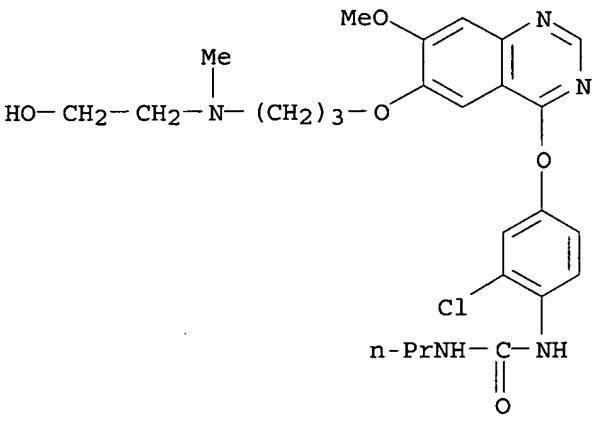
RN 286370-95-4 CAPLUS
CN Urea, N-[2-chloro-4-[[7-methoxy-6-(2-pyridinylmethoxy)-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286370-96-5 CAPLUS
CN Urea, N-[2-chloro-4-[[7-methoxy-6-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286370-97-6 CAPLUS
CN Urea, N-[2-chloro-4-[[6-[3-[(2-hydroxyethyl)methylamino]propoxy]-7-methoxy-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286371-18-4 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-(4-pyridinylmethoxy)-4-quinazolinyl]oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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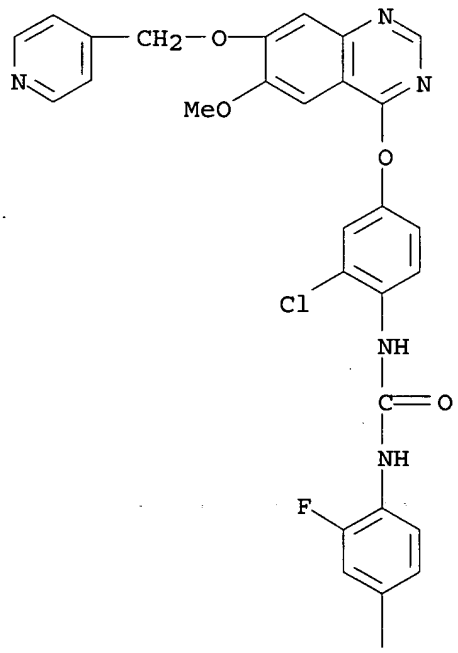

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RN 286371-19-5 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[2-(4-morpholinyl)ethoxy]-4-

quinazolinyl]oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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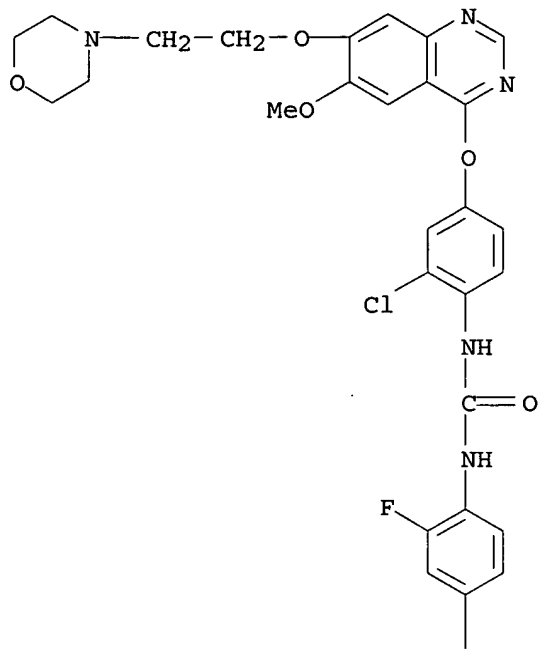

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RN 286371-20-8 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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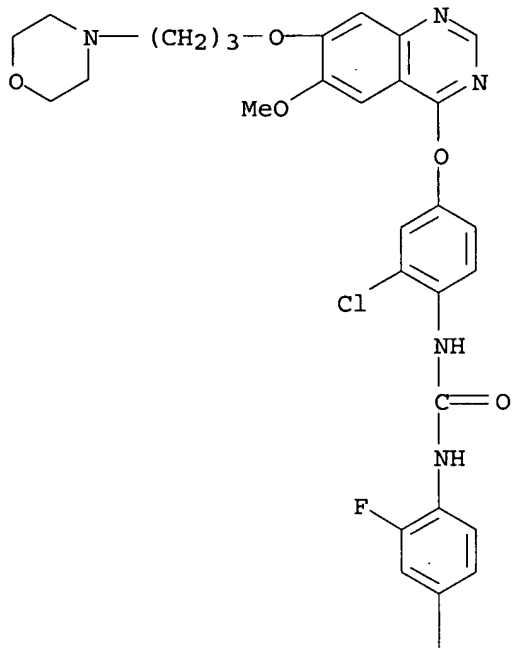

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RN 286371-21-9 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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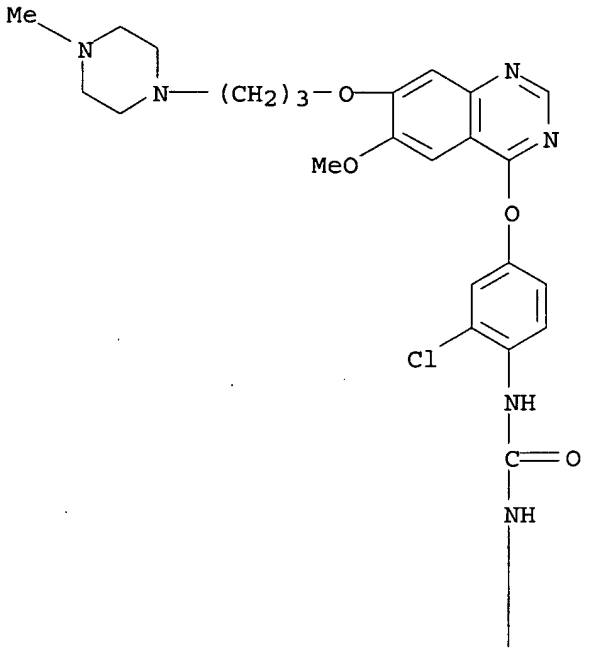

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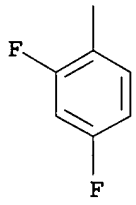

RN 286371-22-0 CAPLUS
CN Urea, N-[2-chloro-4-[[7-[3-[(2-hydroxyethyl)methylamino]propoxy]-6-methoxy-4-quinazolinyl]oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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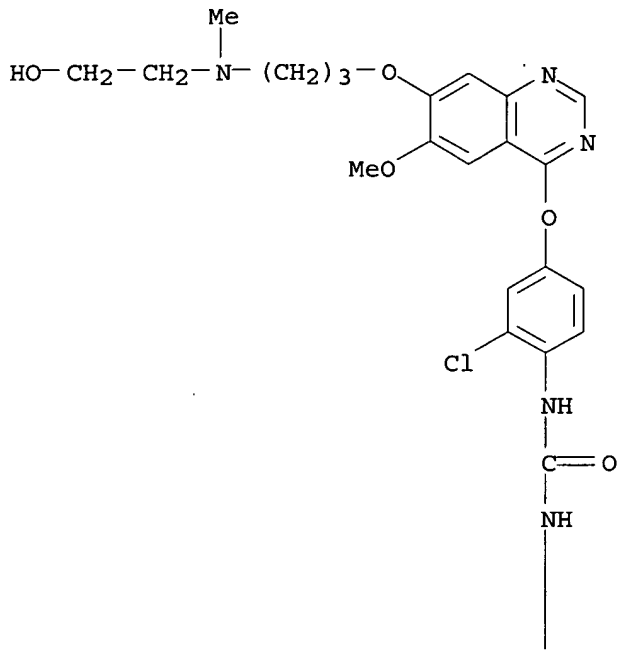

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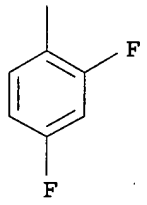
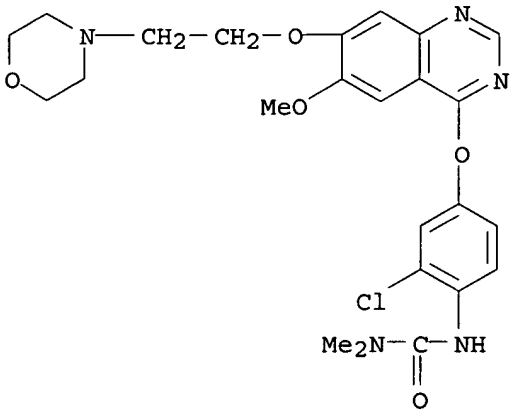
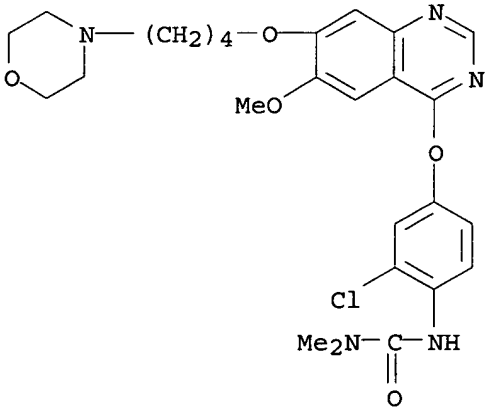


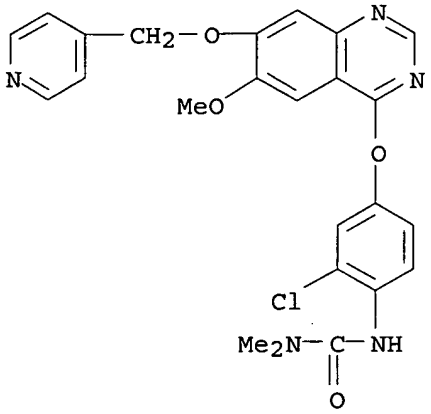
RN 286371-35-5 CAPLUS
CN Urea, N'-[2-chloro-4-[[6-methoxy-7-[2-(4-morpholinyl)ethoxy]-4-quinazolinyl]oxy]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



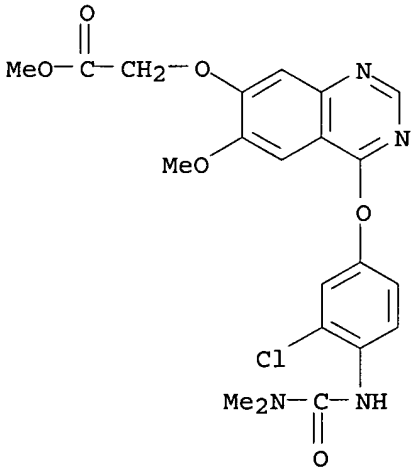
RN 286371-36-6 CAPLUS
CN Urea, N'-[2-chloro-4-[[6-methoxy-7-[4-(4-morpholinyl)butoxy]-4-quinazolinyl]oxy]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



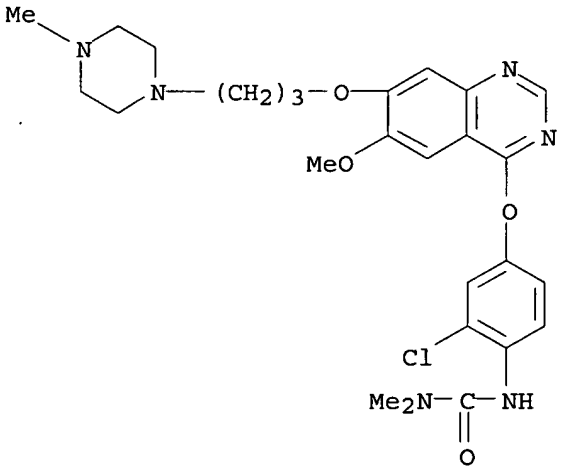
RN 286371-37-7 CAPLUS
CN Urea, N'-[2-chloro-4-[[6-methoxy-7-(4-pyridinylmethoxy)-4-quinazolinyl]oxy]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



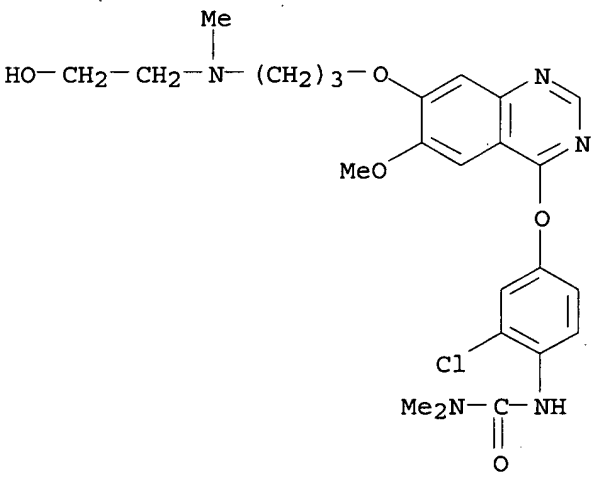
RN 286371-38-8 CAPLUS
CN Acetic acid, [[4-[3-chloro-4-[[(dimethylamino)carbonyl]amino]phenoxy]-6-methoxy-7-quinazolinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



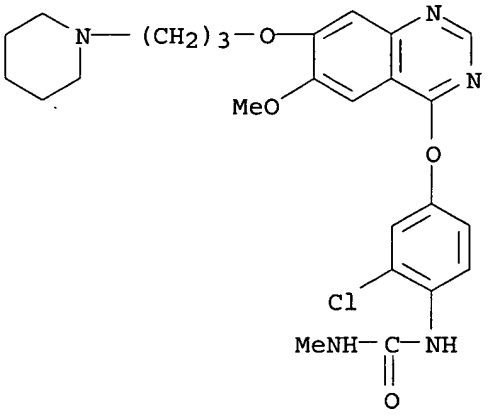
RN 286371-39-9 CAPLUS
CN Urea, N'-[2-chloro-4-[[6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



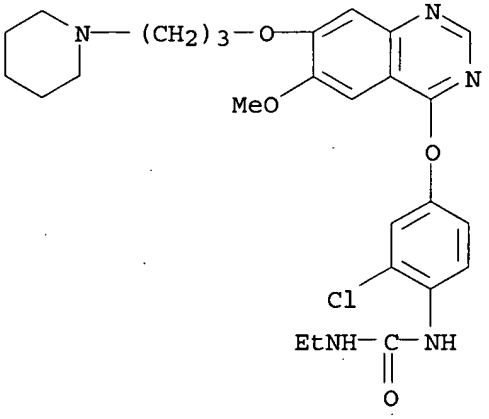
RN 286371-40-2 CAPLUS
CN Urea, N'-[2-chloro-4-[[7-[3-[(2-hydroxyethyl)methylamino]propoxy]-6-methoxy-4-quinazolinyl]oxy]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



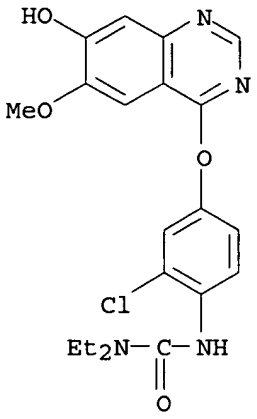
RN 286371-41-3 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(1-piperidinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-methyl- (9CI) (CA INDEX NAME)



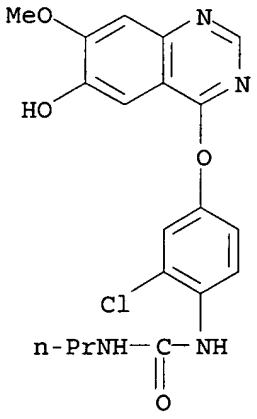
RN 286371-42-4 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(1-piperidinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-ethyl- (9CI) (CA INDEX NAME)



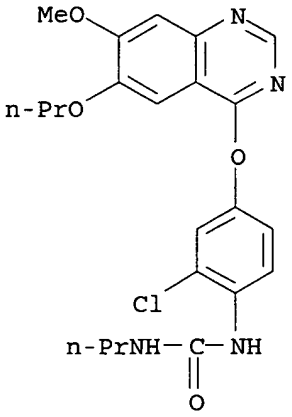
IT **286371-79-7 286371-82-2 286371-84-4**
286371-91-3 286371-93-5 286371-96-8
286371-98-0 286372-06-3 286372-08-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antitumor activity of quinolines and quinazolines)
RN 286371-79-7 CAPLUS
CN Urea, N'-[2-chloro-4-[(7-hydroxy-6-methoxy-4-quinazolinyl)oxy]phenyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 286371-82-2 CAPLUS
CN Urea, N-[2-chloro-4-[(6-hydroxy-7-methoxy-4-quinazolinyl)oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286371-84-4 CAPLUS
CN Urea, N-[2-chloro-4-[(7-methoxy-6-propoxy-4-quinazolinyl)oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286371-91-3 CAPLUS
CN Urea, N-[2-chloro-4-[(7-hydroxy-6-methoxy-4-quinazolinyl)oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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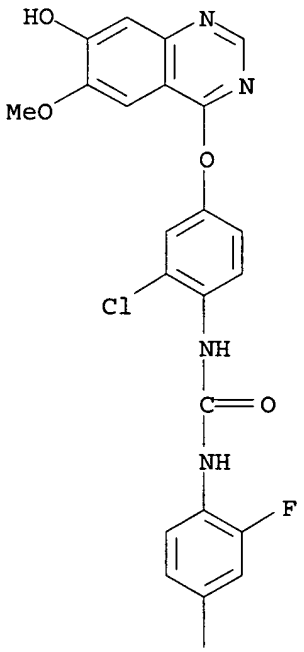

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RN 286371-93-5 CAPLUS
CN Urea, N-[4-[[7-(3-bromopropoxy)-6-methoxy-4-quinazolinyl]oxy]-2-

chlorophenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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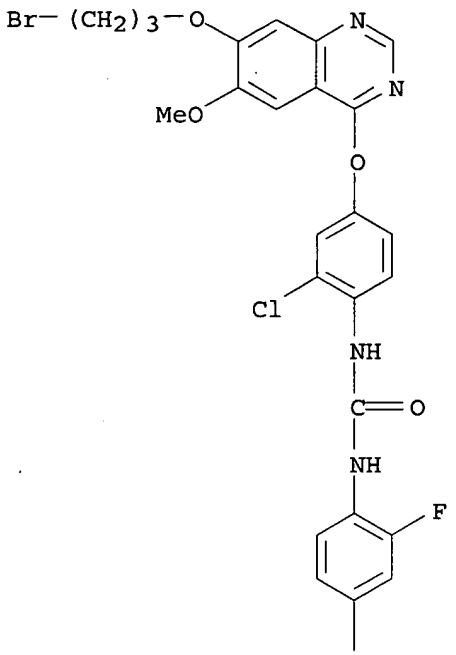

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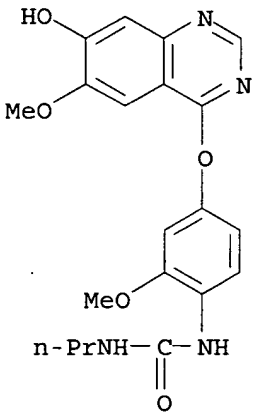
RN 286371-96-8 CAPLUS
CN Urea, N-[4-[(7-hydroxy-6-methoxy-4-quinazolinyl)oxy]-2-methoxyphenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286371-98-0 CAPLUS
CN Urea, N-(2,4-difluorophenyl)-N'-[4-[(7-hydroxy-6-methoxy-4-quinazolinyl)oxy]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

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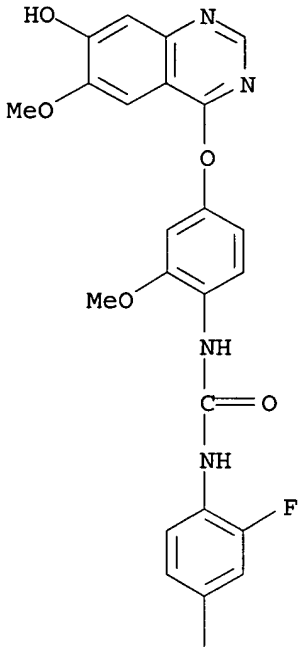

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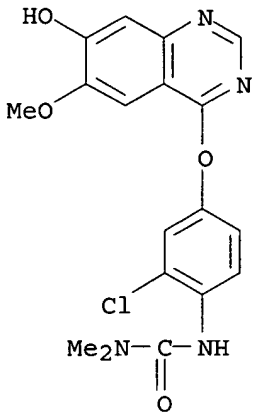
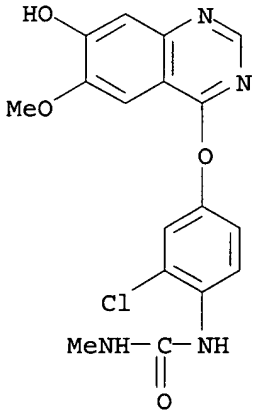
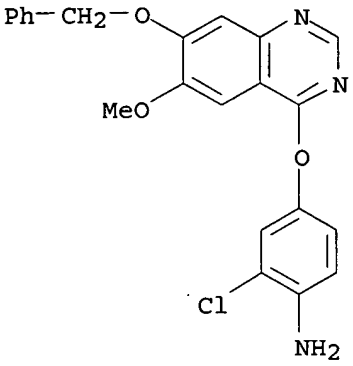
RN 286372-06-3 CAPLUS
CN Urea, N'-[2-chloro-4-[(7-hydroxy-6-methoxy-4-quinazolinyl)oxy]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



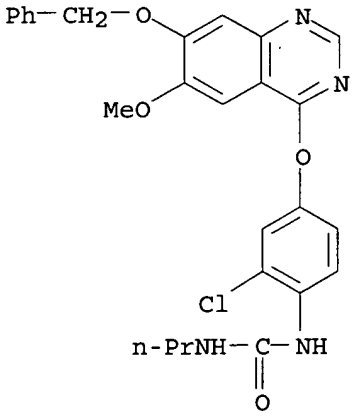
RN 286372-08-5 CAPLUS
CN Urea, N-[2-chloro-4-[(7-hydroxy-6-methoxy-4-quinazolinyl)oxy]phenyl]-N'-methyl- (9CI) (CA INDEX NAME)



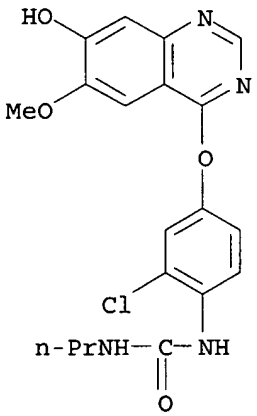
IT **286371-66-2P 286371-67-3P 286371-68-4P**
286371-76-4P 286371-77-5P 286371-78-6P
286371-80-0P 286371-81-1P 286371-83-3P
286371-92-4P 286371-97-9P 286371-99-1P
286372-07-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antitumor activity of quinolines and quinazolines)
RN 286371-66-2 CAPLUS
CN Benzenamine, 2-chloro-4-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]oxy]- (9CI) (CA INDEX NAME)



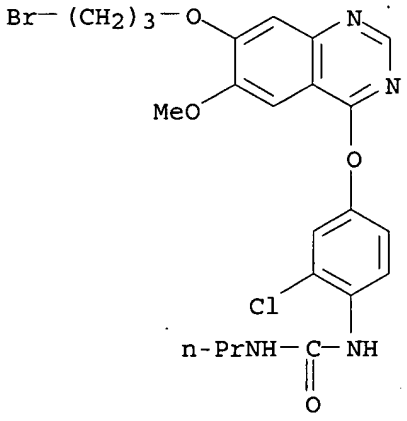
RN 286371-67-3 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



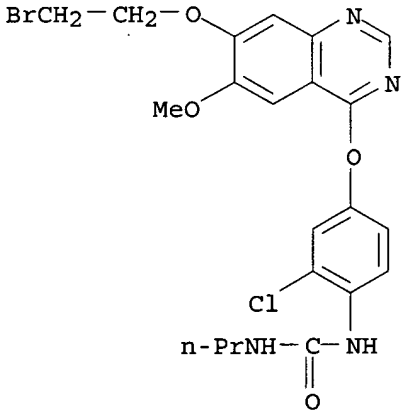
RN 286371-68-4 CAPLUS
CN Urea, N-[2-chloro-4-[(7-hydroxy-6-methoxy-4-quinazolinyl)oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



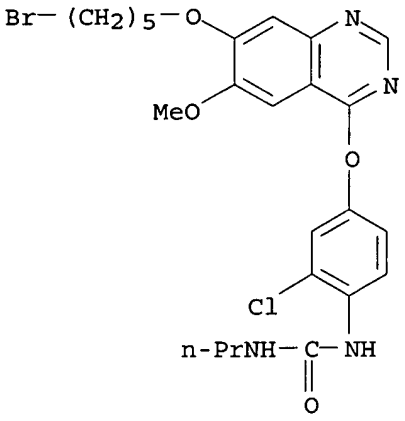
RN 286371-76-4 CAPLUS
CN Urea, N-[4-[[7-(3-bromopropoxy)-6-methoxy-4-quinazolinyl]oxy]-2-chlorophenyl]-N'-propyl- (9CI) (CA INDEX NAME)



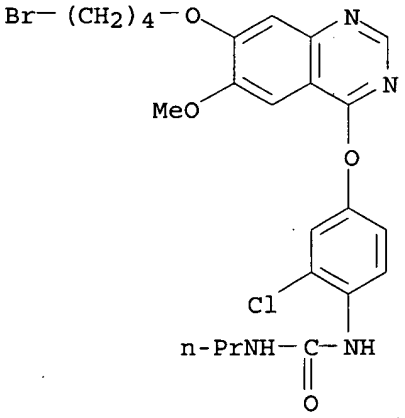
RN 286371-77-5 CAPLUS
CN Urea, N-[4-[[7-(2-bromoethoxy)-6-methoxy-4-quinazolinyl]oxy]-2-chlorophenyl]-N'-propyl- (9CI) (CA INDEX NAME)



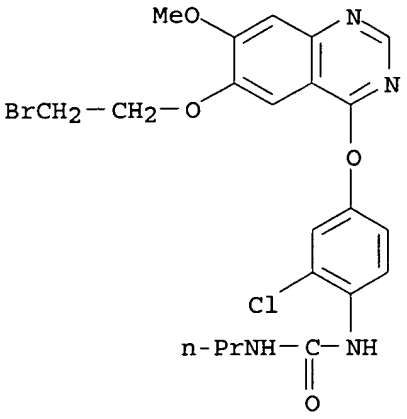
RN 286371-78-6 CAPLUS
CN Urea, N-[4-[[7-[(5-bromopentyl)oxy]-6-methoxy-4-quinazolinyl]oxy]-2-chlorophenyl]-N'-propyl- (9CI) (CA INDEX NAME)



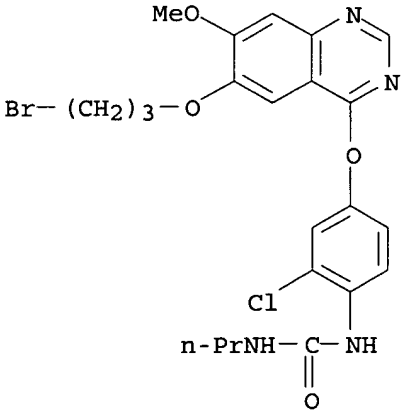
RN 286371-80-0 CAPLUS
CN Urea, N-[4-[[7-(4-bromobutoxy)-6-methoxy-4-quinazolinyl]oxy]-2-chlorophenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286371-81-1 CAPLUS
CN Urea, N-[4-[[6-(2-bromoethoxy)-7-methoxy-4-quinazolinyl]oxy]-2-chlorophenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286371-83-3 CAPLUS
CN Urea, N-[4-[[6-(3-bromopropoxy)-7-methoxy-4-quinazolinyl]oxy]-2-chlorophenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286371-92-4 CAPLUS
CN Urea, N-[4-[[7-(2-bromoethoxy)-6-methoxy-4-quinazolinyl]oxy]-2-chlorophenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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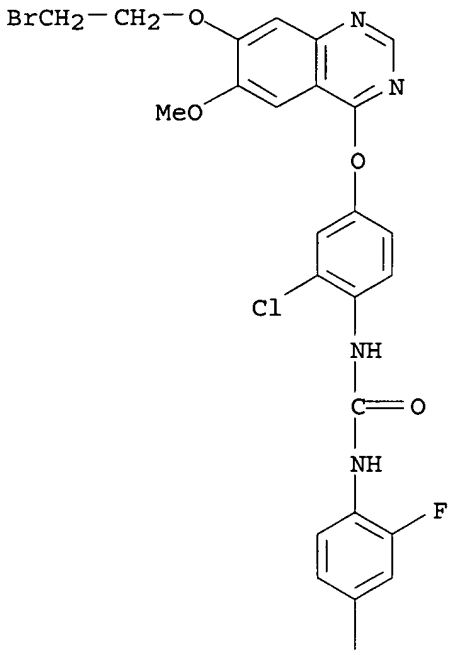

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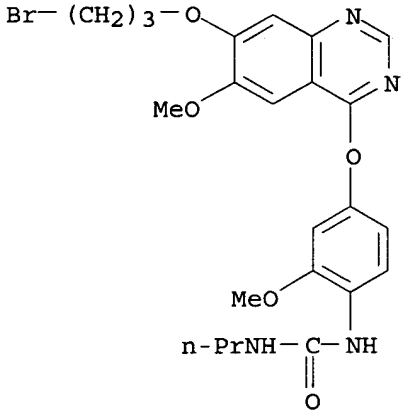
RN 286371-97-9 CAPLUS
CN Urea, N-[4-[[7-(3-bromopropoxy)-6-methoxy-4-quinazolinyl]oxy]-2-methoxyphenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286371-99-1 CAPLUS
CN Urea, N-[4-[[7-(3-bromopropoxy)-6-methoxy-4-quinazolinyl]oxy]-2-

methoxyphenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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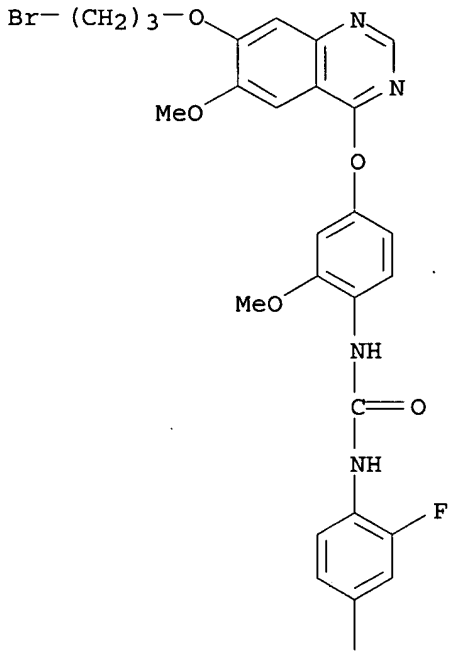

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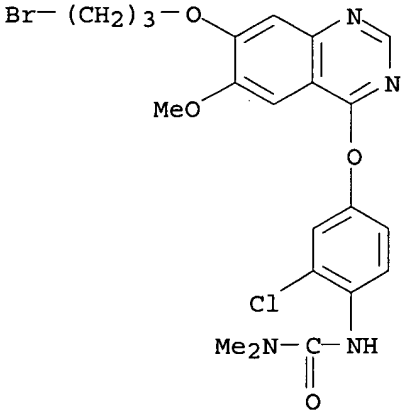
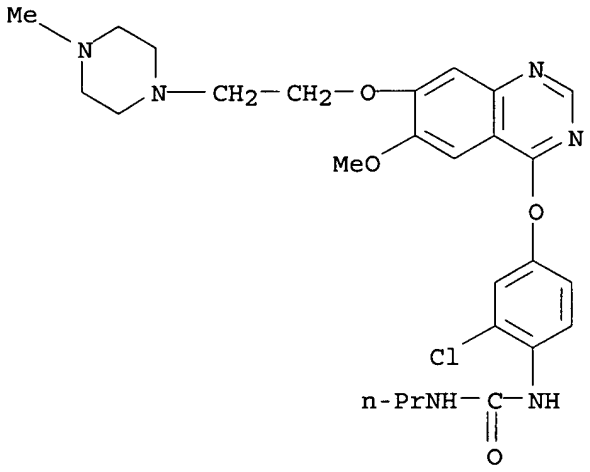
RN 286372-07-4 CAPLUS
CN Urea, N'-[4-[[7-(3-bromopropoxy)-6-methoxy-4-quinazolinyl]oxy]-2-chlorophenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

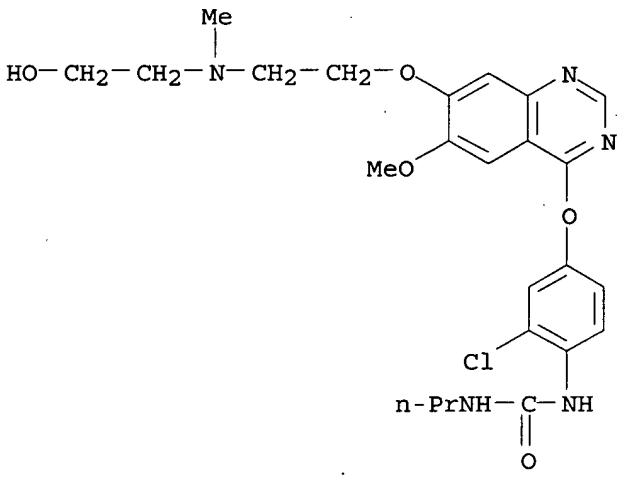


IT **286370-83-0P 286370-84-1P 286370-85-2P**
286371-28-6P 286371-29-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of quinolines and quinazolines)

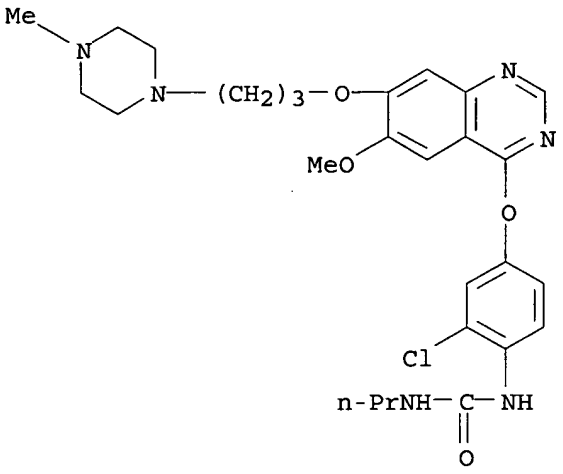
RN 286370-83-0 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[2-(4-methyl-1-piperazinyl)ethoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



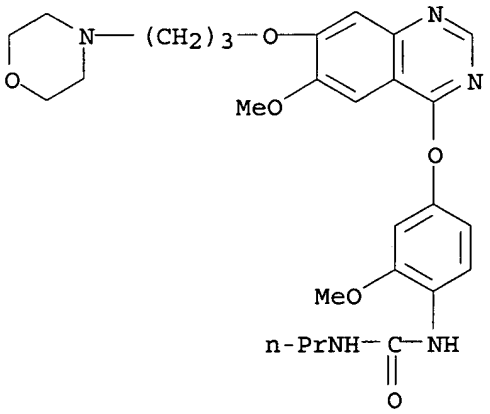
RN 286370-84-1 CAPLUS
CN Urea, N-[2-chloro-4-[[7-[2-[(2-hydroxyethyl)methylamino]ethoxy]-6-methoxy-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286370-85-2 CAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)

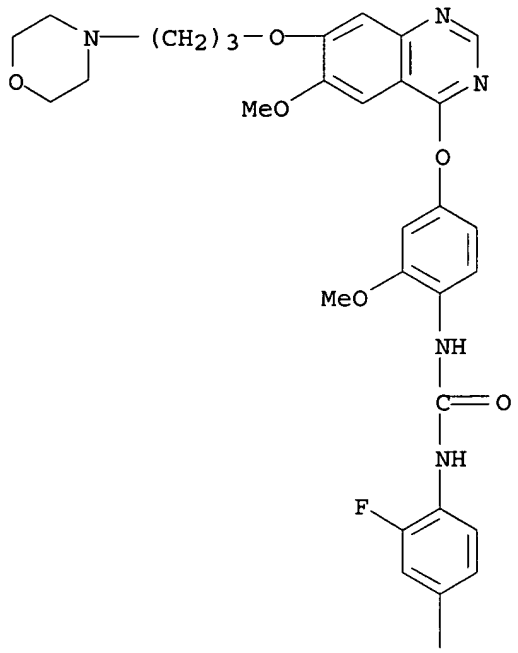


RN 286371-28-6 CAPLUS
CN Urea, N-[2-methoxy-4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286371-29-7 CAPLUS
CN Urea, N-(2,4-difluorophenyl)-N'-[2-methoxy-4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:241203 CAPLUS
DOCUMENT NUMBER: 132:265207
TITLE: Preparation of 4-anilinoquinazolines and 4-anilinoquinolines as inhibitors of cytokine mediated disease
INVENTOR(S): Cumming, John Graham
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020402	A1	20000413	WO 1999-GB3220	19990927

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,

MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2341374	AA	20000413	CA 1999-2341374	19990927	
AU 9961064	A1	20000426	AU 1999-61064	19990927	
AU 761552	B2	20030605			
BR 9914162	A	20010626	BR 1999-14162	19990927	
EP 1117653	A1	20010725	EP 1999-947686	19990927	
EP 1117653	B1	20030205			
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JP 2002526538	T2	20020820	JP 2000-574519	19990927	
AT 232205	E	20030215	AT 1999-947686	19990927	
NZ 510210	A	20030630	NZ 1999-510210	19990927	
PT 1117653	T	20030630	PT 1999-99947686	19990927	
ES 2191462	T3	20030901	ES 1999-947686	19990927	
ZA 2001002187	A	20020618	ZA 2001-2187	20010315	
US 6593333	B1	20030715	US 2001-787883	20010323	
NO 2001001631	A	20010521	NO 2001-1631	20010330	
US 2003216417	A1	20031120	US 2003-441084	20030520	
US 6716847	B2	20040406			

PRIORITY APPLN. INFO.:

GB 1998-21338	A	19981001
GB 1999-6564	A	19990323
WO 1999-GB3220	W	19990927
US 2001-787883	A3	20010323

OTHER SOURCE(S): MARPAT 132:265207

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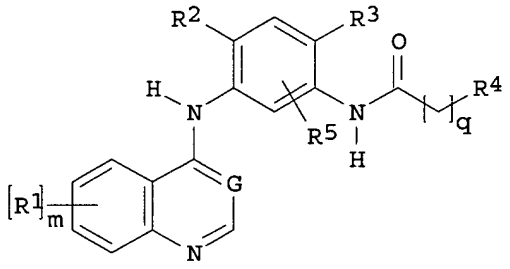

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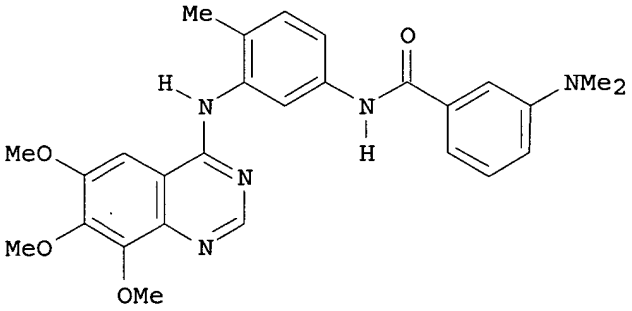

II

AB The title compds. [I; G = N, CH; R1 = OH, halo, CF3, etc.; R2, R3 = H,

halo, alkyl, etc.; R4 = H, OH, alkyl, etc.; R5 = H, halo, CF3; m = 1-3; q = 0-4] and their pharmaceutically acceptable salts or in vivo cleavable esters, useful in the treatment of diseases or medical conditions mediated by cytokines, were prepared and formulated. E.g., a multi-step synthesis of II which showed IC50 of 0.2 μM against p38α kinase and IC50 of 5.2 μM against TNFα production, was given.

IC ICM C07D239-94
ICS C07D401-12; A61K031-517

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 1484-36-2P 7357-67-7P, 3-Morpholinopropyl chloride 13790-39-1P
13794-72-4P 27483-92-7P 74731-63-8P, 1H-1,2,3-Triazole-1-ethanol
75561-94-3P 117500-61-5P, 3-Dimethylaminobenzoyl chloride hydrochloride
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263400-91-5P 263400-92-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-anilinoquinazolines and 4-anilinoquinolines as inhibitors of cytokine mediated disease)

IT **193001-79-5P 193001-80-8P 263400-67-5P**
263400-69-7P 263400-70-0P 263400-77-7P
263400-80-2P 263400-81-3P 263400-84-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-anilinoquinazolines and 4-anilinoquinolines as inhibitors of cytokine mediated disease)

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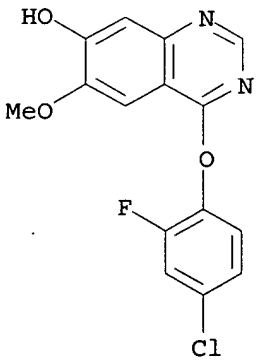

RN 193001-80-8 CAPLUS
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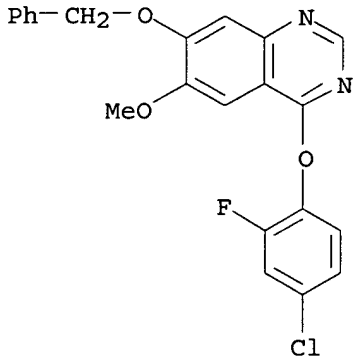
(9CI) (CA INDEX NAME)



RN 263400-67-5 CAPLUS
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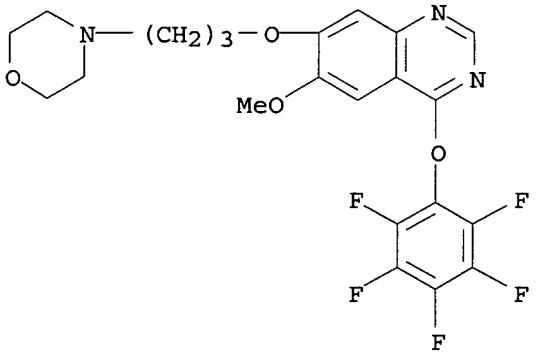

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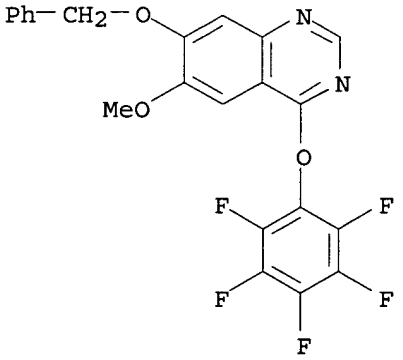
(CA INDEX NAME)



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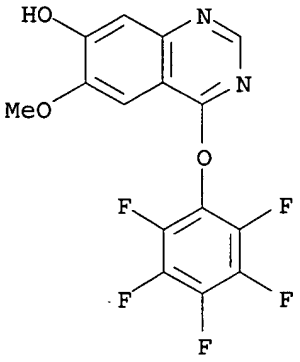

RN 263400-77-7 CAPLUS
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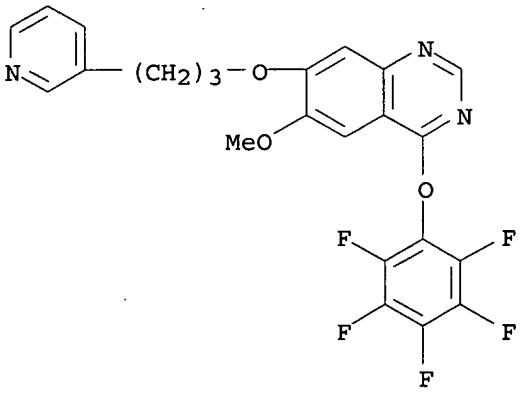
(9CI) (CA INDEX NAME)



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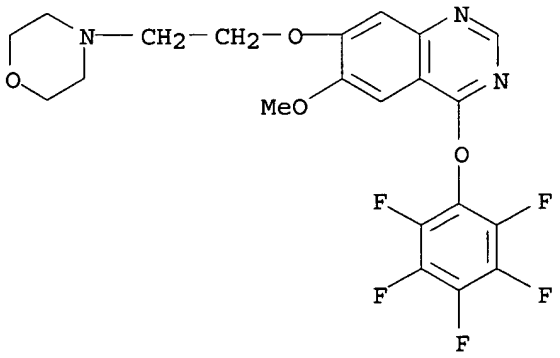
(9CI) (CA INDEX NAME)



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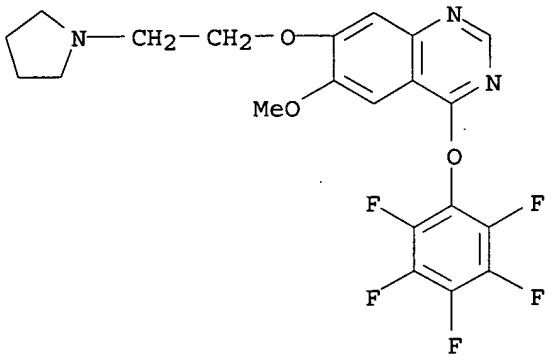

RN 263400-84-6 CAPLUS
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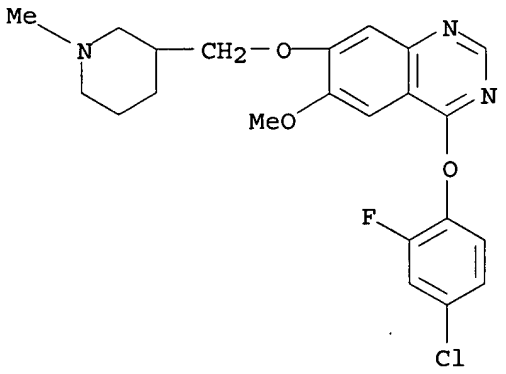

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:675952 CAPLUS
DOCUMENT NUMBER: 127:262698
TITLE: Preparation of quinazolines as VEGF inhibitors
INVENTOR(S): Thomas, Andrew Peter; Johnstone, Craig; Hennequin, Laurent Francois Andre
PATENT ASSIGNEE(S): Zeneca Ltd., UK; Zeneca Pharma S.A.; Thomas, Andrew Peter; Johnstone, Craig; Hennequin, Laurent Francois Andre
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730035	A1	19970821	WO 1997-GB365	19970210
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2242425	AA	19970821	CA 1997-2242425	19970210
AU 9717290	A1	19970902	AU 1997-17290	19970210
AU 719434	B2	20000511		
EP 880508	A1	19981202	EP 1997-904512	19970210
EP 880508	B1	20030416		
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CN 1211239	A	19990317	CN 1997-192221	19970210
CN 1125817	B	20031029		
BR 9707495	A	19990727	BR 1997-7495	19970210
NZ 330868	A	20000128	NZ 1997-330868	19970210
JP 2000504714	T2	20000418	JP 1997-529078	19970210
IL 125686	A1	20021110	IL 1997-125686	19970210
RU 2196137	C2	20030110	RU 1998-117074	19970210
CZ 291386	B6	20030212	CZ 1998-2535	19970210
AT 237596	E	20030515	AT 1997-904512	19970210
PT 880508	T	20030731	PT 1997-97904512	19970210
ZA 9701180	A	19970813	ZA 1997-1180	19970212
NO 9803687	A	19980813	NO 1998-3687	19980812
US 6184225	B1	20010206	US 1998-125271	19980813

PRIORITY APPLN. INFO.: EP 1996-400293 A 19960213
EP 1996-401756 A 19960808
EP 1996-402764 A 19961217
WO 1997-GB365 W 19970210

OTHER SOURCE(S): MARPAT 127:262698

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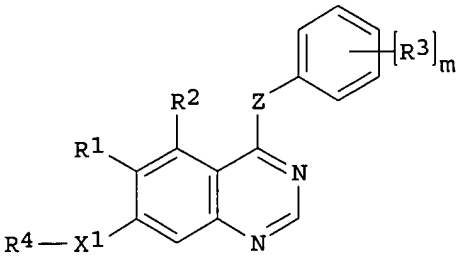

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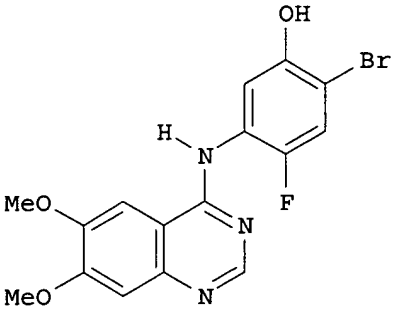

II

AB The title compds. [I; Z = O, NH, S; m = 1-5; R1 = H, OH, halo, etc.; R2 = H, OH, halo, etc.; R3 = OH, halo, C1-3 alkyl, etc.; X1 = O, CH2, S, etc.; R4 = H, C1-5 alkyl, C1-5 hydroxyalkyl, etc.] and their salts which inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis, were prepared and formulated. Thus, reaction of 4-chloro-6,7-dimethoxyquinazoline with 4-bromo-2-fluoro-5-hydroxyaniline in the presence of isopropanolic hydrogen chloride in 2-butanol afforded 87% quinazoline II.HCl. Compds. I are effective at 1-50 mg/kg.

IC C07D239-94; A61K031-505; C07D239-88; C07D239-93; C07D413-12; A61K031-535; C07D403-12; C07D401-12; C07D417-12; A61K031-54

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT 196193-85-8P 196193-86-9P 196193-87-0P **196193-88-1P**
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196194-37-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as VEGF inhibitors)

IT 496-73-1P 4497-04-5P, 4-Morpholinepropanoic acid 13790-39-1P
13794-72-4P 16499-57-3P 31601-41-9P 40248-84-8P 86587-56-6P
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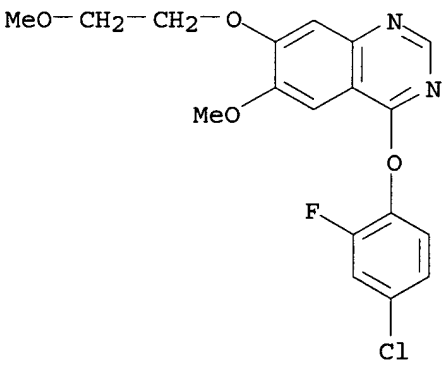
196195-13-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolines as VEGF inhibitors)

IT **196193-88-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as VEGF inhibitors)

RN 196193-88-1 CAPLUS

CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-(2-methoxyethoxy)- (9CI) (CA INDEX NAME)



IT **193001-79-5P 193001-80-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolines as VEGF inhibitors)

RN 193001-79-5 CAPLUS

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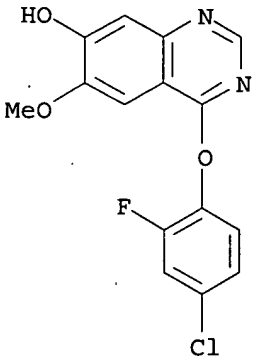
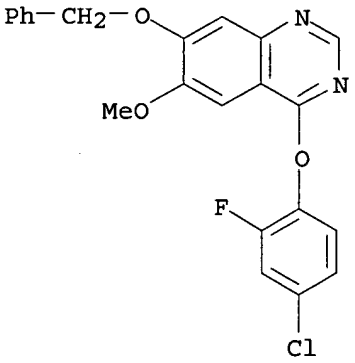


RN 193001-80-8 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-(phenylmethoxy)-(9CI) (CA INDEX NAME)



L12 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:502972 CAPLUS
DOCUMENT NUMBER: 127:135808
TITLE: Preparation and antiangiogenic and/or vascular permeability reducing effect of quinazoline derivatives
INVENTOR(S): Lohmann, Jean-Jacques Marcel; Hennequin, Laurent Francois Andre; Thomas, Andrew Peter
PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca-Pharma S.A.; Lohmann, Jean-Jacques Marcel; Hennequin, Laurent Francois Andre; Thomas, Andrew Peter
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9722596	A1	19970626	WO 1996-GB3075	19961213

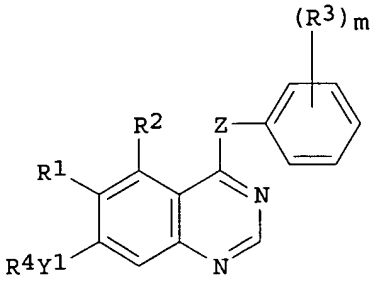
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,

LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9711061	A1	19970714	AU 1997-11061	19961213
AU 712370	B2	19991104		
EP 873319	A1	19981028	EP 1996-941787	19961213
EP 873319	B1	20010725		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1205694	A	19990120	CN 1996-199110	19961213
CN 1133625	B	20040107		
BR 9612043	A	19991228	BR 1996-12043	19961213
JP 2000515114	T2	20001114	JP 1997-522568	19961213
AT 203524	E	20010815	AT 1996-941787	19961213
ES 2162656	T3	20020101	ES 1996-941787	19961213
PT 873319	T	20020130	PT 1996-96941787	19961213
SK 282443	B6	20020205	SK 1998-828	19961213
CZ 291100	B6	20021211	CZ 1998-1882	19961213
RU 2194701	C2	20021220	RU 1998-113300	19961213
ZA 9610597	A	19970618	ZA 1996-10597	19961217
US 5962458	A	19991005	US 1996-768887	19961217
TW 411274	B	20001111	TW 1996-85115569	19961217
NO 9802784	A	19980817	NO 1998-2784	19980617
US 6071921	A	20000606	US 1998-203764	19981202
US 6258951	B1	20010710	US 2000-500470	20000209
US 2002032208	A1	20020314	US 2001-877005	20010611
US 6362336	B2	20020326		
GR 3036954	T3	20020131	GR 2001-401823	20011019

PRIORITY APPLN. INFO.:	EP 1995-402846	A	19951218
	EP 1996-402190	A	19961015
	EP 1996-941787	A	19961213
	WO 1996-GB3075	W	19961213
	US 1996-768887	A1	19961217
	US 1998-203764	A1	19981202
	US 2000-500470	A3	20000209

OTHER SOURCE(S): MARPAT 127:135808
GI



I

AB Quinazoline derivs. I [Y1 represents -O-, -S-, -CH2-, -SO-, -SO2-, NR5CO-, -CONR6-, -SO2NR7-, -NR8SO2- or -NR9- (wherein R5, R6, R7, R8 and R9 each independently represents hydrogen, alkyl or alkoxyalkyl); R1 represents

hydrogen, hydroxy, halo, nitro, trifluoromethyl, cyano, alkyl, alkoxy, alkylthio, amino, alkylamino; R2 represents hydrogen, hydroxy, halo, alkyl, alkoxy, trifluoromethyl, cyano, amino, nitro; m is an integer from 1 to 5; R3 represents hydroxy, halo, alkyl, alkoxy, alkanoyloxy, trifluoromethyl, cyano, amino, nitro; R4 represents a group which is or which contains an optionally substituted pyridone, Ph or aromatic heterocyclic group] were prepared I inhibit the effects of VEGF (no data), a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis. E.g., heating a mixture of 2-amino-4-benzyloxy-5-methoxybenzamide and Gold's reagent, followed by NaOAc and HOAc, gave 7-benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one. The product was treated with thionyl chloride, then 3-acetoxy-4-methylaniline, and next hydrogenolyzed to give 4-(3-acetoxy-4-methylanilino)-7-hydroxy-6-methoxyquinazoline hydrochloride. The last was reacted with 4-(bromomethyl)pyridine hydrobromide and treated with aqueous NaOH to give 4-(3-hydroxy-4-methylanilino)-6-methoxy-7-(4-pyridylmethoxy)quinazoline hydrochloride.

IC ICM C07D239-94
ICS C07D239-88; C07D401-12; C07D403-12; C07D409-12; C07D413-12; C07D417-12; A61K031-505

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 192999-68-1P 192999-69-2P 192999-70-5P 192999-71-6P 192999-72-7P
192999-73-8P 192999-74-9P 192999-75-0P 192999-76-1P 192999-77-2P
192999-78-3P 192999-79-4P 192999-80-7P 192999-81-8P 192999-82-9P
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193001-38-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antiangiogenic and/or vascular permeability reducing effect of quinazoline derivs.)

IT 3715-99-9P 3716-08-3P 3998-88-7P 3998-90-1P 4226-37-3P

4760-35-4P 7467-35-8P 16830-24-3P 17944-59-1P 19815-17-9P
25514-24-3P 52541-36-3P 53064-92-9P 54198-81-1P,
4-(Chloromethyl)pyrimidine 54453-93-9P 57561-39-4P 61995-11-7P
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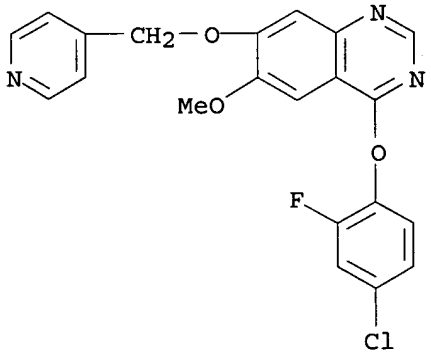
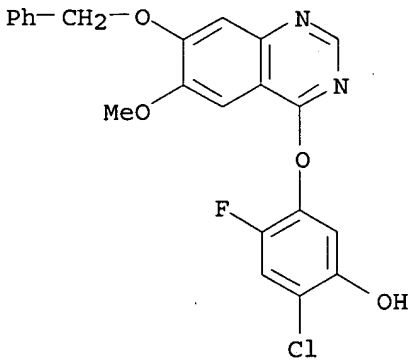
193002-36-7P 193002-37-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antiangiogenic and/or vascular permeability reducing effect of quinazoline derivs.)

IT **193000-13-4P 193000-89-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antiangiogenic and/or vascular permeability reducing effect of quinazoline derivs.)

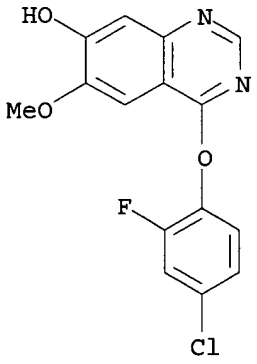
RN 193000-13-4 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-(4-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



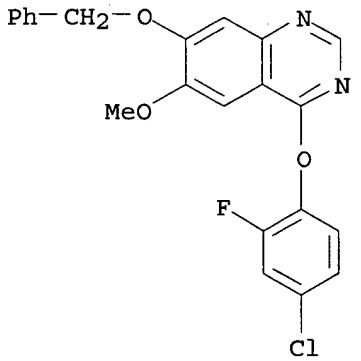
RN 193000-89-4 CAPLUS
CN Phenol, 2-chloro-4-fluoro-5-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]oxy]- (9CI) (CA INDEX NAME)



IT **193001-79-5P 193001-80-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antiangiogenic and/or vascular permeability reducing effect of quinazoline derivs.)
RN 193001-79-5 CAPLUS
CN 7-Quinazolinol, 4-(4-chloro-2-fluorophenoxy)-6-methoxy- (9CI) (CA INDEX NAME)



RN 193001-80-8 CAPLUS
CN Quinazoline, 4-(4-chloro-2-fluorophenoxy)-6-methoxy-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L12 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:477952 CAPLUS
DOCUMENT NUMBER: 111:77952
TITLE: Thermal rearrangement of substituted 4-(4-chromanylphenoxy)quinazolines
AUTHOR(S): Samant, S. P.; Dhande, S. K.; Hosagnadi, B. D.
CORPORATE SOURCE: Dep. Chem., Univ. Bombay, Bombay, 400 098, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1988), 27B(12), 1134-5
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:77952
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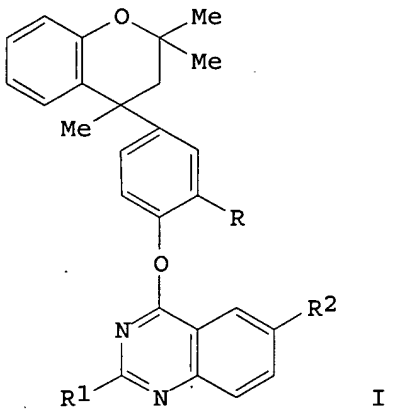

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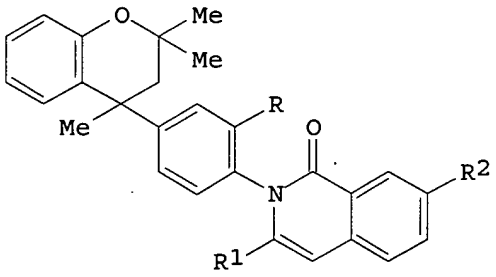


II

AB Thermal Chapman rearrangement on 4-aryloxyquinazoline derivs. substituted by amino and nitro functional group in the aromatic ring is described for the first time. Thus, heating quinazolines I (R = H, NH2, NO2; R1 = Me, Et, Me2CH, Ph, H; R2 = H, MeO) at 325-340°C under N for 1.5 h gave 31-48% quinazolinones II.

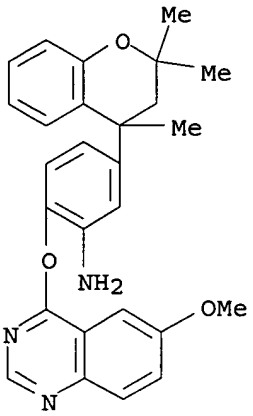
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 121996-76-7P 121996-77-8P 121996-78-9P 121996-79-0P 121996-80-3P
121996-81-4P 121996-82-5P **121996-83-6P 121996-84-7P**
121996-85-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and thermal Chapman rearrangement of)

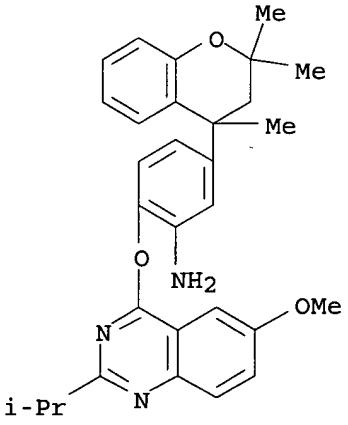
IT **121996-83-6P 121996-84-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and thermal Chapman rearrangement of)

RN 121996-83-6 CAPLUS

CN Benzenamine, 5-(3,4-dihydro-2,2,4-trimethyl-2H-1-benzopyran-4-yl)-2-[(6-methoxy-4-quinazolinyl)oxy]- (9CI) (CA INDEX NAME)



RN 121996-84-7 CAPLUS
CN Benzenamine, 5-(3,4-dihydro-2,2,4-trimethyl-2H-1-benzopyran-4-yl)-2-[[6-methoxy-2-(1-methylethyl)-4-quinazolinyl]oxy]- (9CI) (CA INDEX NAME)



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